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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS	8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS	9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS	10	OCT 27	Free display of legal status information in CA/CAplus, USPATFULL, and USPAT2 in the month of November.

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 15:49:03 ON 13 NOV 2009

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 15:49:15 ON 13 NOV 2009
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 12 NOV 2009 HIGHEST RN 1192299-83-4
DICTIONARY FILE UPDATES: 12 NOV 2009 HIGHEST RN 1192299-83-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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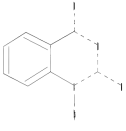
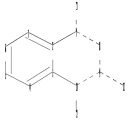
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10572341.str



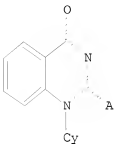


chain nodes :
11 12 13
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
7-11 9-13 10-12
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
2-7 3-10 7-8 7-11 8-9 9-10 9-13 10-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:CLASS

L1 STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1 full
FULL SEARCH INITIATED 15:49:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1881835 TO ITERATE

100.0% PROCESSED 1881835 ITERATIONS 3780 ANSWERS
SEARCH TIME: 00.00.17

L2 3780 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	186.36	186.58

FILE 'CAPLUS' ENTERED AT 15:50:15 ON 13 NOV 2009
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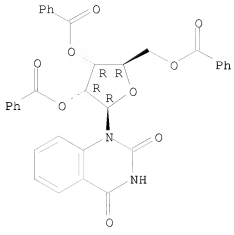
FILE COVERS 1907 - 13 Nov 2009 VOL 151 ISS 21
FILE LAST UPDATED: 12 Nov 2009 (20091112/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

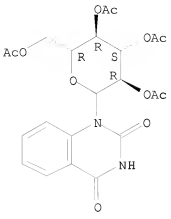
During November, try the new LSUS format of legal status information
in the CA/CAplus family databases for free! Complete details on the
number of free displays and other databases participating in this
offer appear in NEWS 10.

```
=> s l2
L3          267 L2

=> s l3 and py not >2004
MISSING TERM 'NOT >2004'
The search profile that was entered contains a logical
operator followed immediately by another operator.

=> s l3 and not py >2004
MISSING TERM 'AND NOT'
The search profile that was entered contains a logical
operator followed immediately by another operator.

=> s l3 not py >2004
       6973783 PY >2004
L4          194 L3 NOT PY >2004

=> d l4 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 194 ANSWERS - CONTINUE? Y/(N):y

L4   ANSWER 1 OF 194  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2008:1383646  CAPLUS
DOCUMENT NUMBER:          149:575976
TITLE:                    Synthesis of nucleosides
AUTHOR(S):                Vorbrueggen, Helmut; Ruh-Pohlenz, Carmen
CORPORATE SOURCE:         Research Laboratories of Schering AG, Berlin, Germany
SOURCE:                   Organic Reactions (Hoboken, NJ, United States) (2000),
                          55, No pp. given
                          CODEN: ORHNBA
                          URL: http://www3.interscience.wiley.com/cgi-
                          bin/mrwhome/107610747/HOME
PUBLISHER:                John Wiley & Sons, Inc.
DOCUMENT TYPE:            Journal; General Review; (online computer file)
LANGUAGE:                 English
OTHER SOURCE(S):          CASREACT 149:575976
AB   A review of the article Synthesis of nucleosides.
IT   15135-20-3P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (Synthesis Of Nucleosides)
RN   15135-20-3  CAPLUS
CN   2,4(1H,3H)-Quinazolinedione, 1-(2,3,5-tri-O-benzoyl-β-D-
     ribofuranosyl)-  (CA INDEX NAME)

Absolute stereochemistry.
```



L4 ANSWER 2 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:618447 CAPLUS
DOCUMENT NUMBER: 144:312047
TITLE: Chemical syntheses and technologies for the sustainable development II. Synthesis of 1-alkylated benzouracils via alkylation reactions of 4-methoxyquinazolin-2(1H)-one started by sonochemical s-1 PTC
AUTHOR(S): Pazdera, Pavel
CORPORATE SOURCE: Research Group for Chemical Syntheses and Technologies of the Sustainable Development, Department of Organic Chemistry, Masaryk University, Brno, CZ-611 37, Czech Rep.
SOURCE: International Electronic Conferences on Synthetic Organic Chemistry, 5th, 6th, Sept. 1-30, 2001 and 2002 [and] 7th, 8th, Nov. 1-30, 2003 and 2004 (2004), 1747-1750. Editor(s): Seijas, Julio A. Molecular Diversity Preservation International: Basel, Switz. CODEN: 69GTCO
DOCUMENT TYPE: Conference; (computer optical disk)
LANGUAGE: English
AB A symposium report. Procedure for the synthesis of 1-alkylated benzouracils via an alkylation reaction of 4-methoxyquinazolin-2(1H)-one with various alkylating agents supported by environmental friendly ultrasonochem. solid - liquid phase transfer catalysis (US s-1 PTC) is described.
IT 31087-73-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of alkylated benzouracils via ultrasonochem. solid - liquid phase transfer catalytic alkylation of methoxyquinazolinone)
RN 31087-73-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3,4,6-tetra-O-acetyl-D-glucopyranosyl)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

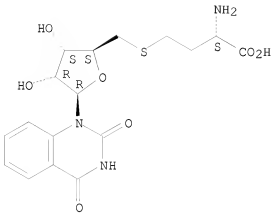
L4 ANSWER 3 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:82811 CAPLUS
DOCUMENT NUMBER: 142:355502
TITLE: Nucleosides XI. Synthesis and antiviral evaluation of 5'-alkylthio-5'-deoxy quinazolinone nucleoside derivatives as S-adenosyl-L-homocysteine analogs
AUTHOR(S): Chien, Tun-Cheng; Chen, Chien-Shu; Yu, Fang-Hwa; Chern, Ji-Wang
CORPORATE SOURCE: School of Pharmacy, College of Medicine, National Taiwan University No. 1, Taipei, Taiwan
SOURCE: Chemical & Pharmaceutical Bulletin (2004), 52(12), 1422-1426
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:355502

AB 4-Amino-1-(β-D-ribofuranosyl)quinazolin-2-one was prepared by a direct glycosylation of 4-aminoquinazolin-2-one using the Vorbruggen's silylation method and provided exclusively the β-anomer. This quinazoline nucleoside and its 2',3'-O-isopropylidene derivative did not undergo the coupling reaction with dialkyl disulfides in the presence of tri-n-butylphosphine unless their 4-amino groups were protected by N,N'-dimethyl-aminomethylidene. This approach provides a viable alternative synthetic route to 5'-alkylthio-5'-deoxy nucleosides.

IT 848830-48-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of 5'-alkylthio-5'-deoxy quinazolinone nucleosides and their evaluation as antiviral agents against HSV-1 and EBV)

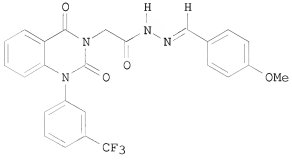
RN 848830-48-8 CAPLUS
CN L-Homocysteine, S-[1,5-dideoxy-1-(3,4-dihydro-2,4-dioxo-1(2H)-quinazolinyl)-β-D-ribofuranos-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:662345 CAPLUS
DOCUMENT NUMBER: 142:316774
TITLE: Synthesis and evaluation of some new 2,4-(1H,3H)-quinazolinedione derivatives as potential analgesic and anti-inflammatory agents
AUTHOR(S): El-Sadek, Mohamed; Baraka, Mohamed M.; Mostafa, Samia M.; Soltan, Mostafa Kh.
CORPORATE SOURCE: Medicinal Chemistry Department, Faculty of Pharmacy, Zagazig University, Zagazig, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (2003), 44(1), 87-99
CODEN: EJPSBZ; ISSN: 0301-5068
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:316774
GI



I

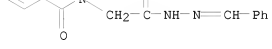
AB A series of 1-(3-trifluoromethylphenyl)-2,4-(1H,3H)-quinazolinedione derivs., e.g., I, have been synthesized as potential analgesic and anti-inflammatory agents. Structures were confirmed by elemental anal.

and spectral data. Five compds. were tested for analgesic and anti inflammatory activities. Two compds. exhibited significant analgesic and anti-inflammatory activities compared to flufenamic acid.

IT 847981-30-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinazolinedioneacethydrazide hydrazones via hydrazidation of quinazolinedioneacetate followed by condensation with aldehydes and ketones)

RN 847981-30-0 CAPLUS

CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-1-[3-(trifluoromethyl)phenyl]-, 2-(phenylmethylene)hydrazide (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:626167 CAPLUS

DOCUMENT NUMBER: 141:295972

TITLE: Synthesis and structural-activity relationships of 3-hydroxyquinazoline-2,4-dione antibacterial agents

AUTHOR(S): Tran, Tuan P.; Ellsworth, Edmund L.; Stier, Michael A.; Domagala, John M.; Showalter, H. D. Hollis; Gracheck, Stephen J.; Shapiro, Martin A.; Joannides, Themis E.; Singh, Rajeshwar

CORPORATE SOURCE: Ann Arbor Laboratories, Department of Chemistry, Pfizer Global Research and Development, Ann Arbor, MI, 48105, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(17), 4405-4409
CODEN: BMCLE8; ISSN: 0960-894X

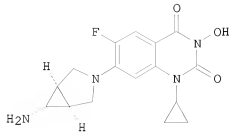
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:295972

GI



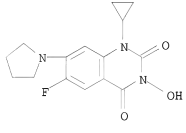
I

AB A series of 3-hydroxyquinazoline-2,4-diones, e.g., I, was synthesized and evaluated for antibacterial activity. This series represents an addition to the DNA gyrate inhibitor class of antibacterials. Appropriated substitution onto the core template yielded compds. with excellent potency against E. coli gyrate and significant in vitro Gram-neg. and Gram-pos. antibacterial activity.

IT 224189-69-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antibacterial activity, and structure-activity relationship of hydroxyquinazolinediones via amidation of aminobenzoic acids with t-Bu hydroxylamine followed by heterocyclization, N-alkylation, substitution, and hydrolysis)

RN 224189-69-9 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6-fluoro-3-hydroxy-7-(1-pyrrolidinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:205966 CAPLUS

DOCUMENT NUMBER: 142:197901

TITLE: Product class 13: quinazolines

AUTHOR(S): Kikelj, D.

CORPORATE SOURCE: Germany

SOURCE: Science of Synthesis (2004), 16, 573-749
CODEN: SSCYJ9

PUBLISHER: Georg Thieme Verlag

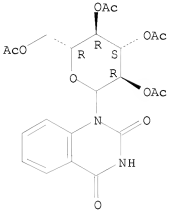
DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Preparation of quinazolines by ring closure and ring transformation reactions as well as aromatization and substituent modification is given.

IT 31087-73-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinazolines)
RN 31087-73-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3,4,6-tetra-O-acetyl-D-glucopyranosyl)-
(CA INDEX NAME)

Absolute stereochemistry.



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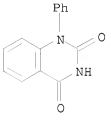
REFERENCE COUNT: 1014 THERE ARE 1014 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

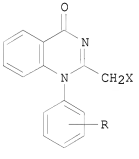
L4 ANSWER 7 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:916577 CAPLUS
DOCUMENT NUMBER: 141:71501
TITLE: Synthesis of 1H-quinazoline-4-ones using intramolecular aromatic nucleophilic substitution
AUTHOR(S): Bowman, W. Russell; Heaney, Harry; Smith, Philip H. G.
CORPORATE SOURCE: Dep. of Chem., Loughborough Univ., Leics, LE11 3TU, UK
SOURCE: ARKIVOC (Gainesville, FL, United States) (2003), (10), 434-442
CODEN: AGFUAR
URL: http://www.arkat-usa.org/ark/journal/2003/Ruveda_Rossi/RR-881C/881C.pdf
PUBLISHER: Arkat USA Inc.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:71501
AB The anions of 1-(2-bromobenzoyl)-3-phenylthiourea (I),1-(2-chlorobenzoyl)-3-phenylthiourea (II) and 1-(2-bromobenzoyl)-3-phenylurea undergo intramol. nucleophilic substitution (putative SNAr mechanism), and not intramol. SRN1 substitution, to yield 1-phenyl-2-thioxo-2,3-dihydro-1H-quinazolin-4-one and 1-phenyl-1H-quinazoline-2,4-dione resp. Under the same reaction conditions with the addition of copper(I) iodide, phenylthioureas I and II gave a rearrangement to the resp. 2-halogeno-N-phenylbenzamides, such as 2-chloro-N-phenylbenzamide (46%).
IT 3282-28-8P, 1-Phenyl-1H-quinazoline-2,4-dione
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 1H-quinazoline-4-ones via intramol. aromatic nucleophilic

substitution)
RN 3282-28-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

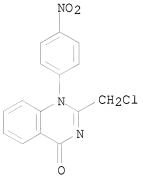
L4 ANSWER 8 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:321531 CAPLUS
DOCUMENT NUMBER: 139:149599
TITLE: Synthesis and QSAR studies of 4(1H)-quinazolinones
AUTHOR(S): Gangwal, N. A.; Narasimhan, B.; Mourya, V. K.; Dhake, A. S.
CORPORATE SOURCE: College of Pharmacy, Nashik, 422 002, India
SOURCE: Indian Journal of Heterocyclic Chemistry (2003), Volume Date 2002, 12(3), 201-204
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:149599
GI



I

AB 2-Substituted 1-phenyl-4(1H)-quinazolinones (I; R = H, 2-NO2, 4-NO2, 4-OMe, 4-Cl, etc.; X = piperidino, 4-methyl-1-piperazinyl, NMe2) were prepared in good yield by reaction of anthranilamides with excess chloroacetyl chloride under mild reaction conditions, followed by further chemical transformation. The antiinflammatory activity of the synthesized compds. was subjected to QSAR anal. The QSAR studies indicated the importance of steric and electronic parameters over the lipophilic parameter for biol. activity.
IT 396069-21-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(intermediate, amination of; preparation of 2-(aminomethyl)-1-aryl-4(1H)-quinazolinones and QSAR study of their antiinflammatory activity)
RN 396069-21-9 CAPLUS
CN 4(1H)-Quinazolinone, 2-(chloromethyl)-1-(4-nitrophenyl)- (CA INDEX NAME)



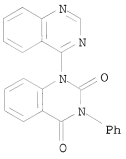
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:221342 CAPLUS
DOCUMENT NUMBER: 139:101096
TITLE: Synthesis and antiinflammatory screening of some quinazoline and quinazolyl-4-oxoquinazoline derivatives
AUTHOR(S): Gineinah, Magdy M.; El-Sherbeny, Magda A.; Nasr, Magda N.; Maarouf, Azza R.
CORPORATE SOURCE: Pharmaceutical Organic Chemistry, College of Pharmacy, Mansoura University, Mansoura, 35516, Egypt
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2003), Volume Date 2002, 335(11-12), 556-562
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:101096
AB Synthesis of some new derivs. of 2-aryl-4-oxo-1-(4-quinazolyl)quinazolines is described. Me N-(4-quinazolyl)anthranilate was allowed to react with Ph iso(thio)cyanate to give 3-phenyl-1-(4-quinazolyl)-1,2,3,4-tetrahydro-2,4-dioxo-and 4-oxo-2-thioxoquinazolines. Alternatively, anthranilic acid amide derivs. were subjected to cyclization with aromatic aldehydes to give 2-aryl-4-oxo-1-(4-quinazolyl)-1,2,3,4-tetrahydroquinazolines. On the other hand, 2-chloro-4-(4-substituted 1-piperazinyl)quinazoline derivs. were subjected to the same type of reactions at the 2-position to afford the corresponding quinazoline derivs. Furthermore, an acid amide was cyclized with acid chlorides to give the corresponding 2-aryl-1-(2-chloro-4-quinazolyl)-4-oxo-1,4-dihydroquinazolines, from which triazoloquinazoline derivs. were synthesized through an intermediate hydrazine derivs. Most of the newly synthesized compds. were tested for their antiinflammatory activities. However, some of the novel compds. were found to exhibit good antiinflammatory potencies. Compds. thus prepared included 2,3-dihydro-3-phenyl-2-thioxo[1(4H),4'-biquinazolin]-4-

one, 3-phenyl[1,4'(1H,3'H)-biquinazoline]-2,4'-dione, 2,3-dihydro-2-phenyl[1(4H),4'-biquinazolin]-4-one, 2'-chloro-2-(3-chlorophenyl)[1(4H),4'-biquinazolin]-4-one, 2'-chloro-2-(4-bromophenyl)[1(4H),4'-biquinazolin]-4-one, 2-(3-chlorophenyl)-1-[1-(3-nitrophenyl)[1,2,4]triazolo[4,3-a]quinazolin-4-yl]-4(1H)quinazolinone, 2-(4-bromophenyl)-1-[1-(3-nitrophenyl)[1,2,4]triazolo[4,3-a]quinazolin-4-yl]-4(1H)quinazolinone, etc.

IT 561065-13-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiinflammatory activity of [biquinazoline]diones, [(thioxo)biquinazolin]ones and [1,2,4]triazolo[4,3-a]quinazolinyl]quinazolinones)

RN 561065-13-2 CAPLUS
CN [1(2H),4'-Biquinazoline]-2,4(3H)-dione, 3-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:109222 CAPLUS
DOCUMENT NUMBER: 139:22104
TITLE: Low temperature, high conversion, liquid-phase benzylic oxidation with dioxygen by metal/NHPI-catalyzed Co-oxidation with benzaldehyde
AUTHOR(S): Schmieder-van de Vondervoort, Lizette; Bouttemy, Sabine; Heu, Ferdinand; Weissenbock, Kurt; Alsters, Paul L.
CORPORATE SOURCE: Advanced Synthesis and Catalysis, DSM Fine Chemicals, Geleen, 6160 MD, Neth.
SOURCE: European Journal of Organic Chemistry (2003), (3), 578-586
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:22104

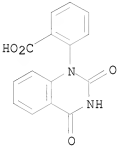
AB A new liquid-phase catalytic oxidation system for the low temperature, high conversion benzylic mono-oxyfunctionalization of 5H-dibenz[b,f]azepine-5-carboxamide (I) into oxcarbazepine with dioxygen has been developed. The method is based on a co-oxidation of I with benzaldehyde in the presence of a four-component catalyst system consisting of Co(OAc)2, Ni(OAc)2, Cr(NO3)3, and N-hydroxyphthalimide

(NHPI). The influence of the catalyst system on the formation and decomposition of the crucial hydroperoxide intermediate has been investigated. Based on these results, the role of each of the components in the catalyst system is discussed. The scope of this method for the oxidation of other substrates has been studied, and the results are compared with those obtained by Co/NHPI catalyzed oxidation of these substrates.

IT 537693-30-4P
RL: BYP (Byproduct); PREP (Preparation)
(low temperature, high-conversion, liquid-phase oxidation of 5H-dibenz[b,f]azepine-5-carboxamide with dioxygen in presence of metal/N-hydroxyphthalimide catalyst and benzaldehyde)

RN 537693-30-4 CAPLUS

CN Benzoic acid, 2-(3,4-dihydro-2,4-dioxo-1(2H)-quinazolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:942122 CAPLUS

DOCUMENT NUMBER: 138:337788

TITLE: Reactions of N-(pentafluorophenyl)carbonimidoyl dichloride with fluorinated benzenes in the presence of AlCl3

AUTHOR(S): Petrova, Tamara D.; Platonov, Vyacheslav E.; Pokrovskii, Leonid M.; Rybalova, Tatyana V.; Gatilov, Yurii V.

CORPORATE SOURCE: N. N. Vorozhtsov Novosibirsk Institute of Organic Chemistry, Siberian Branch, Russian Academy of Sciences, Novosibirsk, 630090, Russia

SOURCE: Collection of Czechoslovak Chemical Communications (2002), 67(10), 1449-1466
CODEN: CCCCAK; ISSN: 0010-0765

PUBLISHER: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:337788

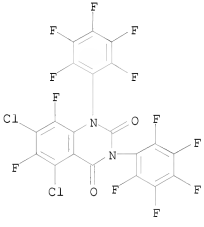
AB N-(Pentafluorophenyl)carbonimidoyl dichloride reacts, in the presence of excess AlCl3, with fluorinated benzenes containing 1-5 fluorine atoms in the mol. With fluoro- and 1,3,5-trifluorobenzene the reaction gives the corresponding imidoyl chlorides and azomethines; at elevated temps., azomethines are formed in increased amts. With 1,2,4,5-tetrafluorobenzene and pentafluorobenzene, intramol. cyclization, leading to polyfluorinated 1,2,3,4-tetrahydroquinazoline-2,4-diones is preferred. The side reactions

are fluorine substitution with chlorine and formation of 1,3-bis(pentafluorophenyl)urea.

IT 515842-81-6P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (reactions of N-(pentafluorophenyl)carbonimidoyl dichloride with fluorinated benzenes in the presence of AlCl3)

RN 515842-81-6 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 5,7-dichloro-6,8-difluoro-1,3-bis(2,3,4,5,6-pentafluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:227358 CAPLUS

DOCUMENT NUMBER: 136:386091

TITLE: Electrophilic N-Amination of Two Quinazoline-2,4-diones Using Substituted (Nitrophenyl)hydroxylamines

AUTHOR(S): Boyles, David C.; Curran, Timothy T.; Parlett, Roger V., IV; Davis, Mark; Mauro, Frank

CORPORATE SOURCE: Chemical Research and Development, Pfizer Global Research and Development, Ann Arbor, MI, 48105, USA

SOURCE: Organic Process Research & Development (2002), 6(3), 230-233
CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

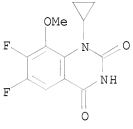
LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:386091

AB The preparation of a few (nitrophenyl)hydroxylamines and reaction with two quinazoline-2,4-diones is described. The electrophilic aminating agents were assessed in terms of yield for the N-amination of two quinazoline-2,4-diones and safety considerations for rapid scale-up. For the amination of the described system, the best yield and the highest onset temperature were found in the same aminating agent, specifically, (4-nitrophenyl)hydroxylamine.

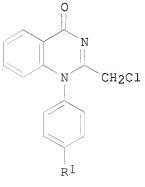
IT 351367-87-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(electrophilic N-amination of two quinazoline-2,4-diones using

substituted (nitrophenyl)hydroxylamines)
RN 351367-87-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclopropyl-6,7-difluoro-8-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:547820 CAPLUS
DOCUMENT NUMBER: 136:167343
TITLE: Synthesis of 1-substituted 2-(chloromethyl)-4(1H)-quinazolinones as antimicrobial agents
AUTHOR(S): Gangwal, N. A.; Kothawade, U. R.; Galande, A. D.; Pharande, D. S.; Dhake, A. S.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, N.D.M.V.P.S.'s College of Pharmacy, Nasik, 422 002, India
SOURCE: Indian Journal of Heterocyclic Chemistry (2001), 10(4), 291-294
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:167343
GI



I

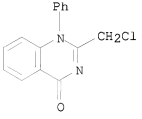
AB The title compds. (I; R1 = H, NO2, OMe) were prepared in good yields by reaction of 2-(phenylamino)benzamides with excess chloroacetyl chloride

under mild conditions. The antimicrobial activities of I (R1 = H, OMe) were evaluated against Escherichia coli, Staphylococcus aureus, Bacillus subtilis, and Klebsiella pneumoniae using the agar cup plate diffusion method.

IT 66478-79-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-substituted 2-(chloromethyl)-4(1H)-quinazolinones as antimicrobial agents)

RN 66478-79-3 CAPLUS

CN 4(1H)-Quinazolinone, 2-(chloromethyl)-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:471237 CAPLUS

DOCUMENT NUMBER: 136:134728

TITLE: Synthesis of novel 2,4-(1H,3H)-quinazolinedione derivatives with analgesic and anti-inflammatory activities

AUTHOR(S): Baraka, M. M.

CORPORATE SOURCE: Medicinal Chemistry Department, Zagazig University, Zagazig, Egypt

SOURCE: Bollettino Chimico Farmaceutico (2001), 140(2), 90-96
CODEN: BCFAAI; ISSN: 0006-6648

PUBLISHER: Societa Editoriale Farmaceutica

DOCUMENT TYPE: Journal

LANGUAGE: English

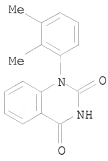
OTHER SOURCE(S): CASREACT 136:134728

AB Starting from mefenamic acid a series of 1-(2,3-dimethylphenyl)-2,4(1H,3H)-quinazolinedione derivs. were prepared Seven representative compds. were subjected to preliminary pharmacol. screening which revealed that some of them exhibited analgesic and anti-inflammatory activity greater than mefenamic acid.

IT 1804-49-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and analgesic and anti-inflammatory activities of quinazolinediones)

RN 1804-49-5 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3-dimethylphenyl)- (CA INDEX NAME)



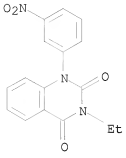
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:413183 CAPLUS
DOCUMENT NUMBER: 135:164033
TITLE: An updated topographical model for phosphodiesterase 4 (PDE4) catalytic site
AUTHOR(S): Fossa, Paola; Menozzi, Giulia; Mosti, Luisa
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Genoa, 16132, Italy
SOURCE: Quantitative Structure-Activity Relationships (2001), 20(1), 17-22
CODEN: QSARDI; ISSN: 0931-8771
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Preclin. and clin. studies on cyclic nucleotide phosphodiesterases 4 (PDE4) inhibitors showed that these agents might be employed in the treatment of allergic diseases, in particular asthma. Unfortunately, many of these compds. such as rolipram, which belongs to the so-called first generation" showed undesirable side effects such as nausea and emesis. Efforts to eliminate these adverse side effects prompted the synthesis of a second generation of PDE4 inhibitors, with improved selectivity toward the enzyme catalytic site. So as to refine the pharmacophoric models of the catalytic site previously described in literature and better define the structural requirements which are essential for potent and selective PDE4 inhibition, we undertook the present computational study. DISCO approach was applied to generate an optimal alignment for a set of structurally diverse selective inhibitors 1-18 chosen from the literature. The resulting superimposition of common pharmacophoric elements was refined by evaluating mol. field properties. A rational pharmacophoric model of the enzyme active site was thus derived and tested for its ability in predicting the degree of potency for a novel ligand. The comparison of the pharmacophoric areas common to cAMP, the natural substrate of the enzyme, and the most selective inhibitors was performed so as to better understand the binding mode of PDE4 selective inhibitors in the catalytic site.

IT 56739-21-0, Nitraquazone
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(updated topog. model for phosphodiesterase 4 (PDE4) catalytic site)
RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:208111 CAPLUS
DOCUMENT NUMBER: 134:247241
TITLE: Methods and compositions for modulating responsiveness to corticosteroids
INVENTOR(S): Sekut, Les; Carter, Adam; Ghayur, Tariq; Banerjee, Subhashis; Tracey, Daniel E.
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019373	A2	20010322	WO 2000-US24725	20000908
WO 2001019373	A3	20011004		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-398555 A1 19990917

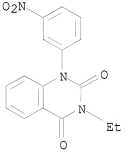
AB Methods for modulating responsiveness to corticosteroids in a subject are provided. An agent which antagonizes a target that regulates production of IFN-γ in the subject is administered to the subject in combination with a corticosteroid such that responsiveness of the subject to the corticosteroid is modulated as compared to when a corticosteroid alone is administered to the subject. In one embodiment, the agent is an IL-18 antagonist. In another embodiment, the agent is an interleukin-12 (IL-12) antagonist. In yet another embodiment, the agent is an NK cell antagonist. In a preferred embodiment, the agent is an inhibitor of a caspase family protease, preferably an ICE inhibitor. In another

preferred embodiment, the agent is an anti-IL-12 monoclonal antibody. In yet another preferred embodiment, the agent is an anti-asialo-GM1 antibody or an NK1.1 antibody. Other preferred agents include phosphodiesterase IV inhibitors and beta-2 agonists. The methods of the invention can be used in the treatment of a variety of inflammatory and immunol. diseases and disorders. Pharmaceutical compns. comprising an agent which antagonizes a target that regulates production of IFN-γ in a subject, a corticosteroid and a pharmaceutically acceptable carrier are also provided. A preferred composition comprises an ICE inhibitor, a corticosteroid and a pharmaceutically acceptable carrier.

IT 56739-21-0, Nitraquazone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. for modulating responsiveness to corticosteroids)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:186430 CAPLUS

DOCUMENT NUMBER: 135:5580

TITLE: Solid-phase synthesis of quinazoline-2,4-diones using SNAr reaction

AUTHOR(S): Makino, Shingo; Suzuki, Nobuyasu; Nakanishi, Eiji; Tsuji, Takashi

CORPORATE SOURCE: Pharmaceutical Research Laboratories, Ajinomoto Co., Inc., Kawasaki, 210-8681, Japan

SOURCE: Synlett (2001), (3), 333-336
CODEN: SYNLES; ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:5580

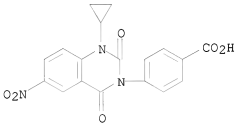
AB An efficient solid-phase synthesis of diverse 1,3-disubstituted quinazoline-2,4-diones is reported. Since substituents at the 1-position of quinazolidine-2,4-diones were introduced by reaction between primary amines and 2-fluoro-5-nitrobenzoyl amides, SNAr reaction, compds. that cannot be prepared by alkylation or arylation can be easily obtained. In addition, the nitro group of quinazoline-2,4-diones can be repeatedly reduced to provide N(3)-amines for quinazoline-2,4-dione syntheses, allowing the synthesis of quinazoline-2,4-dione oligomers and polymers. An oligomer

with four quinazoline-2,4-dione units was successfully synthesized.

IT 341519-58-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of quinazolinediones via aromatic nucleophilic substitution)

RN 341519-58-2 CAPLUS

CN Benzoic acid, 4-(1-cyclopropyl-1,4-dihydro-6-nitro-2,4-dioxo-3(2H)-quinazolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:128911 CAPLUS

DOCUMENT NUMBER: 134:340473

TITLE: Solid-phase synthesis of 1,3-disubstituted 2-thioxoquinazolin-4-ones using SNAr reaction

AUTHOR(S): Makino, S.; Nakanishi, E.; Tsuji, T.

CORPORATE SOURCE: Pharmaceutical Research Laboratories, Ajinomoto Co. Inc., Kawasaki-ku, Kawasaki-shi, 210-8681, Japan

SOURCE: Tetrahedron Letters (2001), 42(9), 1749-1752
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

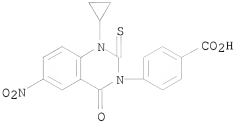
OTHER SOURCE(S): CASREACT 134:340473

AB A solid-phase synthesis of diverse 1,3-disubstituted 2-thioxoquinazolin-4-ones was developed. In this synthesis, the F atom on support-bound 2-fluoro-5-nitrobenzoyl amides was substituted with various primary amines, followed by cyclization with thiocarbonyldiimidazole. Since 1-substitutions can be achieved with primary amines, diverse 1,3-disubstituted 2-thioxoquinazolin-4-ones can be efficiently synthesized using this method. Although solid-phase synthesis of 2-thioxoquinazolin-4-ones using 2-MeO2CC6H4NCS was reported previously, the introduction of 1-substitutions could not be achieved due to the reactivity of the 2-S atom with alkyl or aryl halide.

IT 337960-90-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of thioxoquinazolinones by nucleophilic aromatic substitution)

RN 337960-90-4 CAPLUS

CN Benzoic acid, 4-(1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo-2-thioxo-3(2H)-quinazolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:93872 CAPLUS
DOCUMENT NUMBER: 134:157586
TITLE: Use of substances increasing the intracellular content of cyclic AMP or stimulating activity of cyclic AMP binding proteins for the treatment of illnesses of the bladder
INVENTOR(S): Truss, Michael Carsten; Stief, Christian G.; Jonas, Udo; Uckert, Stefan; Becker, Armin J.; Forssmann, Wolf-Georg
PATENT ASSIGNEE(S): Germany
SOURCE: Ger. Offen., 8 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

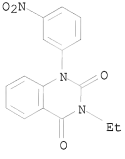
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19935209	A1	20010208	DE 1999-19935209	19990727
PRIORITY APPLN. INFO.:			DE 1999-19935209	19990727

AB The invention discloses the use of substances increasing the intracellular concentration of cAMP by direct stimulation of adenyl cyclase activity, associating with β receptors, or inhibiting cAMP-hydrolyzing phosphodiesterases 1, 2, 3, 4, 7, or 8, or stimulate the functional activity of cAMP binding proteins, for the treatment of urinary bladder storage function disturbances (urge symptomatol., urge incontinence, pollakiuria, Nycturia, and detrusor muscle instability). Such substances include e.g. forskolin, L-858051, adenyl cyclase toxin, xamoterol, denopamine, clenbuterol, procaterol, salbutamol, sameterol, formoterol, terbutaline, fenoterol, BRL 37344, ZD 7114, CPG 12177, CL 316243, ICI 215.001, pindolol, IBMX, methoxymethyl-IBMX, vinpocetin, vincamin, HA-588, calmodulin antagonists, EHNA, amrinone, OPC 3698, enoximone, milrinone, Ro 13-6438, siquazodan, HL 725, 8-Br-cGMP, 8-pCPT-cGMP, Sp-8-Br-cGMPS, PET GCMcP, CD-80.633, BRL 30892, SQ 20009, 3-ethyl-1-(4-fluorophenyl)-6-phenyl-7-oxo-4,5,6,7-tetrahydro-1H-pyrazolopyridine, ZK 62711, Ro 20-1724,, RP 73401, RS 25344, SB 2074499, TVX 2706, zardaverine, 8-bromo-cAMP, and Sp-cAMPS.
IT 56739-21-0, TVX 2706
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(substances increasing the intracellular content of cAMP or stimulating activity of cAMP binding proteins for the treatment of illnesses of the bladder)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:14584 CAPLUS

DOCUMENT NUMBER: 134:252309

TITLE: Synthesis of 2,4(1H,3H)-quinazolinedione derivatives with analgesic and antiinflammatory activities

AUTHOR(S): Baraka, Mohamed M.

CORPORATE SOURCE: Medicinal Chemistry Department, Faculty of Pharmacy, Zagazig University, Zagazig, Egypt

SOURCE: Bulletin of the Faculty of Pharmacy (Cairo University) (2000), 38(1), 145-154
CODEN: BFPHA8; ISSN: 1110-0931

PUBLISHER: Cairo University, Faculty of Pharmacy

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:252309

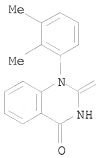
AB Starting from mefenamic acid, a series of 1-(2,3-dimethylphenyl)-2,4(1H,3H)-quinazolinedione derivs. was prepared The structures of the new compds. were confirmed by microanal. and IR and 1H-NMR spectra. Seven representative compds. were subjected to preliminary pharmacol. screening, which revealed that some of them exhibited analgesic and antiinflammatory activities higher than mefenamic acid.

IT 1804-49-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2,4(1H,3H)-quinazolinedione derivs. with analgesic and antiinflammatory activities)

RN 1804-49-5 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3-dimethylphenyl)- (CA INDEX NAME)

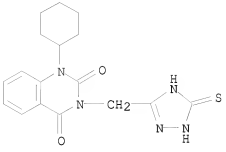


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:880407 CAPLUS
DOCUMENT NUMBER: 134:222687
TITLE: Synthesis and biological screening of new 2,4-(1H,3H)-quinazolinediones including 5-mercaptoxadiazole and 5-mercaptotriazole moieties
AUTHOR(S): Barakat, S. E. S.; El-Zahabi, M. A.; Radwan, M. F.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Applied Science University, Cairo, Egypt
SOURCE: Al-Azhar Journal of Pharmaceutical Sciences (1999), 23, 36-45
CODEN: AAJPFT; ISSN: 1110-1644
PUBLISHER: Al-Azhar University, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:222687

AB In view of their expected CNS depressant and antimicrobial activities, some new 1-substituted-3-[(5-mercapto-1,3,4-oxadiazol-2-yl)methyl]-2,4(1H,3H)-quinazolinediones (I) and their 5-mercapto-1,3,4-triazole analogs (II) were synthesized and characterized by elemental and spectral analyses. The preliminary biol. screening showed that some derivs. of the type I possess an antimicrobial activity against six different strains of microorganisms, while some derivs. of the type II exhibited a marked tranquilizing effect in mice compared with chlorpromazine and an appreciable anticonvulsant action against pentetrazole-induced convulsions compared with pentobarbital.

IT 329306-97-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and CNS depressant and antimicrobial activities of quinazolinediones)
RN 329306-97-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclohexyl-3-[(2,5-dihydro-5-thioxo-1H-1,2,4-triazol-3-yl)methyl]- (CA INDEX NAME)

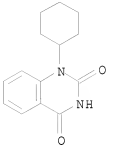


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:880406 CAPLUS
DOCUMENT NUMBER: 134:222686
TITLE: Synthesis and analgesic activity of some 1-alkyl-3-(N-substituted phenylcarbamoylmethyl)-2,4(1H,3H)-quinazolinediones
AUTHOR(S): El-Helby, A. A. H.; Barakat, S. E. S.; Abdel Hamid, S. G.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy Al-Azhar University, Cairo, Egypt
SOURCE: Al-Azhar Journal of Pharmaceutical Sciences (1999), 23, 25-35
CODEN: AAJPFT; ISSN: 1110-1644
PUBLISHER: Al-Azhar University, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:222686

AB Some new 1-alkyl-3-(N-substituted phenylcarbamoylmethyl)-2,4(1H,3H)-quinazolinediones (I) were synthesized via condensation of different 2-chloro-N-arylacetamides with potassium salts of several 1-alkyl-2,4(1H,3H)-quinazolinediones in DMF. The preliminary evaluation of the analgesic action of I compared with acetaminophen showed that derivs. having OH and OCH3 groups at position-4 of the phenylacetamido moiety possess the maximum analgesic activity.

IT 176096-39-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and analgesic activity of alkyl(phenylcarbamoylmethyl)quinazolinediones)
RN 176096-39-2 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclohexyl-, potassium salt (1:1) (CA INDEX NAME)



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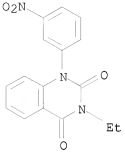
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:832466 CAPLUS
DOCUMENT NUMBER: 134:162962
TITLE: Synthesis and biological evaluation of 2,5-dihydropyrazolo[4,3-c]quinolin-3-ones, a novel series of PDE 4 inhibitors with low emetic potential and antiasthmatic properties
AUTHOR(S): Crespo, M. I.; Gracia, J.; Puig, C.; Vega, A.; Bou, J.; Beleta, J.; Domenech, T.; Ryder, H.; Segarra, V.; Palacios, J. M.
CORPORATE SOURCE: Almirall Prodesfarma, Research Centre, Barcelona, 08024, Spain
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(23), 2661-2664
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:162962
AB A novel series of 2,5-dihydropyrazolo[4,3-c]quinolin-3-ones was prepared These compds. showed good PDE 4 inhibitory activity and weak affinity for rolipram's binding site. They also exhibited a good anti-inflammatory profile without emetic side effects.
IT 56739-21-0, Nitraquazone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biol. evaluation as type 4 phosphodiesterase inhibitor)
RN 56739-21-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

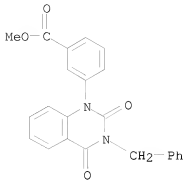
L4 ANSWER 24 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:168135 CAPLUS
DOCUMENT NUMBER: 132:203132
TITLE: Method for inhibiting neoplastic cells and related conditions by exposure to quinazolinedione and pyridopyrimidinedione derivatives
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary A.
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6037345	A	20000314	US 1998-5731	19980113

PRIORITY APPLN. INFO.: US 1998-5731 19980113
OTHER SOURCE(S): MARPAT 132:203132

AB This invention includes a method of inhibiting neoplastic cells by exposing those cells to a pharmacol. effective amount of quinazolinedione and pyridopyrimidinedione derivs. Such compds. are effective in modulating apoptosis and eliminating and inhibiting the growth of neoplasias such as precancerous lesions. The compds. that are useful in the methods of this invention include quinazoline-1H,3H-2,4-diones and pyrido-[2,3d]-pyrimidine-1H,3H-2,4-diones or a pharmaceutically acceptable acid addition salt thereof. This invention relates to a method for inhibiting neoplasia, particularly cancerous and precancerous lesions by exposing the affected cells to the compds. of this invention.

IT 114934-47-3P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and antitumor activity of quinazolinedione and pyridopyrimidinedione derivs. and effects on precancerous lesions)
RN 114934-47-3 CAPLUS
CN Benzoic acid, 3-[3,4-dihydro-2,4-dioxo-3-(phenylmethyl)-1(2H)-quinazolinyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

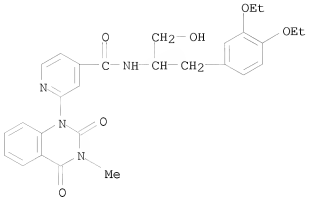
L4 ANSWER 25 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:137239 CAPLUS
DOCUMENT NUMBER: 132:194292
TITLE: Preparation of medicine composition containing pyridylamines
INVENTOR(S): Ukita, Tatsuzo; Sugawara, Masakatsu; Ikezawa, Ichiro; Yoshikawa, Hideo; Naito, Kazuaki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2000063275	A	20000229	JP 1999-164565		19990611
PRIORITY APPLN. INFO.:			JP 1998-164045	A	19980612

OTHER SOURCE(S): MARPAT 132:194292
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; Q = N containing substituted benzoheterocyclic ring; Q1 = N containing substituted benzoheterocyclic ring], stereoisomers, pharmaceutical acceptable salts are prepared as active components in antiasthmatics. The title compound II was prepared
IT 209262-06-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridylamines as antiasthmatics)
RN 209262-06-6 CAPLUS
CN 4-Pyridinecarboxamide, N-[2-(3,4-diethoxyphenyl)-1-(hydroxymethyl)ethyl]-2-(3,4-dihydro-3-methyl-2,4-dioxo-1(2H)-quinazolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 26 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:311055 CAPLUS
DOCUMENT NUMBER: 130:338119
TITLE: Preparation of 7-substituted 3-hydroxyquinazoline-2,4-diones and related compounds as antibacterial agents.
INVENTOR(S): Domagala, John Michael; Ellsworth, Edmund Lee; Huang, Liren; Renau, Thomas Eric; Singh, Rajeshwar; Stier, Michael Andrew
PATENT ASSIGNEE(S): Warner Lambert Co., USA
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

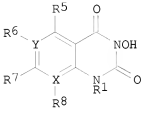
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921840	A1	19990506	WO 1998-US19877	19980923
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9895039	A	19990517	AU 1998-95039	19980923
EP 1028950	A1	20000823	EP 1998-948473	19980923
EP 1028950	B1	20030502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 239000	T	20030515	AT 1998-948473	19980923
ES 2195397	T3	20031201	ES 1998-948473	19980923
ZA 9809783	A	19990428	ZA 1998-9783	19981027
US 6331538	B1	20011218	US 2000-508796	20000315
US 20020115674	A1	20020822	US 2001-971343	20011004
US 6825199	B2	20041130		

PRIORITY APPLN. INFO.:			
	US 1997-63556P	P	19971028
	US 1998-98588P	P	19980831
	WO 1998-US19877	W	19980923

US 2000-508796 A3 20000315

OTHER SOURCE(S): MARPAT 130:338119

GI



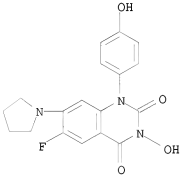
I

AB Title compds. [I; R1 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, Ph; R5, R6, R8 = H, F, Cl, Br, NO2, cyano, CF3, alkyl, cycloalkyl, amino, etc.; R7 = R5, (substituted) carbocyclyl, Ph, (fused) heterocyclyl, etc.; R1R8 = (substituted) 6-7 membered (heterocyclic) ring; X, Y = C, N], were prepared Thus, 1-cyclopropyl-6-fluoro-3-hydroxy-7-(pyrrolidin-1-yl)-1H-quinazoline-2,4-dione (preparation given) inhibited Staphylococcus aureus with min. inhibitory concentration = 1.0 μg/mL.

IT 224189-40-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 7-substituted 3-hydroxyquinazoline-2,4-diones and related compds. as antibacterial agents)

RN 224189-40-6 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6-fluoro-3-hydroxy-1-(4-hydroxyphenyl)-7-(1-pyrrolidinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:640257 CAPLUS

DOCUMENT NUMBER: 129:255530

ORIGINAL REFERENCE NO.: 129:51927a,51930a

TITLE: Methods and compositions for modulating responsiveness to corticosteroids

INVENTOR(S): Sekut, Les; Carter, Adam; Chayur, Tariq; Banerjee,

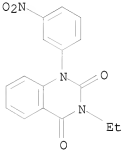
Subhashis; Tracey, Daniel E.
PATENT ASSIGNEE(S): Basf A.-G., Germany
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9841232	A2	19980924	WO 1998-US4916	19980312
WO 9841232	A3	20001005		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6054487	A	20000425	US 1997-820692	19970318
CA 2282845	A1	19980924	CA 1998-2282845	19980312
AU 9867604	A	19981012	AU 1998-67604	19980312
AU 734756	B2	20010621		
TR 9902615	T2	20000321	TR 1999-2615	19980312
EP 998300	A1	20000510	EP 1998-912929	19980312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9810409	A	20000822	BR 1998-10409	19980312
JP 2002504091	T	20020205	JP 1998-540633	19980312
HU 2001004439	A2	20020429	HU 2001-4439	19980312
HU 2001004439	A3	20020828		
NZ 337769	A	20020927	NZ 1998-337769	19980312
NO 9904506	A	19991117	NO 1999-4506	19990917

PRIORITY APPLN. INFO.: US 1997-820692 A2 19970318
US 1998-16346 A2 19980130
WO 1998-US4916 W 19980312

AB Method for modulating responsiveness to corticosteroids in a subject are provided. In the method of the invention, an agent which antagonizes a target that regulates production of IFN-γ in the subject is administered to the subject in combination with a corticosteroid such that responsiveness of the subject to the corticosteroid is modulated as compared to when the corticosteroid is given alone. The method can be used to, for example, reverse steroid resistance of to increase steroid sensitivity, or to ameliorate the steroid rebound effect when subjects are taken off corticosteroid treatment. In one embodiment, the agent is an IL-18 antagonist. In another embodiment, the agent is an interleukin-12 (IL-12) antagonist. In yet another embodiment, the agent is an NK cell antagonist. In a preferred embodiment, the agent is an inhibitor of a caspase family protease, preferably an ICE inhibitor. In another preferred embodiment, the agent is an anti-IL-12 monoclonal antibody. In yet another preferred embodiment, the agent is an anti-asialo-GM1 antibody or an NK1.1 antibody. Other preferred agents include phosphodiesterase IV inhibitors and beta-2 agonists. The methods of the invention can be used in the treatment of a variety of inflammatory and immunol. diseases and disorders. Pharmaceutical compns. comprising an agent which antagonizes a target that regulates production of IFN-γ in a subject, a corticosteroid and a pharmaceutically acceptable carrier are also provided. A preferred composition comprises an ICE inhibitor, a corticosteroid and a pharmaceutically acceptable carrier.
IT 56739-21-0, Nitraquazone

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase IV inhibitor; methods and compns. for modulating responsiveness to corticosteroids by co-administration of another agent)
RN 56739-21-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)

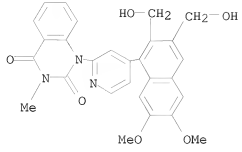


OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:561163 CAPLUS
DOCUMENT NUMBER: 129:239891
ORIGINAL REFERENCE NO.: 129:48675a,48678a
TITLE: Naphthalene derivatives as antiasthmatics
INVENTOR(S): Ukita, Tatsuzo; Ikezawa, Ichiro; Yamagata, Shinsuke
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

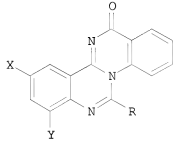
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10226647	A	19980825	JP 1997-342351	19971212
JP 3237109	B2	20011210		
PRIORITY APPLN. INFO.:			JP 1996-333356 A	19961213

AB Naphthalene derivs. (Markush's structures included) and their pharmacol. acceptable salts are claimed as antiasthmatics, with phosphodiesterase IV-inhibiting activity, and for treatment of airway inflammation. The antiasthmatic, phosphodiesterase IV-inhibiting actions were tested in animal models.
IT 186460-47-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(naphthalene derivs. as antiasthmatics)
RN 186460-47-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-[4-[2,3-bis(hydroxymethyl)-6,7-dimethoxy-1-naphthalenyl]-2-pyridinyl]-3-methyl- (CA INDEX NAME)

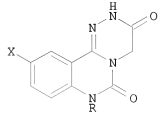


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 29 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:483733 CAPLUS
DOCUMENT NUMBER: 129:230701
ORIGINAL REFERENCE NO.: 129:46946h,46947a
TITLE: Synthesis and pharmacological evaluation of some new quinazolino[1,2-c]quinazolinone and 1,2,4-triazino[4,3-c]quinazoline analogs
AUTHOR(S): Ebeid, Mohammad Yassin; Abdel-Samei Amin, Monir; El-Sayed Barakat, Saber; Ibrahim, Mohammad Kamal; El-Helby, Abdel-Ghani Ali; Sakr, Helmy Mostafa
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Cairo University, Cairo, Egypt
SOURCE: Saudi Pharmaceutical Journal (1998), 6(2), 127-139
CODEN: SPJOEM; ISSN: 1319-0164
PUBLISHER: Saudi Pharmaceutical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

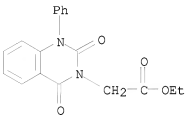


II

AB New quinazolino[1,2-c]quinazolinones I (X, Y = H, Cl, Br; R = Me, Et, CH:CHR1; R1 = Me, Ph, 2-ClC6H4) and tetrahydrodioxo-1,2,4-triazino[4,3-c]quinazolines II (X = H, Br, Cl; R = Me, Et, allyl, n-Pr, Bu, benzyl, Bz) were synthesized and characterized by both elemental and spectral analyses. Pharmacol. evaluation of I and II showed that some vinyl derivs. of I possess a significant hypnotic activity compared with phenobarbitone, whereas, other I and II showed mild non-narcotic analgesic activity compared with paracetamol.

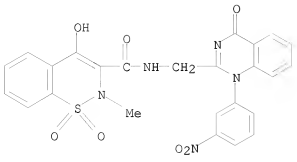
IT 34928-91-1
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of analgesic/hypnotic quinazolinoquinazolinones and triazinoquinazolines)
RN 34928-91-1 CAPLUS
CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-1-phenyl-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:465148 CAPLUS
DOCUMENT NUMBER: 129:245107
ORIGINAL REFERENCE NO.: 129:49909a,49912a
TITLE: Synthesis of pharmacological screening of novel antiinflammatory agents
AUTHOR(S): Bothara, K. G.; Kadam, S. S.; Sai Shivram, V.
CORPORATE SOURCE: Bharati Vidyapeeth's, Poona College of Pharmacy, Erandawane, 411 038, India
SOURCE: Indian Drugs (1998), 35(6), 372-376
CODEN: INDRBA; ISSN: 0019-462X
PUBLISHER: Indian Drug Manufacturers' Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The antiinflammatory agents are inhibitors of the cyclooxygenase pathway associated with metabolism of cellular arachidonic acid. A 2nd major pathway of arachidonate metabolism was characterized, in which arachidonic acid is converted to proinflammatory products called leukotrienes. Antiinflammatory agents with dual inhibitory activity towards cyclooxygenase and 5-lipoxygenase were prepared This dual active hybrid skeleton was named as FS. A number of compds. of the FS series were synthesized by combining 1-(substituted phenyl)dihydroquinazolin-4-on-2-ylmethyl chloride skeleton with the N-heterocyclic-3-carboxamide of 4-hydroxy-2-methyl-2H-1,2-benzothiazine 1,1-dioxide. The pharmacol. evaluation was done using the carrageenan rat foot edema test. The compds. prepared were active as antiinflammatory agents.
IT 213272-32-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and anti-inflammatory activity of)
RN 213272-32-3 CAPLUS
CN 2H-1,2-Benzothiazine-3-carboxamide, N-[[1,4-dihydro-1-(3-nitrophenyl)-4-oxo-2-quinazolinyl]methyl]-4-hydroxy-2-methyl-, 1,1-dioxide (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:433840 CAPLUS
DOCUMENT NUMBER: 129:213345
ORIGINAL REFERENCE NO.: 129:43259a,43262a
TITLE: Comparison of recombinant human PDE4 isoforms: interaction with substrate and inhibitors
AUTHOR(S): Saldou, Natalie; Obernolte, Rena; Huber, Anita; Baecker, P. A.; Wilhelm, Robert; Alvarez, Robert; Li, Bin; Xia, Ling; Callan, Ondine; Su, Cheng; Jarnagin, Kurt; Shelton, Earl R.
CORPORATE SOURCE: Roche Bioscience, Palo Alto, CA, 94304, USA
SOURCE: Cellular Signalling (1998), 10(6), 427-440
CODEN: CESIEY; ISSN: 0898-6568
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

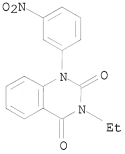
AB Four cyclic-nucleotide phosphodiesterase (PDE) genes belonging to the PDE4 family (PDE4A, 4B, 4C and 4D) have been identified. All four isogenes, including several deletions and alterations of the amino, carboxyl and central catalytic domains, were expressed in insect cells. Lysates were characterized for enzyme activity by using the Km for substrate and the EC50 for activation by the cofactor Mg2+. The catalytic domain alone appears to be sufficient for the normal enzymic function of PDE4 proteins. Substrate affinity varied by less than 2-fold between catalytic-domain forms of the PDE4A, 4B and 4D isogenes and the long forms (PDE4A5, PDE4B1 and PDE4D3). The affinity for Mg2+ varied by less than 4-fold between long and catalytic-domain forms of PDE4A and 4B. The catalytic-domain form of PDE4D, however, had a 12-fold lower affinity for Mg2+ that was restored by including a portion of the amino-terminal domain, upstream conserved region-2 (UCR2). This result suggests that the Mg2+-binding site of PDE4D involves the UCR2 region. Inhibition of the PDE4 proteins by synthetic compds. is apparently affected differently by the domains. For PDE4B, the catalytic domain is sufficient for interactions with the inhibitors studied: IBMX, trequinsin, rolipram, TVX 2706, RP 73401 and RS-25344. For PDE4D the catalytic-domain form is less sensitive than the long form to inhibition by RS-25344, rolipram and TVX 2706, by 1463-, 11-and 12-fold, resp. Addition of UCR2 to the catalytic-domain form of PDE4D restored all the lost sensitivities. The catalytic-domain form of PDE4A showed a reduced inhibitor affinity with RS-25344 and TVX 2706 by 77- and 90-fold, resp. Both catalytic-domain and long forms of PDE4 isogenes interacted with equal affinity with the non-specific inhibitors IBMX and trequinsin, as well as the very potent PDE4-specific inhibitor RP 73401. Other potent and specific PDE4 inhibitors, such as rolipram, RS-25344 or

TVX 2706, appear to utilize non-catalytic domain interactions with PDE4D and 4A to supplement those within the catalytic domains. These observations suggest a different relation between amino and catalytic domains in PDE4D relative to PDE4B. We therefore propose a model to illustrate these isogene-specific PDE4 domain interactions with substrate, inhibitors and the co-factor Mg2+. The model for PDE4D is also discussed in relation to changes in the activation curve for Mg2+ and sensitivity to RS-25344 that accompany phosphorylation of the long form by protein kinase A.

IT 56739-21-0, TVX 2706
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(comparison of recombinant human PDE4 isoforms)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:114880 CAPLUS

DOCUMENT NUMBER: 128:239255

ORIGINAL REFERENCE NO.: 128:47205a,47208a

TITLE: The effect duration of selective phosphodiesterase inhibitors in the guinea pig

AUTHOR(S): Spina, Domenico; Ferlenga, Pierpaolo; Biasini, Ivano; Moriggi, Ermanno; Marchini, Francesco; Semeraro, Claudio; Page, Clive P.

CORPORATE SOURCE: The Sackler Institute of Pulmonary Pharmacology, Department of Respiratory Medicine, King's College School of Medicine and Dentistry, London, SE5 9PJ, UK

SOURCE: Life Sciences (1998), 62(11), 953-965
CODEN: LIFSAK; ISSN: 0024-3205

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

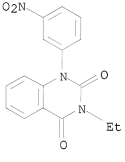
LANGUAGE: English

AB The β-adrenoceptor agonists, isoprenaline, salbutamol and salmeterol, the non-selective phosphodiesterase (PDE) isoenzyme inhibitors, theophylline, trequinsin; the PDE3 isoenzyme inhibitor, milrinone; the PDE3/4 isoenzyme inhibitor, benzafentrine; and the PDE4 isoenzyme inhibitors, denbufylline, nitraquazone, RP 73401, Ro-20-1724, rolipram, and tibenelast all induced concentration-dependent reversal of prostaglandin F2α-induced contraction of guinea pig superfused trachea in vitro. The relaxant response of the non-selective PDE isoenzyme inhibitor

trequinsin was slow in onset and demonstrated very slow recovery, similar to that observed with the long-acting β2-adrenoceptor agonist, salmeterol, and the PDE4 inhibitor, RP 73401. The relaxant agonists also significantly reversed bombesin-induced bronchospasm in anesthetized guinea pigs and there was a highly significant correlation between the ability of drugs to reverse PGF2α-induced contraction of guinea pig isolated trachea in vitro and bombesin-induced bronchoconstriction in vivo. Furthermore, both salmeterol and trequinsin demonstrated long lasting bronchodilator responses consistent with the in vitro data. These results show that PDE isoenzyme inhibitors demonstrate different pharmacodynamic profiles that is not determined by PDE4 inhibitory potency and indicate that other factors may be important in this regard.

IT 56739-21-0, Nitraquazone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(duration of bronchodilating action of phosphodiesterase isoenzyme inhibitors)

RN 56739-21-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:754882 CAPLUS
DOCUMENT NUMBER: 128:43428
ORIGINAL REFERENCE NO.: 128:8351a,8354a
TITLE: Role of phosphodiesterase inhibition in regulating cyclic AMP content of U937 cells
AUTHOR(S): Grous, Marilyn; Christensen, Siegried B.; Burman, Miriam; Cieslinski, Lenora; Huang, Lisa; Torphy, Theodore J.; Barnette, Mary S.
CORPORATE SOURCE: Dep. Pulmonary Pharmacology, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, 19406, USA
SOURCE: Pharmacology Reviews and Communications (1997), 9(4), 237-245
CODEN: PHRCF6
PUBLISHER: Harwood Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

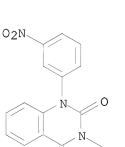
AB The role of phosphodiesterase (PDE) isoenzymes was determined in regulating cAMP content of U-937 cells, a human monocytic leukemic cell line. CAMP content was determined after incubating cells with various concns. of several

selective and non-selective PDE inhibitors in the presence of an adenylyl cyclase activator, PGE2 (0.1 μM). The PDE4 selective inhibitors rolipram, TVX 2706, denbufylline, and Ro 20-1724 increased cAMP content with EC50 values of 0.6, 0.7, 0.8, and 4.0 μM, resp. AH 21-132, a mixed PDE3/4 inhibitor also increased cAMP content with an EC50 = 11 μM. In addition, cAMP content was not altered by 100 μM siguazodan, a PDE3 inhibitor, or zaprinast, a selective inhibitor of the cGMP-specific PDE (PDE5). Selective PDE4 inhibitors not only inhibit catalytic PDE4 activity, but also are capable of displacing [3H]-rolipram from a high affinity binding site. Therefore, the authors attempted to determine if increases in cAMP content in U-937 cells in the presence of various PDE inhibitors correlated with either of these actions. It was found that increases in cAMP content correlated equally with either inhibition of PDE4 catalytic activity (Spearman's Rho = 0.64) or displacement of [3H]-rolipram binding (Spearman's Rho = 0.6). The data supports the conclusion that PDE4 is the major isoenzyme regulating cAMP content of U-937 cells and that increases in cAMP content in these cells correlate equally with either inhibition of PDE4 catalytic activity or displacement of [3H]-rolipram binding.

IT 56739-21-0, TVX 2706
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(role of phosphodiesterase inhibition in regulating cAMP content of U937 cells)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 34 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:549055 CAPLUS

DOCUMENT NUMBER: 127:229228

ORIGINAL REFERENCE NO.: 127:44535a,44538a

TITLE: A pharmacophore model for PDE IV inhibitors

AUTHOR(S): Polymeropoulos, Emmanuel E.; Hofgen, Norbert

CORPORATE SOURCE: ASTA Medica Group, Department Chemical Research, Frankfurt/Main, D-60314, Germany

SOURCE: Quantitative Structure-Activity Relationships (1997), 16(3), 231-234
CODEN: QSARDI; ISSN: 0931-8771

PUBLISHER: Wiley-VCH

DOCUMENT TYPE: Journal

LANGUAGE: English

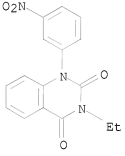
AB Based on conformational anal. and GRID-contour calcns. we developed a common primary pharmacophore for rolipram analog, nitraquazone and

xanthine derivative PDE IV inhibitors. In spite of the structural differences exhibited by the three substance classes we could provide evidence that they share common hydrogen bonding and lipophilic enzyme binding sites.

IT 56739-21-0, Nitraquazone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacophore model for phosphodiesterase IV inhibitors)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L4 ANSWER 35 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:430274 CAPLUS

DOCUMENT NUMBER: 127:121964

ORIGINAL REFERENCE NO.: 127:23537a,23540a

TITLE: Triplex stability of oligodeoxynucleotides containing substituted quinazoline-2,4-(1H,3H)-dione

AUTHOR(S): Michel, Justine; Gueguen, Genevieve; Vercauteren, Joseph; Moreau, Serge

CORPORATE SOURCE: IFR Pathologies Infectieuses, INSERUM U-386, Bordeaux, 33076, Fr.

SOURCE: Tetrahedron (1997), 53(25), 8457-8478
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

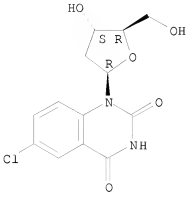
AB Triple helical structures can be observed between double-stranded nucleic acids and a third strand through the formation of Hoogsteen hydrogen bond. We report here the use of quinazoline-2,4-dione derivs. as substitutes for thymine in TA*T triplets. The synthesis and the characterization of monochloro derivs. of quinazoline-2,4-dione as well as 5-fluoro and 6-nitro substituted quinazoline rings are described. The ability of the various modified bases to promote the formation of triplexes was reached by thermal denaturation studies.

IT 142823-50-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(triplex stability of oligodeoxyribonucleotides containing substituted quinazolinedione)

RN 142823-50-5 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-1-(2-deoxy-β-D-erythro-pentofuranosyl)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:318596 CAPLUS
DOCUMENT NUMBER: 127:44741
ORIGINAL REFERENCE NO.: 127:8371a,8374a
TITLE: Effects of phosphodiesterase inhibitors on human lung mast cell and basophil function
AUTHOR(S): Weston, Marie C.; Anderson, Nicola; Peachell, Peter T.
CORPORATE SOURCE: Department of Medicine & Pharmacology, Royal Hallamshire Hospital, University of Sheffield, Sheffield, S10 2JF, UK
SOURCE: British Journal of Pharmacology (1997), 121(2), 287-295
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Stockton
DOCUMENT TYPE: Journal
LANGUAGE: English

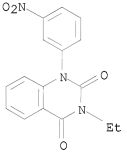
AB The non-hydrolysable cAMP analog, dibutyryl (Bu2)-cAMP, inhibited the stimulated release of histamine from both basophils and human lung mast cells (HLMC) in a dose-dependent manner. The concns. required to inhibit histamine release by 50% (IC50) were 0.8 and 0.7 mM in basophils and HLMC, resp. The cyclic GMP analog, Bu2-cyclic GMP, was ineffective as an inhibitor of histamine release in basophils and HLMC. The non-selective phosphodiesterase (PDE) inhibitors, theophylline and isobutyl-methylxanthine (IBMX) inhibited the IgE-mediated release of histamine from both human basophils and HLMC in a dose-dependent fashion. IBMX and theophylline were more potent inhibitors in basophils than in HLMC. IC50 values for the inhibition of histamine release were, 0.05 and 0.2 mM for IBMX and theophylline, resp., in basophils and 0.25 and 1.2 mM for IBMX and theophylline in HLMC. The PDE 4 inhibitor, rolipram, attenuated the release of both histamine and the generation of sulfopeptidoleukotrienes (sLT) from activated basophils at sub-micromolar concns. but was ineffective at inhibiting the release of histamine and the generation of both sLT and prostaglandin D2 (PGD2) in HLMC. Addnl. PDE 4 inhibitors, denbufylline, Ro 20-1724, RP 73401 and nitraquazone, were all found to be effective inhibitors of mediator release in basophils but were ineffective in HLMC unless high concns. (1 mM)were employed. Neither 8-methoxymethyl IBMX (PDE 1 inhibitor), zaprinast (PDE 5 inhibitor) nor a

range of PDE 3 inhibitors (siguazodan, SKF 94120, SKF 95654) were effective inhibitors of mediator release from either basophils or HLMC. In basophils, rolipram acted to potentiate the inhibitory effects of the adenylate cyclase activator, forskolin, whereas in HLMC, rolipram failed to potentiate the inhibitory effects of forskolin. Exts. of purified HLMC and basophils hydrolyzed cAMP. IBMX (100 μM) inhibited the pDE activity in basophil exts. by 67±7% (P<0.0001) and in HLMC exts. by 63±9% (P<0.0005). The hydrolysis of cAMP by basophil exts. was inhibited by the selective PDE inhibitors (all at 10 μM), rolipram (56±8%, P<0.0001) and the mixed PDE 3/4 inhibitor, Org 30029 (47±9%, P<0.01), whereas 8-methoxymethyl IBMX, siguazodan and zaprinast were ineffective. In HLMC, rolipram, Org 30029, 8-methoxymethyl IBMX, siguazodan and zaprinast all inhibited the hydrolysis of cAMP by exts. to a significant (P<0.05) and similar extent (approx. 25% inhibition at 10 μM). In total, these data suggest that modulation of the PDE 4 isoform can regulate basophil responses whereas an association of the PDE 4 isoform with the regulation of HLMC function remains uncertain.

IT 56739-21-0, Nitraquazone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase inhibitors effect on human lung mast cell and basophil function)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 54 THERE ARE 54 CAPLUS RECORDS THAT CITE THIS RECORD (54 CITINGS)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:262325 CAPLUS

DOCUMENT NUMBER: 126:238661

ORIGINAL REFERENCE NO.: 126:46193a,46196a

TITLE: Preparation of proline pyrrolidine amide derivatives as prolylendopeptidase inhibitors

INVENTOR(S): Kanai, Karoly; Erdo, Sandor; Szappanos, Andrea; Bence, Judit; Hermecz, Istvan; Szvoboda, Gyorgy, Mrs.; Batori, Sandor; Heja, Gergely; Balogh, Maria; Horvath, Agnes; Sipos, Judit; Barta Bodor, Veronika; Parkany, Zsolt; Lakics, Viktor; Molnar, Peter; et al.

PATENT ASSIGNEE(S): Chinoin Gyogyszer Es Vegyeszeti, Hung.

SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2

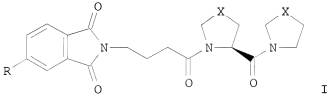
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9707116	A1	19970227	WO 1996-HU41	19960726
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
HU 76640	A2	19971028	HU 1995-2426	19950817
CA 2235677	A1	19970227	CA 1996-2235677	19960726
AU 9666279	A	19970312	AU 1996-66279	19960726
AU 725429	B2	20001012		
EP 861246	A1	19980902	EP 1996-925926	19960726
EP 861246	B1	20031015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1196728	A	19981021	CN 1996-197030	19960726
CN 1092193	C	20021009		
BR 9610075	A	19990302	BR 1996-10075	19960726
JP 11514970	T	19991221	JP 1996-509080	19960726
NZ 313751	A	20000128	NZ 1996-313751	19960726
HU 9802855	A2	20010228	HU 1998-2855	19960726
HU 9802855	A3	20010328		
AT 252096	T	20031115	AT 1996-925926	19960726
ZA 9606554	A	19970224	ZA 1996-6554	19960801
HR 9600375	B1	20030630	HR 1996-375	19960813
TW 486476	B	20020511	TW 1996-85109928	19960815
NO 9800643	A	19980407	NO 1998-643	19980216
US 6191161	B1	20010220	US 1998-11703	19980417

PRIORITY APPLN. INFO.: HU 1995-2426 A 19950817
WO 1996-HU41 W 19960726

OTHER SOURCE(S): MARPAT 126:238661
GI



I

AB The present invention relates to new prolylendopeptidase inhibitors of general formula A-B-C-D-L [A = optionally substituted nitrogen heterocyclic group; B = (CH2)mCO, O(CH2)pCO, CR12R9(CR13R10)wCR14R11CO; R9-14 = independently H, C1-6 alkyl, C1-6 alkoxy, halo, amino, C1-6-alkylamino, di-C1-6-alkylamino; optionally substituted Ph, phenoxy, C7-11 arylalkyl, C7-12 arylalkoxy; 2 of R9-14 = oxo group, epoxy group, bond; R9-14 and chain atoms attached = optionally substituted (un)saturated C3-8 carbocyclic ring, C3-8 heterocyclic ring; m = 1-21; p = 1-3, w = 0, 1; C = optionally substituted proline or thiaproline residue; D = bond, optionally substituted proline residue or thiaproline residue; L =

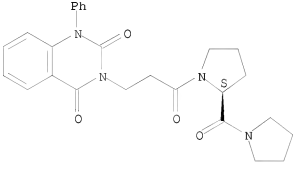
optionally substituted pyrrolidino, 2-cyanopyrrolidino, thiazolidino, 2-cyanothiazolidino], including optical isomers, geometric isomers, epimers, tautomers, salts, prodrugs, and metabolites thereof. Thus, treatment of 1.7 g 4-phthalimidobutyric acid with pivaloyl chloride and Et3N in CHCl3 for 1 h at -15°, followed by treatment with 1.03 g L-prolylpyrrolidine HCl salt and Et3N gave 1.1 g (53%) prolylendopeptidase inhibitor I (R = H, X = CH2). Inhibitor I (R = Me, X = S) inhibited prolyl endopeptidase with IC50 = 3.60 + 10-10 M in a rat brain assay.

IT 188589-72-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of proline pyrrolidine amide derivs. as prolylendopeptidase inhibitors)

RN 188589-72-2 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[3-oxo-3-[(2S)-2-(1-pyrrolidinylcarbonyl)-1-pyrrolidinyl]propyl]-1-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:714622 CAPLUS

DOCUMENT NUMBER: 126:26541

ORIGINAL REFERENCE NO.: 126:5285a,5288a

TITLE: An isoform-selective inhibitor of cyclic AMP-specific phosphodiesterase (PDE4) with anti-inflammatory properties

AUTHOR(S): Alvarez, Robert; Daniels, Donald V.; Shelton, Earl R.; Baecker, Preston A.; Fong, T. Annie T.; Devens, Bruce; Wilhelm, Robert; Eglen, Richard M.; Conti, Marco

CORPORATE SOURCE: School Medicine, Stanford University, Stanford, CA, 94305, USA

SOURCE: Phosphodiesterase Inhibitors (1996), 161-171. Editor(s): Schudt, Christian; Dent, Gordon; Rabe, Klaus F. Academic: London, UK. CODEN: 63RBAF

DOCUMENT TYPE: Conference

LANGUAGE: English

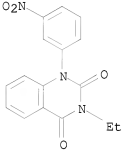
AB Novel and selective inhibitors of PDE4 are described in order to search for compds. with isoform selectivity and to determine whether selected compds.

have potential anti-inflammatory properties. It was revealed that RS 25344, a potent inhibitor of PDE4, has anti-inflammatory properties in several animal models. It appears that PDE4 selective inhibitors are active in all assays used, presumably reflecting the central role of cAMP in control of inflammatory processes.

IT 56739-21-0, TVX 2706
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(isoform-selective inhibitors of cAMP-specific phosphodiesterase with anti-inflammatory properties)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L4 ANSWER 39 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:590569 CAPLUS

DOCUMENT NUMBER: 125:237950

ORIGINAL REFERENCE NO.: 125:44181a,44184a

TITLE: Phosphodiesterase 4 in macrophages: relationship between cAMP accumulation, suppression of cAMP hydrolysis and inhibition of [3H]R-(-)-rolipram binding by selective inhibitors

AUTHOR(S): Kelly, John J.; Barnes, Peter J.; Giembycz, Mark A.

CORPORATE SOURCE: Natl. Heart Lung Inst., Imp. Coll. Sci., Technol. Med., London, SW3 6LY, UK

SOURCE: Biochemical Journal (1996), 318(2), 425-436
CODEN: BIJOAK; ISSN: 0264-6021

PUBLISHER: Portland Press

DOCUMENT TYPE: Journal

LANGUAGE: English

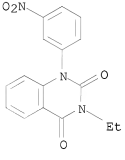
AB A perplexing phenomenon identified in several tissues is the lack of correlation between inhibition of phosphodiesterase 4 (PDE4) and certain functional responses such as smooth muscle relaxation, gastric acid secretion and cAMP accumulation. Interpretation of these data is complicated further by the finding that function correlates with the ability of PDE4 inhibitors to displace [3H]rolipram [4-(3-cyclopentenyloxy-4-methoxyphenyl)-2-pyrrolidone] from a high-affinity site in rat brain that is apparently distinct from the catalytic center of the enzyme. We have investigated this discrepancy by using guinea pig macrophages as a source of PDE4 and have confirmed that the ability of a limited range of structurally dissimilar PDE inhibitors (Org 20241, nitraquazone and the enantiomers of rolipram and benafentrine) to increase cAMP content did not correlate with their potency as

inhibitors of partly purified PDE4, whereas a significant linear and rank order correlation was found when cAMP accumulation was related to the displacement of [3H]R-(-)-rolipram from a specific site identified in macrophage lysates. An explanation for these data emerged from the finding that the IC50 values and rank order of potency of these compds. for inhibition of partly purified PDE4 and the native (membrane-bound) form of the same enzyme were distinct. Similarly, no correlation was found when membrane-bound PDE4 was compared with the same enzyme that had been solubilized with Triton X-100. These unexpected results were attributable to a selective decrease in the potency of those inhibitors [nitraquazone, R-(-)- and S-(+)-rolipram] that interacted preferentially with the rolipram binding site. Indeed, if membrane-bound PDE4 was used as the enzyme preparation, excellent linear and rank order correlations between inhibition of cAMP hydrolysis, displacement of [3H]R-(-)-rolipram and cAMP accumulation were found, which improved further in the presence of the vanadyl (Vo)/2.GSH complex. Moreover, using Vo/2.GSH-treated membranes, the IC50 values of nitraquazone and the enantiomers of rolipram for the inhibition of PDE4 approached their affinity for the rolipram binding site. Collectively, these data suggest that the rolipram binding site and the catalytic domain on CPPDE4 might represent part of the same entity. In addition, these results support the concept that PDE4 can exist in different conformational states [Barnett, et al., 1995] and provide evidence that the cAMP content in macrophages is regulated primarily by a conformer of PDE4 for which rolipram has nanomolar affinity.

IT 56739-21-0, Nitraquazone
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(phosphodiesterase 4 in macrophages: relationship between cAMP accumulation, suppression of cAMP hydrolysis and inhibition of rolipram binding by selective inhibitors)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 41 THERE ARE 41 CAPLUS RECORDS THAT CITE THIS RECORD (41 CITINGS)

L4 ANSWER 40 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:582736 CAPLUS

DOCUMENT NUMBER: 125:275793

ORIGINAL REFERENCE NO.: 125:51584h,51585a

TITLE: 1-Phenyl-4(1H)-quinazolinones and 2,3-dihydro-1-phenyl-4(1H)-quinazolinones as potential cholecystokinin receptor ligands

AUTHOR(S): Pentassuglia, Giorgio; Bertani, Barbara; Donati, Daniele; Ursini, Antonella

CORPORATE SOURCE: Med. Res. Cent., Glaxo Wellcome S.p.A., Verona, 37100,

Italy
SOURCE: Journal of Heterocyclic Chemistry (1996), 33(4), 1163-1170
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:275793
GI

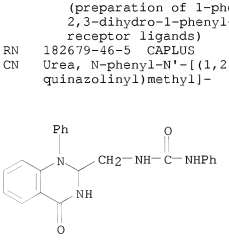
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of new 1-phenyl4(1H)-quinazolinones I [R = H, Cl; R1 = H, NHCONHPh, NHCO(CH2)2Ph; R2 = Me, CH2NHCONHPh, etc.] and 2,3-dihydro-1-phenyl-4(1H)-quinazolinones II [R3 = (CH2)nNHCONHPh (wherein n = 1, 3); R4 = (CH2)2CHMe2. CH2Ph, (CH2)2Ph, etc.] were synthesized and tested as cholecystokinin receptor ligands. All the compds. showed moderate affinity and 1-phenyl4(1H)-quinazolinones resulted more effective towards the cholecystokinin-B receptor, meanwhile the dihydro derivs. were generally more effective towards the cholecystokinin-A receptor. Thus, e.g., cyclization of 2-phenylaminobenzamide with phthalimidoacetyl chloride followed by deprotection of the phthalimido derivative III with aqueous MeNH2 and reaction of the resulting amine IV with PhNCO afforded I [R = R1 = H; R2 = CH2NHCONHPh] which showed pKi-B of 5.68 against cholecystokinin-B receptor binding.

IT 182679-46-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 1-phenyl-4(1H)-quinazolinones and 2,3-dihydro-1-phenyl-4(1H)-quinazolinones as potential cholecystokinin receptor ligands)

RN 182679-46-5 CAPLUS

CN Urea, N-phenyl-N'-[(1,2,3,4-tetrahydro-4-oxo-1-phenyl-2-quinazolinyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

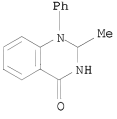
L4 ANSWER 41 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:564004 CAPLUS
DOCUMENT NUMBER: 125:216988
ORIGINAL REFERENCE NO.: 125:40463a,40466a
TITLE: GC/MS analysis of the volatile oil of the leaves of

Callistemon speciosus Anthor
AUTHOR(S): Al-Azizi, M. M.; El-Olemy, M. M.; El-Sayed, A. M.; Al-Yahya, M. A.
CORPORATE SOURCE: Colleges Pharmacy, King Saud University, Egypt
SOURCE: Al-Azhar Journal of Pharmaceutical Sciences (1995), 16, 10-17
CODEN: AAJPFT; ISSN: 1110-1644
PUBLISHER: Al-Azhar University, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The composition of the steam distilled oil of the young (leaves and young stems) of the bottle brush C. speciosus (Myrtaceae) was analyzed by GC/MS. Twenty-six compds. were identified, which belong primarily to the monoterpenes (70.6%) and sesquiterpenes (20.6%), while the phenylpropanoids were minor components (7.5%). Two of these phenylpropanoids are quinazolinone derivs. (2.3%) and appear to be characteristic for C. speciosus oil. The major constituents were identified as cineole (37.7%), α-pinene (15.2%), caryophyllene (6.7%) and α-terpineol (6.4%). In addition, 1-octadecene (1.2%) was also identified in the oil.

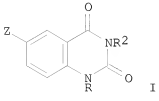
IT 36384-01-7P, 4(1H)-Quinazolinone, 2,3-Dihydro-2-methyl-1-phenyl-
RL: ANT (Analyte); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(GC/MS anal. of volatile oil of leaves of Callistemon speciosus)

RN 36384-01-7 CAPLUS
CN 4(1H)-Quinazolinone, 2,3-dihydro-2-methyl-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L4 ANSWER 42 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:258156 CAPLUS
DOCUMENT NUMBER: 125:10750
ORIGINAL REFERENCE NO.: 125:2357a,2360a
TITLE: Synthesis and pharmacological testing of some new derivatives of 2,4-(1H,3H)-quinazolinedione. Part II
AUTHOR(S): El-Helby, Abdel Ghany A.
CORPORATE SOURCE: Faculty Pharmacy, Al-Azhar University, Cairo, Egypt
SOURCE: Bulletin of Pharmaceutical Sciences, Assiut University (1995), 18(2), 69-78
CODEN: BPAUEC
PUBLISHER: Assiut University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

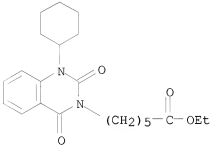


AB A variety of 2,4-(1H, 3H)-quinazolinediones I (R = H, Z = Me, R2 = H; R = PhCH2, PhCO, R2 = H, Z = Br) were converted into the corresponding potassium salts, and then allowed to react with some halogen-containing compds. The structures of the derivs., e.g., I (R = R2 = CH2CO2R', R' = Me, Et, n-Pr, CHMe2, n-Bu), thus prepared, were confirmed by elemental, IR, 1H-NMR and MS spectral data. Testing for anticonvulsant and hypnotic activities in frogs is also presented.

IT 177363-56-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, hypnotic, and anticonvulsant activity of quinazolinediones)

RN 177363-56-3 CAPLUS

CN 3(2H)-Quinazolinehexanoic acid, 1-cyclohexyl-1,4-dihydro-2,4-dioxo-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 43 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:235948 CAPLUS
DOCUMENT NUMBER: 125:10742
ORIGINAL REFERENCE NO.: 125:2357a,2360a
TITLE: Synthesis and pharmacological activity of 1,3-disubstituted 2,4-(1H,3H)-quinazolinediones (Part 1)
AUTHOR(S): El-Helby, Abdel-Ghany A.
CORPORATE SOURCE: Faculty Pharmacy, Al-Azhar University, Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1995), 36(1-6), 287-46
CODEN: EJPSBZ; ISSN: 0301-5068
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English

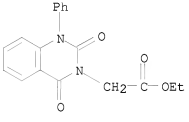
AB N-Substituted anthranilic acids were prepared and cyclized with urea into the corresponding 1-substituted 2,4-(1H,3H)-quinazolinediones. The

potassium salts of the latter were allowed to condense with certain alkyl chloroacetates to afford the required 1,3-disubstituted 2,4-(1H,3H)-quinazolinediones. Preliminary pharmacol. screening of certain new compds. has shown that they displayed hypnotic and anticonvulsant activities using phenobarbital as reference compound

IT 34928-91-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and hypnotic and anticonvulsant activity of)

RN 34928-91-1 CAPLUS

CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-1-phenyl-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 44 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

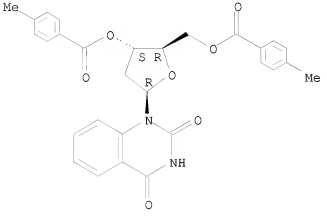
ACCESSION NUMBER:	1996:215774 CAPLUS
DOCUMENT NUMBER:	124:310472
ORIGINAL REFERENCE NO.:	124:57383a,57386a
TITLE:	Quinazoline-2,4(1H,3H)-dione as a substitute for thymine in triple-helix forming oligonucleotides: a reassessment
AUTHOR(S):	Michel, Justine; Toulme, Jean-Jacques; Vercauteren, Joseph; Moreau, Serge
CORPORATE SOURCE:	Lab. Biophys. Mol., Univ. Bordeaux II, Bordeaux, F-33076, Fr.
SOURCE:	Nucleic Acids Research (1996), 24(6), 1127-35 CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER:	Oxford University Press
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB A major limitation in triple-helix formation arises from the weak energy of interaction between the third strand and the double-stranded target. We tried to increase the stacking interaction contribution within the third strand by extending the aromatic domain of thymine. We report here the use of 2,4-quinazolinedione as a substitute for thymine in the canonical TA*T triplet. The synthesis and the characterization of the quinazoline β nucleoside Q and its phosphoramidite derivative is described. Triple-helix-forming oligonucleotides incorporating Q have been prepared and their ability to form triplexes has been evaluated by UV-monitored thermal denaturation measurements. The introduction of one or multiple Q residues, either contiguous or remote from each other, slightly destabilized triple-stranded structures, whatever the nucleic acid base composition (pyrimidine or GT) of the third strand.

IT 15135-28-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(quinazoline-2,4(1H,3H)-dione as a substitute for thymine in triple-helix forming oligonucleotides)
RN 15135-28-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-[2-deoxy-3,5-bis-O-(4-methylbenzoyl)-β-D-erythro-pentofuranosyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

L4 ANSWER 45 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:157890 CAPLUS
DOCUMENT NUMBER: 124:317760
ORIGINAL REFERENCE NO.: 124:58945a,58948a
TITLE: Ring-opening mechanism in the glycosylation of 2,4(1H,3H)-quinazolinediones with erythro-3-O-tosyl and threo-3-iodo-2,3-dideoxypentofuranosides
AUTHOR(S): El-Barbary, Almed A.; El-Brollosy, Nasser R.; Pedersen, Erik B.
CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense M, DK-5230, Den.
SOURCE: Bulletin de la Societe Chimique de France (1996), 133(1), 51-7
CODEN: BSCFAS; ISSN: 0037-8968
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:317760
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 2,4(1H,3H)-quinazolinediones were silylated and condensed with Me 5-O-tert-butyldiphenylsilyl-2-deoxy-3-O-(4-methylbenzenesulfonyl)-D-erythro-pentofuranoside (I; R = α-OTs) in the presence of trimethylsilyl trifluoromethanesulfonate to afford the corresponding nucleosides II (R = α-OTs, R1 = H, Me) and acyclic nucleosides III (R = α-OTs, R1 = H, Me). Treatment of II (R = α-OTs, R1 = H, Me) with n-Bu4NF/THF at room temperature afforded 2,3'-anhydronucleosides IV and

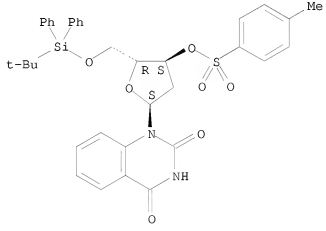
the 5-O-deprotected α-nucleosides V, while III (R = α-OTs, R1 = H, Me) under the same reaction conditions afforded the 3',4'-anhydroacyclic nucleoside trans-VI. A similar condensation of 2,4(1H,3H)-quinazolinedione with Me 5-O-tert-butyldiphenylsilyl-2,3-dideoxy-3-iodo-D-threo-pentofuranoside (I; R = β-iodo, R1 = H) yielded 1-(5-O-tert-butyldiphenylsilyl-2,3-dideoxy-3-iodo-β-D-threo-pentofuranosyl)-2,4(1H,3H)-quinazolinedione β-II (R = β-iodo, R1 = H), the corresponding α-anomer α-II (R = β-iodo, R1 = H), and the acyclic nucleoside cis-VI. Treatment of β-II (R = β-iodo, R1 = H) with sodium methoxide in boiling MeOH gave the 3',4'-didehydro nucleoside. Reaction of III (R = β-iodo, R1 = H) with n-Bu4NF/THF at room temperature afforded the 3',4'-anhydro acyclic nucleoside cis-VI.

IT 176212-23-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(ring-opening during the glycosylation of quinazolinediones with erythro- and threo-iododideoxypentofuranosides)

RN 176212-23-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-[2-deoxy-5-O-[(1,1-dimethylethyl)diphenylsilyl]-3-O-[(4-methylphenyl)sulfonyl]-α-D-erythro-pentofuranosyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 46 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:149548 CAPLUS

DOCUMENT NUMBER: 124:317098

ORIGINAL REFERENCE NO.: 124:58809a,58812a

TITLE: Synthesis and biological activity of 1,3-disubstituted quinazoline-2,4-diones

AUTHOR(S): El-Hakim, A. E.; Abdel-Hamide, S. G.; El-Helby, A. A.

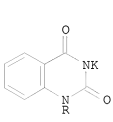
CORPORATE SOURCE: Faculty Pharmacy, Al-Azhar University, Nasr City, Egypt

SOURCE: Al-Azhar Journal of Pharmaceutical Sciences (1994), 14, 156-63
CODEN: AAJPFT; ISSN: 1110-1644

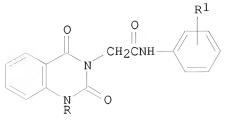
PUBLISHER: Al-Azhar University, Faculty of Pharmacy

DOCUMENT TYPE: Journal

LANGUAGE: English
GI



I



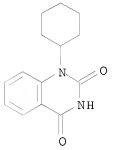
II

AB The quinazolinedione potassium salts I (R = Me, Et, Pr, CH2Ph, COPh, allyl, Bu, C6H11) reacted with N-chloroacetyl-o/p-aminobenzoic acid esters R1C6H4NHCOCH2Cl (R1 = 2-CO2Me, 4-CO2Me, 4-CO2Et, 4-CO2Pr) to afford the required 1,3-disubstituted quinazoline-2,4-diones II. Upon pharmacol. testing, certain compds. exhibited anticonvulsant activity. Structures of I were established by microanal. and spectroscopic data.

IT 176096-39-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and anticonvulsant activity of quinazolinediones)

RN 176096-39-2 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-cyclohexyl-, potassium salt (1:1) (CA INDEX NAME)



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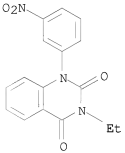
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

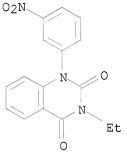
L4 ANSWER 47 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:857623 CAPLUS
DOCUMENT NUMBER: 123:247107
ORIGINAL REFERENCE NO.: 123:43899a,43902a
TITLE: Cytokine production by phytohemagglutinin-stimulated human blood cells: effects of corticosteroids, T cell immunosuppressants and phosphodiesterase IV inhibitors
AUTHOR(S): Van Wauwe, J.; Aerts, F.; Walter, H.; de Boer, M.
CORPORATE SOURCE: Janssen Research Foundation, Beerse, B-2340, Belg.
SOURCE: Inflammation Research (1995), 44(9), 400-5
CODEN: INREFB; ISSN: 1023-3830

PUBLISHER: Birkhaeuser
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The ability of dexamethasone and prednisolone (corticosteroids), FK 506 and cyclosporin A (T cell immunosuppressants), and nitraquazone and rolipram (phosphodiesterase IV inhibitors) to inhibit cytokine production by stimulated human blood was investigated. Heparinized human blood obtained from normal healthy volunteers was stimulated with phytohemagglutinin (PHA) in the presence or absence of drug. After different incubation times, supernatant levels of interleukin (IL)-2, IL-5, granulocyte-macrophage colony stimulating factor (GM-CSF) and interferon γ (IFN-γ) were quantified by ELISA. Dexamethasone strongly inhibited the production of IL-5 (IC50 = 0.004 μM), was less potent against IL-2 and IFN-γ (IC50 = 0.02-0.05 μM) and showed a relatively weak effect against GM-CSF (IC50 = 0.02-0.3 μM) and exerted only partial effects (43% inhibition at 1 μM) on GM-CSF. FK 506 strongly suppressed the production of IL-2 (IC50 = 0.01 μM) and GM-CSF (IC50 = 0.03 μM), but was inactive (<30% inhibition at 1 μM) against IL-5 and IFN-γ. Similarly, cyclosporin A reduced the generation IL-2 (IC50 = 0.4 μM) and GM-CSF (IC50 = 0.6 μM) while barely affecting the other two cytokines. Nitraquazone and rolipram were most active in reducing the production of IL-5 (IC50 = 0.8 and 1.3 μM, resp.), while their potency against IL-2, GM-CSF and IFN-γ was 3-6 times lower, with IC50's between 2.4 and 8.0 μM. These data indicate that corticosteroids, T cell immunosuppressants and phosphodiesterase IV inhibitors affect cytokine production by PHA-stimulated human blood cells in a differential and "pharmacotypical" manner.
IT 56739-21-0, Nitraquazone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(corticosteroids and T-cell immunosuppressants and phosphodiesterase IV inhibitors effect on cytokine production by phytohemagglutinin-stimulated human blood cells and phosphodiesterase IV inhibitors)
RN 56739-21-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)

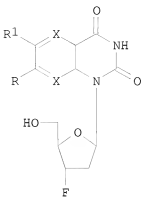


L4 ANSWER 48 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:819766 CAPLUS
DOCUMENT NUMBER: 123:246333
ORIGINAL REFERENCE NO.: 123:43719a,43722a
TITLE: Pharmacological inhibition of CD28-stimulated T cell activation
AUTHOR(S): Wancio, D.; Damle, N. K.; Bansbach, C. C.
CORPORATE SOURCE: Wyeth-Ayerst Research, Princeton, NJ, 08543-8000, USA
SOURCE: Inflammation Research (1995), 44(Suppl. 2), S203-S204
CODEN: INREFB; ISSN: 1023-3830

PUBLISHER: Birkhaeuser
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An in vitro cell culture system in which DNA synthesis is dependent upon stimulation of the accessory mol. CD28 was utilized to profile the effects of immunomodulating drugs that inhibit different points in the T cell activation pathway. The data indicated that the sensitivity of T cells, stimulate by antibodies to CD3ε and CD28, to selected inhibitors is similar to that reported for T cells stimulated by Con A. Furthermore, these data suggest that while signaling by CD28 through PI3 kinase may amplify signaling of the TCR, it cannot overcome a pharmacol. blockade of TCR signaling. This system should provide the means to further elucidate CD28 dependent events involved in T cell activation.
IT 56739-21-0, Nitraquazone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(pharmacol. inhibition of CD28-stimulated T cell activation)
RN 56739-21-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



L4 ANSWER 49 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:666534 CAPLUS
DOCUMENT NUMBER: 123:340709
ORIGINAL REFERENCE NO.: 123:61171a,61174a
TITLE: Synthesis and antiviral evaluation of quinazoline, thieno-[2,3-d]pyrimidine, and lumazine analogs of 3'-fluoro-3'-deoxythymidine (FLT)
AUTHOR(S): El-Barbary, Ahmed A.; El-Brollosy, Nasser R.; Abdel-Bary, Hamed M.; Pedersen, Erik B.; Stein, Paul; Nielsen, Claus
CORPORATE SOURCE: Dep. of Chemistry, Odense Univ., Odense, DK-5230, Den.
SOURCE: Liebigs Annalen (1995), (7), 1371-5
CODEN: LANAEM; ISSN: 0947-3440
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

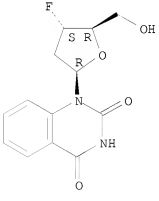
AB 2,4(1H,3H)-quinazolinediones, lumazine and thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione were silylated and condensed with Me 2,3-dideoxly-3-fluoro-5-O-(4-phenylbenzoyl)-β-D-erythro-pentofuranoside by using trimethylsilyl triflate as a catalyst to afford after deblocking the corresponding nucleosides, e.g. I (R = R1 = H, OMe; R = H, R1 = Me, X = CH2; R = R1 = H, X = N). The new FLT analogs I were devoid of activity against HIV-1 and HSV-1.

IT 170452-45-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral evaluation of quinazoline and thienopyrimidine and lumazine analogs of fluorodeoxythymidine)

RN 170452-45-6 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3-dideoxy-3-fluoro-β-D-erythro-pentofuranosyl)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 50 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:658479 CAPLUS
DOCUMENT NUMBER: 123:314361
ORIGINAL REFERENCE NO.: 123:56371a,56374a

TITLE: Synthesis of 5'-azido- and 5'-amino-2',5'-dideoxynucleosides from quinazoline-2,4(1H,3H)-diones

AUTHOR(S): El-Barbary, Ahmed A.; El-Brollosy, Nasser R.; Pedersen, Erik B.; Nielsen, Claus

CORPORATE SOURCE: Department of Chemistry, Odense University, Odense M, DK-4230, Den.

SOURCE: Journal of Heterocyclic Chemistry (1995), 32(3), 719-22
CODEN: JHTCAD; ISSN: 0022-152X

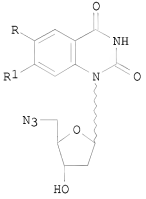
PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 123:314361

GI



I

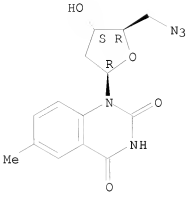
AB Azidodideoxyribonucleosides I (R = R1 = H, OMe; R = Me, R1 = H) were prepared via condensation of quinazoline-2,4(1H,3H)-diones with Me 5-azido-2,5-dideoxy-3-O-(4-methylbenzoyl)-α,β-D-erythro-pentofuranoside using trimethylsilyl trifluoromethanesulfonate as the catalyst. 6-Methyl-1-(5-amino-2,5-dideoxy-β-D-erythro-pentofuranosyl)quinazoline-2,4(1H,3H)-dione was obtained by treatment of the corresponding azido derivative with triphenylphosphine in pyridine, followed by hydrolysis with ammonium hydroxide. None of these nucleosides showed any activity against HIV-1.

IT 170158-73-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of azido and aminodideoxynucleosides from quinazolinediones)

RN 170158-73-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(5-azido-2,5-dideoxy-β-D-erythro-pentofuranosyl)-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 51 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:572480 CAPLUS
DOCUMENT NUMBER: 123:47582
ORIGINAL REFERENCE NO.: 123:8303a,8306a
TITLE: The ability of phosphodiesterase IV inhibitors to suppress superoxide production in guinea pig eosinophils is correlated with inhibition of phosphodiesterase IV catalytic activity
AUTHOR(S): Barnette, Mary S.; Manning, Carol D.; Cieslinski, Lenora B.; burman, miriam; Christensen, Siegfried B.; Torphy, Theodore J.
CORPORATE SOURCE: Deps. Inflammation and Respiratory Pharacology and Medicinal Chemistry, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1995), 273(2), 674-9
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

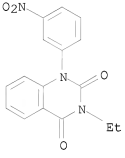
AB Elevation of cAMP content inhibits eosinophil function. Because phosphodiesterase IV (PDE IV) appears to be the major PDE isoenzyme present in eosinophils, inhibitors of this isoenzyme should suppress eosinophil activation. Previous studies on PDE IV have revealed that this enzyme possesses both cAMP catalytic activity that is inhibitable by rolipram, a prototypical PDE IV inhibitor, and a high-affinity binding site for rolipram. The function of this high-affinity rolipram binding site relative to the inhibitory action of compds. is not clear because the rank order potency of PDE IV inhibitors for competing with [3H]-rolipram binding is distinct from that for inhibiting cAMP hydrolysis. Consequently, the present expts. were carried out to fulfill the following objectives: (1) to determine whether PDE IV inhibitors suppress eosinophil function and, if so, (2) to establish a correlation between this functional activity and inhibition of PDE IV catalytic activity or interaction with the high-affinity rolipram binding site. Various PDE inhibitors produce approx. 60% maximal inhibition of formylmethionine-leucine-phenylalanine-induced superoxide anion production, so that IC30 concns. were used as a basis to compare the potency of various PDE inhibitors. Selective PDE IV inhibitors were the most potent compds. tested. PDE inhibitors selective for other isoenzymes were devoid of

activity or considerably less potent. Comparing the ability of several selective PDE IV inhibitors to suppress superoxide anion formation revealed a stronger correlation for inhibition of PDE IV catalytic activity (r2 =.74,; Spearman's rho =.83) than for inhibition of 3H-rolipram binding (r2 =.33,; Spearman's rho =.47, P >.05). These results show that selective PDE IV inhibitors can suppress eosinophil function and suggest that, within this series of compds., the suppression is more closely associated with an inhibition of PDE IV catalytic activity than with competition for the high-affinity [3H]-rolipram binding site.

IT 56739-21-0, Nitraquazone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ability of phosphodiesterase IV inhibitors to suppress superoxide production is correlated with inhibition of phosphodiesterase IV catalytic activity)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 47 THERE ARE 47 CAPLUS RECORDS THAT CITE THIS RECORD (48 CITINGS)

L4 ANSWER 52 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:498173 CAPLUS

DOCUMENT NUMBER: 123:55814

ORIGINAL REFERENCE NO.: 123:10047a,10050a

TITLE: Polycyclic azines with heteroatoms in 1- and 3-position. Synthesis of heterocyclic immunomodulators. 3. Synthesis of N-1-substituted 3-(2-mercaptoethyl)quinazoline-2,4(1H,3H)-diones via bis[2-(2-amino-benzoylamino)ethyl]disulfanes and test for immunostimulating activity

AUTHOR(S): Guetschow, Michael; Drossler, Karl; Leistner, Siegfried

CORPORATE SOURCE: Inst. Pharm. Inst. Zool., Univ. Leipzig, Leipzig, D-04103, Germany

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1995), 328(3), 277-81
CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: VCH

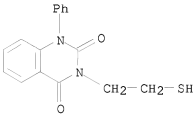
DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 123:55814

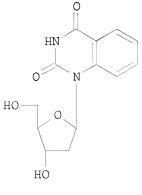
AB A 3-step synthesis, starting from substituted isatoic anhydride was used to prepare substituted 3-(2-mercaptoethyl)quinazoline-2,4(1H,3H)-diones. The title compds. thus prepared were tested as immune stimulants.

IT 138779-50-7P, 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-1-phenyl
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (mercaptoethyl)quinazolinediones as immunomodulators)
RN 138779-50-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 53 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:394352 CAPLUS
DOCUMENT NUMBER: 123:228740
ORIGINAL REFERENCE NO.: 123:40879a,40882a
TITLE: An improved synthesis of 1-(2-deoxy-β-D-erythro-pentofuranosyl)quinazoline-2,4(3H)-dione and its incorporation into G-rich triple helix forming oligodeoxyribonucleotides
AUTHOR(S): Bhattacharya, Birendra K.; Chari, Mohan V.; Durland, Ross H.; Revankar, Ganapthi R.
CORPORATE SOURCE: Triplex Pharmaceutical Corp., The Woodlands, TX, 77380, USA
SOURCE: Nucleosides & Nucleotides (1995), 14(1 & 2), 45-63
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:228740
GI



I

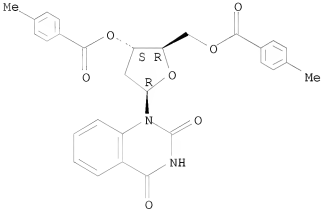
AB A convenient synthesis of deoxyerythropentofuranosyl quinazolinedione I has been accomplished. The structural conformation of I was derived by 2D NMR, COSY and NOESY expts. I was incorporated into G-rich triplex forming oligodeoxyribonucleotides (TFOs) by solid-support, phosphoramidite method. The triplex forming capabilities of modified TFOs has been evaluated in antiparallel motif with a target DNA duplex. The parallel triplex formation of a shorter TFO (S6) containing Q has also been studied with a target duplex-11 (D2) at pH 5.0.

IT 15135-28-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of deoxyerythropentofuranosyl quinazolinedione and its incorporation into G-rich triple helix forming DNA)

RN 15135-28-1 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-[2-deoxy-3,5-bis-O-(4-methylbenzoyl)-β-D-erythro-pentofuranosyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L4 ANSWER 54 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1995:346690 CAPLUS
DOCUMENT NUMBER:	122:133213
ORIGINAL REFERENCE NO.:	122:24847a,24850a
TITLE:	preparation of 3,4-dihydro-1-(2-hydroxyphenyl)-2(1H)-quinoxalinone derivatives as cardiovascular agents
INVENTOR(S):	Kawasaki, Motoji; Sawayama, Tadahiro; Nigo, Tomohiro; Nagata, Shinya
PATENT ASSIGNEE(S):	Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE:	PCT Int. Appl., 95 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9411355	A1	19940526	WO 1993-JP1646	19931111

W: AU, CA, CZ, FI, HU, KR, NO, NZ, PL, RO, RU, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
AU 9454335 A 19940608 AU 1994-54335 19931111
JP 07145152 A 19950606 JP 1993-307526 19931111
CN 1091131 A 19940824 CN 1993-114686 19931119
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JP 1993-70013 A 19931001
WO 1993-JP1646 W 19931111
OTHER SOURCE(S): MARPAT 122:133213
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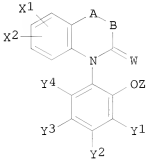
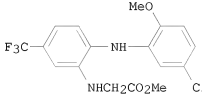
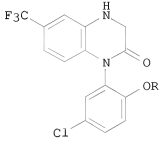


I



II



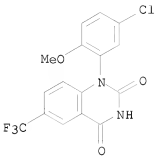
III

AB The title compds. [I; A = O, CO, NR1 (wherein R1 = H, alkyl, etc.); B = NR2 (wherein R2 = H, alkyl, etc.), CR3R4 (wherein R3, R4 = H, alkyl, etc.), R1R3 = bond; W = O, S; X1, X2 = H, halo, CF3, etc.; Y1 = H, halo, NO2; Y2 = H, Y2Y3 = benzo, naphtho; Y3 = H, halo, CF3, etc.; Y4 = H, alkyl, Y3Y4 = benzo, naphtho; Z = H, alkyl, etc.], useful as smooth muscle relaxants, antihypertensives, vasodilators, are prepared D-camphorsulfonic acid was refluxed with a solution of II in MePh to give quinoxalinone derivative III (R = Me), which was hydrolyzed with BBr3 in CH2Cl2 to give phenolic III (R = H) (IV). IV showed IC50 of 3x10-6 M against artery contraction in vitro. Also prepared were 56 addnl. I and intermediates, which lowered the blood pressure by 15-26 mmHg at 10 mg/kg p.o. in rats.

IT 160834-67-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

RN 160834-67-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(5-chloro-2-methoxyphenyl)-6-(trifluoromethyl)- (CA INDEX NAME)

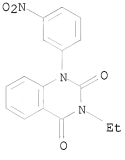


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 55 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:340367 CAPLUS
DOCUMENT NUMBER: 122:151229
ORIGINAL REFERENCE NO.: 122:27733a,27736a
TITLE: Discriminative stimulus properties of the stereoisomers of the phosphodiesterase inhibitor rolipram
AUTHOR(S): Schneider, Herbert H.; Yamaguchi, Motonori; Andrews, John S.; Stephens, David N.
CORPORATE SOURCE: Schering AG-Berlin Research Laboratories, Berlin, 13342, Germany
SOURCE: Pharmacology, Biochemistry and Behavior (1995), 50(2), 211-17
CODEN: PBBHAU; ISSN: 0091-3057
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The discriminative stimulus properties of the specific type IV phosphodiesterase inhibitor, rolipram, and its two stereoisomers were assessed using standard two-lever drug discrimination procedures in which responding on the appropriate lever was reinforced on a FR10 schedule. In three sep. drug cues based on training rats to discriminate the racemate (0.2 mg/kg, IP), the (-)-isomer (0.1 mg/kg), or the (+)-isomer (2 mg/kg) from vehicle, all forms substituted for one another, differing only in potency. In keeping with published reports, the (-)-isomer was the more potent form, the (+)-isomer being approx. 10 times les potent. Several phosphodiesterase (PDE) inhibitors were found to substitute for the racemate cue, their potencies in the behavioral measure correlating with their potency in displacing [3H]rolipram from its forebrain binding sites in vivo (r = 0.95), suggesting that the discriminative stimulus depends on an action of the drug upon this site. Because rolipram has been reported to possess antidepressant activity, the ability of the tricyclic antidepressant imipramine to substitute for rolipram was investigated; doses of 10 and 20 mg/kg did not substitute. Amphetamine (0.156-1.25 mg/kg) also was inactive. Lisuride gave rise to drug-appropriate responding in 50% of rats only at a dose of 0.078 mg/kg, which severely disrupted responding. It is concluded that the rolipram discriminative stimulus is dependent on the selective PDE inhibitory activity of the drug, and that it does not constitute a cue based on the antidepressant property of rolipram.

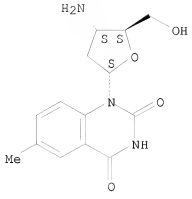
IT 56739-21-0, TVX 2706
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(discriminative stimulus properties of rolipram stereoisomers)
RN 56739-21-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



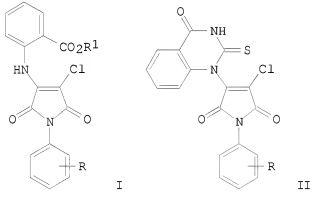
OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L4 ANSWER 56 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:137288 CAPLUS
DOCUMENT NUMBER: 122:81870
ORIGINAL REFERENCE NO.: 122:15571a,15574a
TITLE: Synthesis of 3'-azido- and 3'-amino-2',3'-dideoxynucleosides from 2,4-quinazolinediones
AUTHOR(S): Barbary, Ahmed A.; El-Brollosy, Nasser R.; Pedersen, Erik B.
CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense, DK-5230, Den.
SOURCE: Heterocycles (1994), 38(10), 2191-8
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2,4-Quinazolinedione and its 6-Me derivative were silylated and condensed with Me 3-azido-5-O-tert-butyldiphenylsilyl-2,3-dideoxy-D-erythro-pentofuranoside in the presence of Me2SiO3SCF3 to afford the corresponding 3'-azido nucleosides. Deprotection of the latter using Bu4NF/THF at room temperature gave 1-(3-azido-2,3-dideoxy-α-D-erythro-pentofuranosyl)-2,4-quinazolinediones and the corresponding β-anomers. Treatment of the β-anomers with triphenylphosphine in pyridine, followed by hydrolysis with aqueous ammonium hydroxide yielded 6-methyl-1-(3-amino-2,3-dideoxy-β-D-erythro-pentofuranosyl)-2,4-quinazolinedione which was also obtained when silylated 6-methyl-2,4-quinazolinedione was condensed with 1,4-di-ω-acetyl-2,3-dideoxy-3-phthalimido-β-D-erythropentofuranose in acetonitrile followed by deprotection with MeNH2/EtOH.
IT 160513-03-1P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of azido- and aminodideoxynucleosides from quinazolinediones)
RN 160513-03-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3-amino-2,3-dideoxy-α-D-erythro-pentofuranosyl)-6-methyl- (CA INDEX NAME)

Absolute stereochemistry.



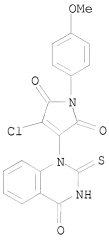
L4 ANSWER 57 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:457256 CAPLUS
DOCUMENT NUMBER: 121:57256
ORIGINAL REFERENCE NO.: 121:10321a,10324a
TITLE: Synthesis and preliminary testing of some anthranilic acid derivatives as antiinflammatory, analgesic, and antipyretic agents
AUTHOR(S): Abou Kull, Mansour; Lashine, Sayed; El Shanawany, Abdulla; Abou Taleb, Nageh; Amer, Magdy
CORPORATE SOURCE: Fac. Pharm., Zagazig Univ., Egypt
SOURCE: Zagazig Journal of Pharmaceutical Sciences (1993), 2(1), 140-9
CODEN: ZJPSEV; ISSN: 1110-5089
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



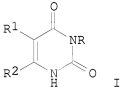
AB A series of N-[3-chloro-N-substituted phenyl-2-maleimidyl]anthranilic acids and Me esters (I; R = H, 3-, 4-Cl, etc; R1 = H, Me) were prepared The reaction of I with potassium thiocyanate afforded quinazolinonethione derivs. II (same R). Four of the new compds. were screened pharmacol. for their antiinflammatory, analgesic, and antipyretic properties.

IT 155817-55-3P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antiinflammatory, analgesic, and antipyretic properties of)
RN 155817-55-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-chloro-4-(3,4-dihydro-4-oxo-2-thioxo-1(2H)-quinazolinyl)-1-(4-methoxyphenyl)- (CA INDEX NAME)



L4 ANSWER 58 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:324111 CAPLUS
DOCUMENT NUMBER: 120:324111
ORIGINAL REFERENCE NO.: 120:57049a,57052a
TITLE: Heterocyclic β-enamino esters. 57. Studies on the N-glycosylation of heterocondensed uracils
AUTHOR(S): Wamhoff, H.; Wambach, W.; Herrmann, S.; Jansen, M.; Bruehne, B.
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, Germany
SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung (1994), 336(2), 129-39
CODEN: JPCCEM; ISSN: 0941-1216
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



I

AB N-glycosylations of various heterocondensed uracils of the general type I [R = alkyl, aryl; R1R2 = atoms required to complete a heterocycle or condensed heterocycle] are described. The thieno[2,3-d]pyrimidines I [R = Me, Ph; R1R2 = CR3:CR4S; R3R4 = (CH2)4, CH2CH2CHMeCH2, (CH2)3; R3, R4 = Me] afford with 1-O-acetyl-2,3,5-tri-O-benzoyl-D-ribofuranose the corresponding 1-ribosides in a modified Hilbert-Johnson-Birkofer synthesis; one of these was smoothly saponified to give the free riboside. A more generally applicable stereospecific Na salt glycosylation using

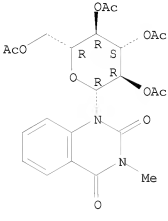
α-acetobromglucose or β-(trimethylsilyl)ethoxymethyl chloride gave the 1-glucosides and the acyclonucleosides, resp.

IT 155199-79-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 155199-79-4 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-methyl-1-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L4 ANSWER 59 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:236189 CAPLUS
DOCUMENT NUMBER: 120:236189
ORIGINAL REFERENCE NO.: 120:41585a,41588a
TITLE: Use of phosphodiesterase (PDE) inhibitors in treatment of kidney and ureter diseases
INVENTOR(S): Stief, Christian; Taher, Akmal; Meyer, Markus Friedrich
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 7 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4230755	A1	19940317	DE 1992-4230755	19920914
WO 9406423	A1	19940331	WO 1993-DE892	19930914
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 660711	A1	19950705	EP 1993-920652	19930914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08501538	T	19960220	JP 1994-507696	19930914
JP 3559282	B2	20040825		
AT 178210	T	19990415	AT 1993-920652	19930914
ES 2132254	T3	19990816	ES 1993-920652	19930914
US 5891904	A	19990406	US 1997-937590	19970929

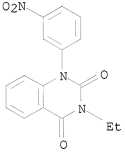
US 6083483	A	20000704	US 1999-272759		19990319
PRIORITY APPLN. INFO.:			DE 1992-4230755	A	19920914
			DE 1993-4324571	A	19930717
			WO 1993-DE892	W	19930914
			US 1995-403823	B1	19950601
			US 1997-937590	A3	19970929

AB Injections or topical solns. of denbufylline, Ro 20-1724, rolipram, tibenelast, nitraquazone, EMD 54622, etazolate, Org 30029, ICI 63197, and Zardaverine and their salts are inhibitors of PDE IV useful for treatment of kidney and ureter diseases. Thus, rolipram caused relaxation of noradrenaline-contracted strips of human ureter in vitro at ≥10-7M.

IT 56739-21-0, Nitraquazone
RL: BIOL (Biological study)
(kidney and ureter disease treatment with)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L4 ANSWER 60 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1994:283 CAPLUS
DOCUMENT NUMBER:	120:283
ORIGINAL REFERENCE NO.:	120:63a,66a
TITLE:	Modulation of TNFα and IL-1β from endotoxin-stimulated monocytes by selective PDE isozyme inhibitors
AUTHOR(S):	Molnar-Kimber, K.; Yonno, L.; Heaslip, R.; Weichman, B.
CORPORATE SOURCE:	Wyeth-Ayerst Res., Princeton, NJ, 08453-8000, USA
SOURCE:	Agents and Actions (1993), 39(Spec. Conf. Issue), C77-C79 CODEN: AGACBH; ISSN: 0065-4299
DOCUMENT TYPE:	Journal
LANGUAGE:	English

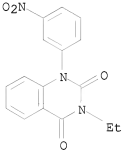
AB The effect of selective PDE isoenzyme inhibitors including vinpocetine (PDE-I), CI-930 and milrinone (PDE-III), rolipram and nitraquazone (PDE-IV) and zaprinast (PDE-V) on monocyte viability and production of tumor necrosis factor (TNFα) and interleukin-1β (IL-1β) elicited from endotoxin-stimulated human monocytes was investigated. None of the inhibitors affected monocyte viability at 10 μM or lower concns. PDE-IV inhibitors and to a lesser extent, PDE-III inhibitors suppressed TNFα production Only high concns. of PDE-IV inhibitors modestly suppressed IL-1β. Zaprinast stimulated IL-1β and to a lesser extent TNFα production These data show that TNFα and IL-1β production are differentially regulated, and that PDE III, PDE-IV and PDE-V

isoenzymes are functional in endotoxin-stimulated monocytes. Clin. trials will be needed to ascertain if PDE-IV inhibitors are able to suppress TNFα levels in man.

IT 56739-21-0, Nitraquazone
RL: BIOL (Biological study)
(monocyte tumor necrosis factor-α and interleukin 1β formation response to, as phosphodiesterase-IV inhibitor)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 39 THERE ARE 39 CAPLUS RECORDS THAT CITE THIS RECORD (39 CITINGS)

L4 ANSWER 61 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:670502 CAPLUS

DOCUMENT NUMBER: 119:270502

ORIGINAL REFERENCE NO.: 119:48393a,48396a

TITLE: Carbon-13 NMR study of 1-substituted 2-thioxo-4(1H,3H)-quinazolinones employing the 1D and 2D methods

AUTHOR(S): Imrich, J.; Busova, T.; Koscik, D.; Liptaj, T.

CORPORATE SOURCE: Fac. Nat. Sci., P. J. Safarik Univ., Kosice, 041 67, Czech Rep.

SOURCE: Chemical Papers (1993), 47(2), 102-5
CODEN: CHPAEG; ISSN: 0366-6352

DOCUMENT TYPE: Journal

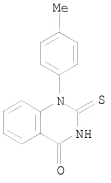
LANGUAGE: English

AB The 13C and 1H NMR spectra of the title compds. and their 2-oxo analog were studied by one- and two-dimensional methods COSY and INEPT. The chemical shifts were unambiguously ascribed to compds. under investigation and the coupling consts. J(H,C) of the 4-quinazolinone ring system were determined by the 2D-J selective INEPT. Relationship between localization of the multiple bond in the diazine ring and the 13C chemical shift values is discussed. The obtained values allowed the authors to deduce the SCS increments of 2-thioxo-4(1H,3H)-quinazolinon-1-yl grouping on the aromatic ring.

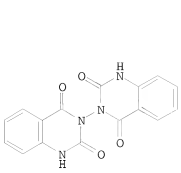
IT 151362-72-0
RL: PRP (Properties)
(carbon-13 NMR of)

RN 151362-72-0 CAPLUS

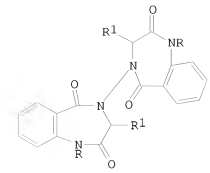
CN 4(1H)-Quinazolinone, 2,3-dihydro-1-(4-methylphenyl)-2-thioxo- (CA INDEX NAME)



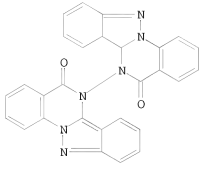
L4 ANSWER 62 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:603384 CAPLUS
DOCUMENT NUMBER: 119:203384
ORIGINAL REFERENCE NO.: 119:36273a,36276a
TITLE: Bis-azaheterocycles. Part III. Synthesis of some bi-quinazoline, 3H-1,4-benzodiazepine and indazolo[2,3-a]quinazoline derivatives
AUTHOR(S): Bhavani, A. K. D.; Reddy, P. S. N.
CORPORATE SOURCE: Dep. Chem., Osmania Univ., Hyderabad, 500 007, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1992), 31B(11), 736-9
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:203384
GI



I



II



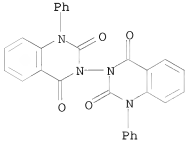
III

AB 3,3'-Biquinazoline I and 4,4'-bi-3H-1,4-benzodiazepines II (R = R1 = H; R = H, R1 = Me, Ph; R = Me, R1 = H) have been prepared from 1,2-bis(2-amino/methylaminobenzoyl)hydrazine, 2-RNHC6H4CONHNHCOC6H4NHR, and Et chloroformate/phenyl isocyanate and chloroacetyl chlorides, resp. Synthesis of [6,6'-biindazolo[2,3-a]quinazoline]-5,5'-dione III has been attempted from 2,2'-bis(2-nitrophenyl)-[3,3'-biquinazoline]-4,4'-dione.

IT 150614-02-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 150614-02-1 CAPLUS

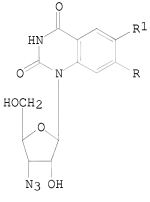
CN [3,3'(2H,2'H)-Biquinazoline]-2,2',4,4'(1H,1'H)-tetrone, 1,1'-diphenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 63 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:472995 CAPLUS

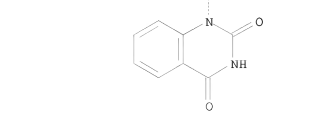
DOCUMENT NUMBER: 119:72995
ORIGINAL REFERENCE NO.: 119:13177a,13180a
TITLE: Nucleosides. LII. Synthesis and properties of quinazoline-3'-azidonucleosides
AUTHOR(S): Dunkel, Martin; Pfleiderer, Wolfgang
CORPORATE SOURCE: Fak. Chem., Univ. Konstanz, Konstanz, W-7750, Germany
SOURCE: Nucleosides & Nucleotides (1993), 12(2), 125-374
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

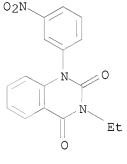
AB Title nucleosides, e.g. I (R,R1 = H, Me, OMe), were prepared and their H1 NMR and UV spectra were described.
IT 148917-48-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and azidolysis of)
RN 148917-48-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-[2-deoxy-5-O-[(4-methoxyphenyl)diphenylmethyl]-3-O-(methylsulfonyl)-β-D-threo-pentofuranosyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

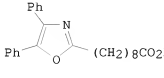
L4 ANSWER 64 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:189795 CAPLUS
DOCUMENT NUMBER: 118:189795
ORIGINAL REFERENCE NO.: 118:32579a,32582a
TITLE: Differential regulation of TNF-α and IL-1β production from endotoxin stimulated human monocytes by phosphodiesterase inhibitors
AUTHOR(S): Molnar-Kimber, K. L.; Yonno, L.; Heaslip, R. J.; Weichman, B. M.
CORPORATE SOURCE: Inflammation/Bone Metab. Div., Wyeth-Ayerst Res., Princeton, NJ, 08543-8000, USA
SOURCE: Mediators of Inflammation (1992), 1(6), 411-17 CODEN: MNFLEF; ISSN: 0962-9351
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effect of selective phosphodiesterase (PDE)-I (vinpocetine), PDE-III (milrinone, CI-930), PDE-IV (rolipram, nitraquazone), and PDE-V (zaprinast) isoenzyme inhibitors on TNF-α and IL-1β production from lipopolysaccharide (LPS) stimulated human monocytes was investigated. The PDE-IV inhibitors caused a concentration dependent inhibition of TNF-α production, but only partially inhibited IL-1β at high concns. High concns. of the PDE-III inhibitors weakly inhibited TNF-α, but had no effect on IL-1β production PDE-V inhibition was associated with an augmentation of cytokine secretion. Studies with combinations of PDE isoenzyme inhibitors indicated that PDE-III and PDE-V inhibitors modulate rolipram's suppression of TNF-α production in an additive manner. These data confirm that TNF-α and IL-1β production from LPS stimulated human monocytes are differentially regulated, and suggest that PDE-IV inhibitors have the potential to suppress TNF-α levels in man.
IT 56739-21-0
RL: BIOL (Biological study)
(monocyte of human formation of tumor necrosis factor α and interleukin-1β response to, phosphodiesterase isoenzyme in relation to)
RN 56739-21-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



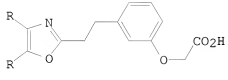
OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)

L4 ANSWER 65 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:591813 CAPLUS
DOCUMENT NUMBER: 117:191813

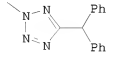
ORIGINAL REFERENCE NO.: 117:33135a,33138a
TITLE: Nonprostanoid prostacyclin mimetics. 3. Structural variations of the diphenyl heterocycle moiety
AUTHOR(S): Meanwell, Nicholas A.; Rosenfeld, Michael J.; Trehan, Ashok K.; Romine, Jeffrey L.; Wright, J. J. Kim; Brassard, Catherine L.; Buchanan, John O.; Federici, Marianne E.; Fleming, J. Stuart; et al.
CORPORATE SOURCE: Dep. Cardiovasc. Chem., Bristol-Myers Squibb Pharm. Res. Inst., Wallingford, CT, 06492, USA
SOURCE: Journal of Medicinal Chemistry (1992), 35(19), 3498-512
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

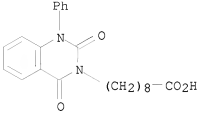


II



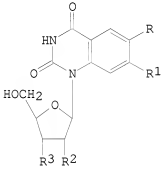
AB 4,5-Diphenyl-2-oxazolenonanoic acid (I) and 2-[3-[2-(4,5-diphenyl-2-oxazolyl)ethyl]phenoxy]acetic acid (II, R = Ph) were previously identified as nonprostanoid prostacyclin (PGI2) mimetics that inhibit ADP-induced aggregation of human platelets in vitro. The effects on biol. activity of substitution and structural modification of the 4- and 5-Ph rings of II was examined Thus, several derivs. of II (R = Ph) were prepared by reacting RCOCH(OH)R (R = 2-FC6H4, 3-ClC6H4, 3-MeOC6H4, 2-thienyl, etc.) with 3-HO2CCH2CH2C6H4OCH2CO2Me and NH4OAc to give the [(oxazolylethyl)phenoxy]acetates which were hydrolyzed to the acids II. Only the bis-4-Me derivative II (R = 4-MeC6H4), IC50 = 0.34 μM, demonstrated enhanced potency compared to the parent structure II (R = Ph) (III), IC50 = 1.2 μM. Substitution at the ortho or meta positions of the Ph rings, replacement by thiopheneyl or cyclohexyl moieties, or constraining in a planar phenanthreen system resulted in compds. that were less effective inhibitors of ADP-induced platelet aggregation. In contrast, variation of the heterocycle moiety revealed a much less stringent SAR and many 5- and 6-membered heterocycles were found to effectively substitute for the oxazole ring of I and III. Thus, Het-X-CO2H [IV, Het = diphenylmethyltetrazolyl, diphenylpyrimidinyl, diphenyltriazinyl, etc., X = (CH2)8, (CH2)2-4-C6H4OCH2, C6H4-3-O(CH2)4, etc.] were also prepared and tested for platelet aggregation inhibitory activity. The diphenylmethyl moiety functioned as an effective isostere for 4,5-diphenylated heterocycles since IV [Het = Q, X = (CH2)2-3-C6H4OCH2] showed similar platelet inhibitory activity to III. The structure-activity findings led to a refinement of a model of the nonprostanoid PGI2 mimetic pharmacophore.
IT 143547-67-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and blood platelet aggregation inhibitory activity of)
RN 143547-67-5 CAPLUS
CN 3(2H)-Quinazolinenonanoic acid, 1,4-dihydro-2,4-dioxo-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)

L4 ANSWER 66 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:490675 CAPLUS
DOCUMENT NUMBER: 117:90675
ORIGINAL REFERENCE NO.: 117:15849a,15852a
TITLE: Nucleosides. IL. Synthesis and properties of 2,4-quinazolinedione N-1-2-deoxy-, 3'-deoxy- and 2',3'-dideoxynucleosides
AUTHOR(S): Dunkel, Martin; Pfleiderer, Wolfgang
CORPORATE SOURCE: Fak. Chem., Univ. Konstanz, Konstanz, 7750, Germany
SOURCE: Nucleosides & Nucleotides (1992), 11(2-4), 787-819
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

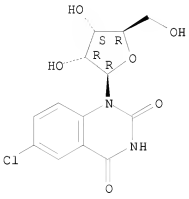


I

AB A series of 6- and/or 7-substituted 2,4-quinazoline-dione N-1-deoxyribofuransides, e.g I (R = H, Me , R1 = H, Me, R2 = H, R3 = OH; R2 = OH, R3 = H), have been prepared by transformation of the corresponding ribofuranosides by chemical deoxygenation or by glycosidation of the trimethylsilylated 2,4-quinazolinediones with an appropriate 3'-deoxyribofuranosyl donor. Direct glycosidation to the β-anomers with a 2'-deoxyribofuranosyl donor to pure anomers failed due to missing diastereoselectivity and difficult separation of the reaction products. The newly synthesized compds. have been identified by UV and 1H NMR spectra as well as elemental analyses.

IT 136858-72-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(chlorination and pivaloylation of)
RN 136858-72-5 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.

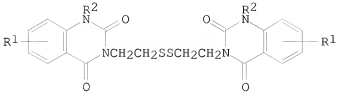


OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

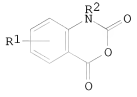
L4 ANSWER 67 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:448586 CAPLUS
DOCUMENT NUMBER: 117:48586
ORIGINAL REFERENCE NO.: 117:8671a,8674a
TITLE: Preparation of bis[2-(2,4-dioxo-1,2,3,4-tetrahydroquinazolin-3-yl)ethyl] disulfides
INVENTOR(S): Guetschow, Michael; Leistner, Siegfried; Tonew, Emil; Wagner, Guenther; Lohmann, Dieter
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Ger. (East), 6 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293812	A5	19910912	DD 1990-340031	19900424
PRIORITY APPLN. INFO.:			DD 1990-340031	19900424

OTHER SOURCE(S): CASREACT 117:48586; MARPAT 117:48586
GI



I



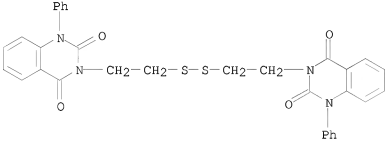
II

AB Disulfides I (R1 = H, Me, OMe, F, Cl, Br, iodo; R2 = H, alkyl, CH2Ph, Ph) were obtained by treating benzoxazinediones II with cystamine and cyclization with ClCO2Et. Thus, I (R1, R2 = H) was obtained from II (R1, R2 = H). I (R1, R2 = H) gave >99.99% inhibition of influenza virus on chick embryo cells.

IT 138608-79-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 138608-79-4 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3,3'-(dithiodi-2,1-ethanediyl)bis[1-phenyl-(9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 68 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

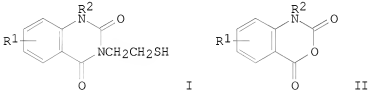
ACCESSION NUMBER:	1992:83691 CAPLUS
DOCUMENT NUMBER:	116:83691
ORIGINAL REFERENCE NO.:	116:14255a,14258a
TITLE:	Preparation of 3-(2-mercaptoethyl)quinazoline-2,4-(1H,3H)-diones
INVENTOR(S):	Leistner, Siegfried; Guetschow, Michael; Droessler, Karl; Wagner, Guenther; Lohmann, Dieter; Laban, Guenter
PATENT ASSIGNEE(S):	Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE:	Ger. (East), 8 pp. CODEN: GEXXA8
DOCUMENT TYPE:	Patent
LANGUAGE:	German

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293811	A5	19910912	DD 1990-340029	19900424
PL 165856	B1	19950228	PL 1991-289988	19910422
PL 166839	B1	19950630	PL 1991-304198	19910422
EP 454060	A1	19911030	EP 1991-106519	19910423
EP 454060	B1	19960703		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
HU 57192	A2	19911128	HU 1991-1352	19910423
HU 208428	B	19931028		
AT 140000	T	19960715	AT 1991-106519	19910423
JP 05125059	A	19930521	JP 1991-122247	19910424
JP 2991806	B2	19991220		

PRIORITY APPLN. INFO.:
DD 1990-340025 A 19900424
DD 1990-340026 A 19900424
DD 1990-340027 A 19900424
DD 1990-340029 A 19900424
DD 1990-340032 A 19900424
DD 1990-340035 A 19900424

OTHER SOURCE(S): CASREACT 116:83691; MARPAT 116:83691
GI

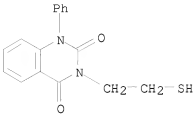


AB Title compds. I (R1 = H, Me, OMe, F, Cl, Br, iodo; R2 = H, alkyl, CH2Ph, Ph) were prepared from benzoxazinediones II and cystamine. Thus, II (R1, R2 = H) was treated with cystamine-HCl in the presence of NEt3 to give 90% (2-H2NC6H4CONHCH2CH2S)2 which was cyclized with ClCO2Et to give 77% disulfide of I (R1, R2 = H). Reduction of the disulfide gave 75% I (R1, R2 = H) which had immunostimulant activity in several tests.

IT 138779-50-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and immunostimulant activity of)

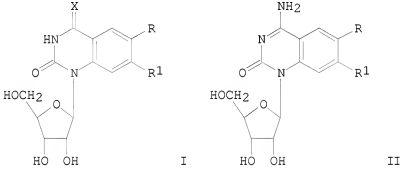
RN 138779-50-7 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 69 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:608437 CAPLUS
DOCUMENT NUMBER: 115:208437
ORIGINAL REFERENCE NO.: 115:35593a,35596a
TITLE: Nucleosides. XLVIII. Syntheses and properties of quinazoline N-1-ribofuranosides
AUTHOR(S): Dunkel, Martin; Pfleiderer, Wolfgang
CORPORATE SOURCE: Fak. Chem., Univ. Konstanz, Konstanz, D-7750, Germany
SOURCE: Nucleosides & Nucleotides (1991), 10(4), 799-817
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:208437
GI



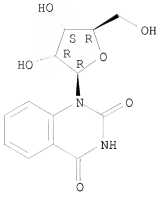
AB Quinazoline N-1-ribofuranosides, e.g. I (R = R1 = H, Me, OMe; R = H, R1 = Me; R = Br, Cl, R1 = H), and aminoribofuranosylquinazolines, e.g. II, were prepared via highly regioselective ribosylation of the corresponding 6- and 7-substituted quinazoline-2,4-(1H,3H)-diones.

IT 15135-21-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 15135-21-4 CAPLUS

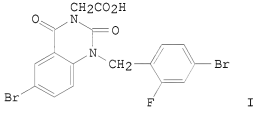
CN 2,4(1H,3H)-Quinazolinedione, 1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 70 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:247224 CAPLUS
DOCUMENT NUMBER: 114:247224
ORIGINAL REFERENCE NO.: 114:41741a,41744a
TITLE: Quinazolineacetic acids and related analogs as aldose reductase inhibitors
AUTHOR(S): Malamas, Michael S.; Millen, Jane
CORPORATE SOURCE: Wyeth-Ayerst Res., Princeton, NJ, 08543-8000, USA
SOURCE: Journal of Medicinal Chemistry (1991), 34(4), 1492-503
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:247224
GI



I

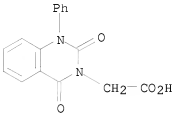
AB A variety of 2,4-dioxoquinazolineacetic acids (e.g., I) were synthesized as hybrids of the known aldose reductase inhibitors alrestatin, ICI-105,552, and ICI-128,436 and evaluated for their ability to inhibit partially purified bovine lens aldose reductase (in vitro) and their effectiveness to decrease galactitol accumulation in the 4-day galactosamic rat model (in vivo). In support of SAR studies, related analogs pyrimidinediones, dihydroquinazolones, and indazolidinones were synthesized and tested in the in vitro and in vivo assays. All prepared compds. have shown a high level of in vitro activity (IC50 .apprx.10-6 to 4 + 10-8 M). However, only the 2,4-quinazolinedione analog, with similar N-aralkyl substitution exhibited good oral potency. The remaining compds. were either inactive or had only a marginal in vivo activity. The structure-activity data support the presence of a secondary hydrophobic

pocket in the vicinity of the primary lipophilic region of the enzyme.

IT 133166-66-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and aldose reductase inhibition activity of)

RN 133166-66-2 CAPLUS

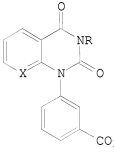
CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 52 THERE ARE 52 CAPLUS RECORDS THAT CITE THIS RECORD (52 CITINGS)

L4 ANSWER 71 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1991:81754 CAPLUS
DOCUMENT NUMBER: 114:81754
ORIGINAL REFERENCE NO.: 114:13957a,13960a
TITLE: Structure-activity relationship of quinazolinedione inhibitors of calcium-independent phosphodiesterase
AUTHOR(S): Lowe, John A., III; Archer, Robert L.; Chapin, Douglas S.; Cheng, John B.; Helweg, David; Johnson, Jonathan L.; Koe, B. Kenneth; Lebel, Lorraine A.; Moore, Peter F.; et al.
CORPORATE SOURCE: Cent. Res. Div., Pfizer, Inc., Groton, CT, 06340, USA
SOURCE: Journal of Medicinal Chemistry (1991), 34(2), 624-8
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:81754
GI



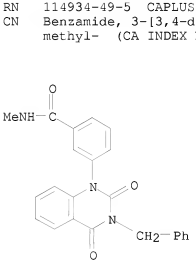
AB Quinazolinediones I (X = CH, R = H, Et, PhCH2) and azaquinazolinediones I (X = N, R = Et, PhCH2, cyclopentymethyl, norbornylmethyl) were prepared from 3-H2NC6H4CO2H and 2-ClC6H4CO2H or 2-chloronicotinic acid and and RNCO and possess potent inhibitory activity toward the calcium-independent phosphodiesterase enzyme (CaIPDE). In vivo testing showed that this in

vitro activity translates to animal models predictive of chronic diseases such as depression and inflammation. These results support the hypothesis that inhibition of CaIPDE may lead to useful activity in such chronic diseases.

IT 114934-49-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and calcium-independent phosphodiesterase inhibiting activity of)

RN 114934-49-5 CAPLUS

CN Benzamide, 3-[3,4-dihydro-2,4-dioxo-3-(phenylmethyl)-1(2H)-quinazolinyl]-N-methyl- (CA INDEX NAME)

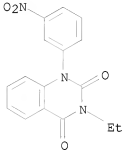


OS.CITING REF COUNT: 31 THERE ARE 31 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)

L4 ANSWER 72 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:545263 CAPLUS
DOCUMENT NUMBER: 113:145263
ORIGINAL REFERENCE NO.: 113:24477a,24480a
TITLE: Close correlation between behavioral response and binding in vivo for inhibitors of the rolipram-sensitive phosphodiesterase
AUTHOR(S): Schmiechen, Ralph; Schneider, Herbert H.; Wachtel, Helmut
CORPORATE SOURCE: Schering A.-G., Berlin, D-1000, Germany
SOURCE: Psychopharmacology (Berlin, Germany) (1990), 102(1), 17-20
CODEN: PSCHDL; ISSN: 0033-3158
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The antidepressant rolipram interacts in vitro with a binding site in brain tissue labeled by [3H]rolipram. A [3H]rolipram binding assay was employed in vivo to compare the affinity of rolipram-related compds. and reference phosphodiesterase (PDE) inhibitors with their potency in behavioral measures for potential antidepressant property. In mice and rats, the potency of a number of compds. to antagonize reserpine-induced hypothermia (mice) and to induce head twitches (rats) was determined, as well as their potency to displace [3H]rolipram from forebrain binding sites in vivo. The treatment schedules for the two series of expts. were identical. Correlations between pharmacol. effects and displacement of [3H]rolipram binding in vivo were observed in both species. Since the reference PDE inhibitors closely fit into the binding-pharmacol. activity relationship, the PDE inhibitory properties of the substances involved are discussed.

IT 56739-21-0, TVX 2706
RL: PRP (Properties)
(affinity of, for rolipram binding sites in brain, behavioral correlation with, affective disorders therapy in relation to)
RN 56739-21-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)

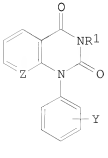


OS.CITING REF COUNT: 34 THERE ARE 34 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)

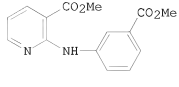
L4 ANSWER 73 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:21008 CAPLUS
DOCUMENT NUMBER: 112:21008
ORIGINAL REFERENCE NO.: 112:3691a,3694a
TITLE: Preparation of 1-phenylquinazoline-1H,3H-2,4-diones and 1-phenylpyrido[2,3-d]pyrimidine-1H,3H-2,4-dione drugs
INVENTOR(S): Lowe, John Adams
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Austrian, 10 pp.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 388378	B	19890612	AT 1987-2630	19871008
AT 8702630	A	19881115		
PRIORITY APPLN. INFO.:			AT 1987-2630	19871008

OTHER SOURCE(S): CASREACT 112:21008; MARPAT 112:21008
GI



I



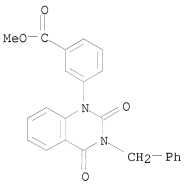
II

AB The title compds. [I; R1 = H, C1-3 alkyl, cyclopentylmethyl, (un)substituted PhCH2, etc.; Y = CO2H, alkoxycarbonyl, benzyloxycarbonyl, etc.; Z = N, CH] were prepared as antidepressants, antiinflammatories, analgesics, etc. (no data). Thus, 2-chloronicotinic acid was refluxed 4.5 h with 3-(H2N)C6H4CO2H in DMF containing Cu powder and CuBr and the product refluxed 2.5 days in MeOH containing HCl to give phenylaminonicotinate II which was refluxed 6 days with PhCH2NCO in xylene containing camphorsulfonic acid to give I (R1 = CH2Ph, Y = 3-CO2Me, Z = N).

IT 114934-47-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

RN 114934-47-3 CAPLUS

CN Benzoic acid, 3-[3,4-dihydro-2,4-dioxo-3-(phenylmethyl)-1(2H)-quinazolinyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L4 ANSWER 74 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1989:625090 CAPLUS

DOCUMENT NUMBER: 111:225090

ORIGINAL REFERENCE NO.: 111:37185a,37188a

TITLE: Role of low Km cyclic AMP phosphodiesterase inhibition in tracheal relaxation and bronchodilation in the guinea pig

AUTHOR(S): Harris, Alex L.; Connell, Mary J.; Ferguson, Edward W.; Wallace, Annette M.; Gordon, Robert J.; Pagani, Edward D.; Silver, Paul J.

CORPORATE SOURCE: Dep. Pharmacol., Sterling Res. Group, Rensselaer, NY, USA

SOURCE: Journal of Pharmacology and Experimental Therapeutics (1989), 251(1), 199-206
CODEN: JPETAB; ISSN: 0022-3565

DOCUMENT TYPE: Journal

LANGUAGE: English

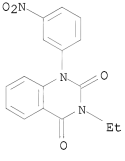
AB The relationship between inhibition of the rolipram-sensitive and the CI-930-sensitive low Km cAMP-specific phosphodiesterase (PDE) isoenzymes (PDE IIIRO and PDE IIIC, resp.) and bronchomotor tone was examined in the guinea pig. Rolipram and CI-930 exhibited biphasic concentration-response relations for relaxation of carbachol-, histamine-, and LTD4-contracted

trachea. However, each agent produced a monophasic (sigmoidal) concentration-response curve when tested in the presence of a fixed concentration (3 μM) of the other. The same relations were observed for inhibition of tracheal peak III PDE isolated via DEAE-cellulose chromatog. Whereas CI-930 was approx. equipotent in inhibiting PDE IIIC and relaxing rolipram-pretreated trachea, rolipram was substantially more potent (EC50 = 0.02 μM) in relaxing CI-930-pretreated trachea than in inhibiting CI-930-pretreated PDE III (PDE IIIRO, IC50 = 2.6 μM). Among a series of PDE inhibitors, there was a correlation between PDE IIIC inhibition (i.e., PDE III in the presence of rolipram) and rolipram-pretreated tracheal relaxation, but not between PDE IIIRO inhibition and CI-930-pretreated tracheal relaxation. Nine of the PDE inhibitors used in this study have been reported to displace rolipram from a high-affinity binding site in rat brain. A correlation between relaxation of CI-930-pretreated trachea and displacement of rolipram binding by these agents was observed between in vivo bronchodilation (inhibition of histamine-induced bronchoconstriction) and PDE IIIC inhibition ropipram-displacing potency, and relaxation of CI-930-pretreated trachea, but not PDE IIIRO inhibition. These data suggest that in the guinea pig, PDE IIIC inhibition produces bronchodilation whereas rolipram-induced bronchodilation is associated with a high-affinity binding site, which may or may not be the PDE IIIRO isoenzyme.

IT 56739-21-0, Nitraquazone
RL: BIOL (Biological study)
(airway relaxation by, as phosphodiesterase inhibitor)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 50 THERE ARE 50 CAPLUS RECORDS THAT CITE THIS RECORD (50 CITINGS)

L4 ANSWER 75 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

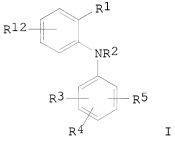
ACCESSION NUMBER:	1989:594317 CAPLUS
DOCUMENT NUMBER:	111:194317
ORIGINAL REFERENCE NO.:	111:32287a,32290a
TITLE:	Preparation of novel fenamic acid hydroxamate derivatives as cyclooxygenase and 5-lipoxygenase inhibitors
INVENTOR(S):	Connor, David Thomas; Flynn, Daniel Lee
PATENT ASSIGNEE(S):	Warner-Lambert Co., USA
SOURCE:	PCT Int. Appl., 75 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8903818	A1	19890505	WO 1988-US3789	19881026
W: AT, AU, DE, DK, FI, GB, JP, KR, LU, NL, NO, SE, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 5155110	A	19921013	US 1988-248204	19880926
ZA 8807696	A	19900627	ZA 1988-7696	19881014
AU 8929092	A	19890523	AU 1989-29092	19881026
EP 316630	A1	19890524	EP 1988-117847	19881026
R: ES, GR				
PRIORITY APPLN. INFO.:			US 1987-113789	A1 19871027
			US 1987-134725	A1 19871218
			US 1988-248204	A1 19880926
			WO 1988-US3789	A 19881026

OTHER SOURCE(S): MARPAT 111:194317

GI



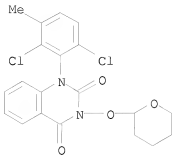
I

AB Title compds. I [R1 = CONR6OR7, C(:NOR7)CO2R8 (R6 = H, alkyl, aryl, aralkyl, cycloalkyl; R7 = H, alkyl, acyl; R8 = H, alkyl), (when R1 = CONR6OR7, R7 ≠ Me with other exclusions); R2 = H, alkyl; R1R2 = CON(OR7)C:L (L = H2, O), C(:NOR7)CO; R3, R4, R5, R12 = H, F, Cl, Br, CF3, alkyl, OH, cyano, alkoxy, SOnR9 (n = 0-2; R9 = alkyl), NO2, NR10R11 (R10, R11 = H, alkyl, aryl); when R1 = CONHOH, R3 = R4 = R5 ≠ H, (1) one or two of R3 - R5 ≠ alkyl and the other one or two of R3 - R5 = H, (2) one of R3-R5 = ortho - alkyl, the other one of R3 - R5 ≠ m-NO2, m-CF3, m-CHF2 (sic) with other exclusions] are prepared Meclomen (II) in CH2Cl2 containing DMF was successively treated with oxalyl chloride and PhCH2NOH in THF-H2O-Et3N to give 2-[(2,6-dichloro-3-methylphenyl)amino]-N-hydroxy-N-phenylmethylbenzamide, which showed an IC40 of 27.0 mg/kg p.o. against Mycobacterium-induced edema in rats, vs. 0.39 mg/kg p.o for II.

IT 123336-82-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of cyclooxygenase and lipoxygenase inhibitors)

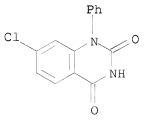
RN 123336-82-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(2,6-dichloro-3-methylphenyl)-3-[(tetrahydro-2H-pyran-2-yl)oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

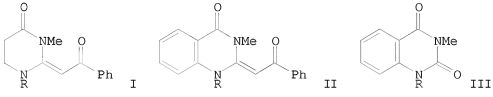
L4 ANSWER 76 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1989:165551 CAPLUS
DOCUMENT NUMBER: 110:165551
ORIGINAL REFERENCE NO.: 110:27229a,27232a
TITLE: Analgesic, anticonvulsant and anti-inflammatory activities of 1H,3H-quinazoline-2,4-diones
AUTHOR(S): Montginoul, C.; Pastor, G.; Vigne, C.; Giral, L.
CORPORATE SOURCE: Lab. Chim. Org. Struct., Univ. Sci. Tech. Languedoc, Montpellier, F 34060, Fr.
SOURCE: Annales Pharmaceutiques Francaises (1989), 46(4), 223-32
CODEN: APFRAD; ISSN: 0003-4509
DOCUMENT TYPE: Journal
LANGUAGE: French
AB One hundred thirty-five title compds. belonging to 3 different categories (1-monosubstituted, 3-monosubstituted, and 1,3-disubstituted) were prepared either by previously described methods or by procedures which are illustrated schematically; they were tested for the title pharmacol. activities in standard tests following oral administration to mice and rats. Several of the compds. had significant analgesic and anti-inflammatory properties. Some structure-activity relations are discussed.
IT 42026-56-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and analgesic and anticonvulsant and anti-inflammatory activities of, structure in relation to)
RN 42026-56-2 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 77 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:437791 CAPLUS
DOCUMENT NUMBER: 109:37791
ORIGINAL REFERENCE NO.: 109:6399a,6402a
TITLE: Syntheses of heterocycles from 5-phenylisoxazolium salts. 2. Synthesis and properties of 2-phenacylidenequinazolin-4-ones
AUTHOR(S): Haber, Hanka; Henning, Hans Georg
CORPORATE SOURCE: Sekt. Chem., Humboldt-Univ. Berlin, Berlin, DDR-1040, Ger. Dem. Rep.
SOURCE: Zeitschrift fuer Chemie (1987), 27(9), 336-7
CODEN: ZECEAL; ISSN: 0044-2402
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 109:37791
GI



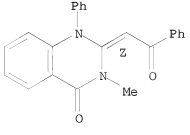
AB Cyclocondensation reaction of N-methyl-5-phenylisoxazolium methosulfate with H2N(CH2)2CO2H or 2-H2NC6H4CO2H gave 76% phenacylidenepyrimidone I (R = H) and 83% phenacylidenequinazolinone II (R = H), resp. I (R = CH2Ph) was prepared by ring closure of PhCH2NH(CH2)2CONMeCOCH2COPh in 26% yield. Similar ring closure reactions of 2-RNHC6H4CONMeCOCH2COPh (R = Me, Ph) gave 72-85% II. Photolysis of II (R = Me, Ph) in presence of O gave quinazolinediones III in 76 and 68% yields, resp.

IT 115103-71-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and photochem. oxidative cleavage reaction of)

RN 115103-71-4 CAPLUS

CN 4(1H)-Quinazolinone, 2,3-dihydro-3-methyl-2-(2-oxo-2-phenylethylidene)-1-phenyl-, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

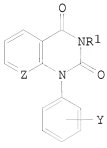
L4 ANSWER 78 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:422980 CAPLUS
DOCUMENT NUMBER: 109:22980

ORIGINAL REFERENCE NO.: 109:3933a,3936a
TITLE: Preparation of quinazolinediones and pyridopyrimidinediones as antidepressants, antiinflammatories, analgesics, and antiasthmatics
INVENTOR(S): Lowe, John Adams, III
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 260817	A1	19880323	EP 1987-307311	19870819
EP 260817	B1	19910515		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
WO 8801270	A1	19880225	WO 1986-US1718	19860821
W: FI, HU, NO, RO, SU, US				
US 4797403	A	19890110	US 1987-76976	19870723
IN 168876	A1	19910629	IN 1987-DE688	19870806
CS 268189	B2	19900314	CS 1987-5974	19870813
IL 83569	A	19911215	IL 1987-83569	19870817
HU 44786	A2	19880428	HU 1987-3731	19870819
HU 196798	B	19890130		
AT 63553	T	19910615	AT 1987-307311	19870819
CA 1294618	C	19920121	CA 1987-544833	19870819
ES 2031513	T3	19921216	ES 1987-307311	19870819
DK 8704337	A	19880222	DK 1987-4337	19870820
FI 8703608	A	19880222	FI 1987-3608	19870820
FI 84720	B	19910930		
FI 84720	C	19920110		
NO 8703514	A	19880222	NO 1987-3514	19870820
NO 165493	B	19901112		
NO 165493	C	19910220		
CN 87105791	A	19880309	CN 1987-105791	19870820
CN 1014992	B	19911204		
AU 8777247	A	19880310	AU 1987-77247	19870820
AU 579047	B2	19881110		
DD 261598	A5	19881102	DD 1987-306217	19870820
ZA 8706172	A	19890329	ZA 1987-6172	19870820
SU 1769758	A3	19921015	SU 1987-4203181	19870820
JP 63060974	A	19880317	JP 1987-208060	19870821
JP 06025166	B	19940406		
US 4880810	A	19891114	US 1989-273305	19890103

PRIORITY APPLN. INFO.:
WO 1986-US1718 W 19860821
US 1987-76976 A 19870723
EP 1987-307311 A 19870819

OTHER SOURCE(S): CASREACT 109:22980; MARPAT 109:22980
GI



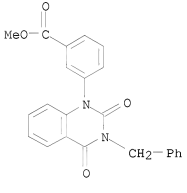
I

AB The title compds. [I; R1 = H, alkyl, cyclopentylmethyl, cyclohexylmethyl, norbornylmethyl, [2.2.2]bicyclooctylmethyl, (substituted) PhCH2; Y = (modified) carboxylate; Z = N, CH; when Z = CH, R1 = (substituted) PhCH2 and Y can be (substituted) tetrazolyl] were prepared as antidepressants, antiinflammatories, analgesics, and antiasthmatics (no data). Me 2-(3-carbomethoxyphenylamino)nicotinate (preparation given), PhCH2NCO, and catalytic camphorsulfonic acid were refluxed 6 days to give 31.2% 1-(3-carbomethoxyphenyl)-3-benzylpyrido[2,3-d]pyrimidine-1H,3H-2,4-dione.

IT 114934-47-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiasthmatic, analgesic, antidepressant, and antiinflammatory)

RN 114934-47-3 CAPLUS

CN Benzoic acid, 3-[3,4-dihydro-2,4-dioxo-3-(phenylmethyl)-1(2H)-quinazolinyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)

L4 ANSWER 79 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1987:27680 CAPLUS

DOCUMENT NUMBER: 106:27680

ORIGINAL REFERENCE NO.: 106:4535a,4538a

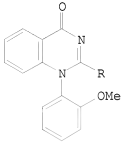
TITLE: Investigations on the 4-quinazolinone series. XVII. Synthesis and biological activity of 1,2-disubstituted 4-quinazolinone

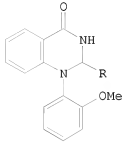
AUTHOR(S): Vizgunova, O. L.; Kozhevnikov, Yu. V.; Obvintseva, L. M.; Zalesov, V. S.

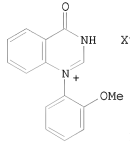
CORPORATE SOURCE: Farm. Inst., Perm, USSR

SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1986), 20(9),

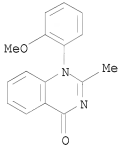
1047-9
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI


I


II

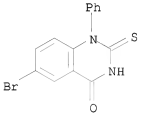

III

AB Twelve title compds. (I: R = Me, Et, Ph, or benzyl; II: R = Pr, Ph, C6H4OMe-4, or 2-furyl; III: R = Me or Et, X = Br- or ClO4-) were prepared from N-(2-methoxyphenyl)anthranilic amide by reaction with acid chlorides or aldehydes. I were prepared as hydrochlorides. The compds. had toxicity in mice. Among the compds. exhibiting both analgesic and anticonvulsant activity in mice were I (R = Me) [106059-63-6], II (R = 2-furyl) [106059-70-5], and III (R = Me, X = Br) [106059-71-6].
IT 106059-63-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and analgesic and anticonvulsant activity of)
RN 106059-63-6 CAPLUS
CN 4(1H)-Quinazolinone, 1-(2-methoxyphenyl)-2-methyl- (CA INDEX NAME)



L4 ANSWER 80 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:224869 CAPLUS
DOCUMENT NUMBER: 104:224869
ORIGINAL REFERENCE NO.: 104:35671a,35674a
TITLE: Some reactions of nitrogen nucleophiles with 6-bromo-2,4-dichloroquinazoline, 6-bromo-2-chloro-3-methyl-4(3H)-quinazolinone, and 6-bromo-4-chloro- or (6-bromo-4-chloro-1-phenyl)-1H-quinazoline-2-thione
AUTHOR(S): Sayed, M. A.; El-Gendy, A. M.; El-Frargy, A. F.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Egypt
SOURCE: Pakistan Journal of Scientific and Industrial Research

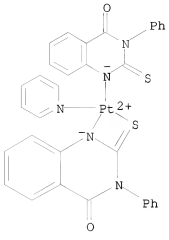
(1985), 28(6), 367-71
CODEN: PSIRAA; ISSN: 0030-9885
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reaction of the title chloroquinazolines with amines and NH2NH2 gave the corresponding amino derivs.
IT 102393-86-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(chlorination of)
RN 102393-86-2 CAPLUS
CN 4(1H)-Quinazolinone, 6-bromo-2,3-dihydro-1-phenyl-2-thioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 81 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:218002 CAPLUS
DOCUMENT NUMBER: 104:218002
ORIGINAL REFERENCE NO.: 104:34383a,34386a
TITLE: Palladium(II), platinum(II) and platinum(IV) complexes of 2-mercapto-3-phenyl-4-quinazolinone: reactions of palladium(II) chloride and platinum(IV) chloride with 2-mercapto-3-phenyl-4-quinazolinone in the presence and absence of various N-heterocyclic bases
AUTHOR(S): Gupta, Hari K.; Dikshit, Sheo K.
CORPORATE SOURCE: Dep. Chem., Indian Inst. Technol., Kanpur, 208016, India
SOURCE: Transition Metal Chemistry (Dordrecht, Netherlands) (1985), 10(12), 469-72
CODEN: TMCHDN; ISSN: 0340-4285
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reactions of 2-mercapto-3-phenyl-4-quinazolinone (LH) with PdCl2.2H2O and PtCl4.5H2O gave [ML2] (M = Pd or Pt). Reactions of PdCl2.2H2O with LH in the presence of N-heterocyclic bases yield [PdLClQ] (Q = py, 3-picoline, 0.5 1,10-phenanthroline (phen), 0.5 2,2'-bipyridine) or Pd(LH)Cl(imz) (Himz = imidazole). PtCl4.5H2O reacts with LH in the presence of various N-heterocyclic bases to give [PtL2Q1] (Q1 = py, 3-picoline, 0.5 phen, 0.5 pyrimidine) and [PtL2Q2Cl] (HQ2 = imz or pyrazole). These complexes were characterized on the basis of anal., IR and electronic spectral and magnetic measurement studies, and tentative structures for them are proposed.
IT 101164-02-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 101164-02-7 CAPLUS
CN Platinum, (2,3-dihydro-3-phenyl-2-thioxo-4(1H)-quinazolinonato-N1)(2,3-dihydro-3-phenyl-2-thioxo-4(1H)-quinazolinonato-N1,S2)(pyridine)- (9CI)

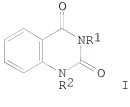
(CA INDEX NAME)



L4 ANSWER 82 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:5888 CAPLUS
DOCUMENT NUMBER: 104:5888
ORIGINAL REFERENCE NO.: 104:1082h,1083a
TITLE: Substituted quinazolin-2,4(1H,3H)-diones
INVENTOR(S): Opitz, Wolfgang
PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3347526	A1	19850711	DE 1983-3347526	19831230
NO 8404953	A	19850701	NO 1984-4953	19841211
AU 8436593	A	19850704	AU 1984-36593	19841212
EP 150411	A1	19850807	EP 1984-115610	19841217
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
DK 8406280	A	19850701	DK 1984-6280	19841221
JP 60158182	A	19850819	JP 1984-272143	19841225
FI 8405124	A	19850701	FI 1984-5124	19841227
ZA 8410109	A	19850828	ZA 1984-10109	19841228

PRIORITY APPLN. INFO.: DE 1983-3347526 A 19831230
OTHER SOURCE(S): MARPAT 104:5888
GI



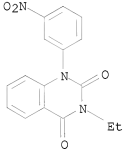
I

AB The title compds. [I; R1 = H, alkenyl, substituted aralkyl, (un)substituted alkyl; R2 = (un)substituted aryl] were prepared by cyclocondensation of 2-R2NHC6H4CONHR1 (II) with R3R4CO (R3 = halo; R4 = halo, alkoxy, aryloxy) in a H2O-immiscible solvent in the presence of aqueous alkali and a phase-transfer catalyst. Thus, II (R1 = Et, R2 = 3-O2NC6H4), Bu4N+HSO4-, and aqueous NaOH were stirred in CH2Cl2, followed by addition of ClCO2Et in CH2Cl2 and further stirring at room temperature, to give 82.5% I (R1, R2 as given).

IT 56739-21-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 56739-21-0 CAPLUS

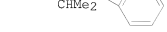
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



L4 ANSWER 83 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1985:203931 CAPLUS
DOCUMENT NUMBER:	102:203931
ORIGINAL REFERENCE NO.:	102:31965a,31968a
TITLE:	Studies on 4(1H)-quinazolinones. 5. Synthesis and antiinflammatory activity of 4(1H)-quinazolinone derivatives
AUTHOR(S):	Ozaki, Kenichi; Yamada, Yoshihisa; Oine, Toyonari; Ishizuka, Toru; Iwasawa, Yoshio
CORPORATE SOURCE:	Res. Lab. Appl. Biochem., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan
SOURCE:	Journal of Medicinal Chemistry (1985), 28(5), 568-76 CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 102:203931

GI



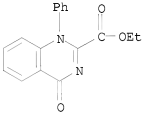
I

AB A number of new 4(1H)-quinazolinones were synthesized and evaluated in the carrageenin-induced paw edema test. Most of the compds. were obtained by the cyclization of the appropriately substituted anthranilamides with acid chlorides, followed by further chemical transformation. Structure-activity data suggest that 2-isopropyl-1-phenyl-, 2-cyclopropyl-1-phenyl-, and 1-isopropyl-2-phenyl-4(1H)-quinazolinones afford optimal potency and the presence of a halogen atom is preferred for activity. Adrenalectomy does not affect the antiinflammatory test results. The best result taking into account both efficacy and side effects was displayed by quinazolinone I.

IT 66491-84-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis of)

RN 66491-84-7 CAPLUS

CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-1-phenyl-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)

L4 ANSWER 84 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1985:6322 CAPLUS

DOCUMENT NUMBER: 102:6322

ORIGINAL REFERENCE NO.: 102:1147a,1150a

TITLE: The preparation of 5-(2-aminophenyl)-1,3,4-oxadiazol-2(3H)-one and its rearrangement to 3-amino-2,4(1H,3H)-quinazolinedione

AUTHOR(S): Davidson, John S.

CORPORATE SOURCE: North East London Polytech., London, E15 4LZ, UK

SOURCE: Monatshefte fuer Chemie (1984), 115(5), 565-71
CODEN: MOCMB7; ISSN: 0026-9247

DOCUMENT TYPE: Journal

LANGUAGE: English

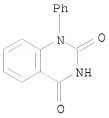
OTHER SOURCE(S): CASREACT 102:6322

AB When 2-H2NC6H4CONHNH2 is treated with 1,1'-carbonyldiimidazole in THF 5-(2-aminophenyl)-1,3,4-oxadiazol-2(3H)-one (I) is formed. It can also be prepared from 2-H2NC6H4CONHNHCONMe2 which eliminates MeNH2 when boiled with DMF. On heating I above its m.p. it rearranges to 3-amino-2,4(1H,3H)-quinazolinedione.

IT 3282-28-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of)

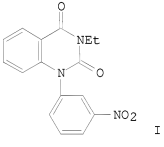
RN 3282-28-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

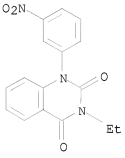
L4 ANSWER 85 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:294 CAPLUS
DOCUMENT NUMBER: 102:294
ORIGINAL REFERENCE NO.: 102:51a,54a
TITLE: TVX 2706 - a new phosphodiesterase inhibitor with antiinflammatory action. Biochemical characterization
AUTHOR(S): Glaser, Thomas; Traber, Joerg
CORPORATE SOURCE: Neurobiol. Dep., Troponwerke G.m.b.H. und Co. K.-G., Cologne, D-5000/80, Fed. Rep. Ger.
SOURCE: Agents and Actions (1984), 15(3-4), 341-8
CODEN: AGACBH; ISSN: 0065-4299
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

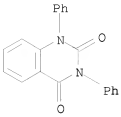
AB The effects of the anti-inflammatory and analgesic drug TVX 2706 (I) [56739-21-0] on neuronal and glial cell culture systems including neuroblastoma + glioma hybrid cells have been studied. This compound strongly enhances the increase in intracellular levels of cyclic AMP [60-92-4] caused by appropriate effectors in all systems tested so far. EC50 values are in the submicromolar range. The effect is apparently neither due to an increased responsiveness of the hybrid cells for an effector like prostaglandin E1 nor to an increased activity of adenylate cyclase, but to an inhibition of both low and high affinity cyclic AMP phosphodiesterase [9036-21-9] activity. Half-maximal inhibition of enzyme activity is obtained at 10 μM TVX 2706. The drug is at least equipotent to or more potent than some other common phosphodiesterase inhibitors. Inhibition of phosphodiesterase activity is also observed in homogenates from rat polymorphonuclear leukocytes, where the low Km-enzyme is preferentially inhibited. TVX 2706 does not interfere with the calmodulin activation of phosphodiesterase. The role of phosphodiesterase inhibition as a possible mechanism of the anti-inflammatory action of TVX

2706 is discussed.
IT 56739-21-0
RL: BIOL (Biological study)
(cAMP phosphodiesterase inhibition by, inflammation inhibition in relation to)
RN 56739-21-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

L4 ANSWER 86 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:121298 CAPLUS
DOCUMENT NUMBER: 100:121298
ORIGINAL REFERENCE NO.: 100:18465a,18468a
TITLE: Synthetic applications of tricarbonyl-η6-arenechromium(0) complexes: the synthesis of benzo-fused heterocycles
AUTHOR(S): Ghavshou, Michael; Widdowson, David A.
CORPORATE SOURCE: Dep. Chem., Imp. Coll., London, SW7 2AY, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1983), (12), 3065-70
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:121298
GI For diagram(s), see printed CA Issue.
AB Treatment of tricarbonyl(η6-2-trifluorolithiobenzene)chromium(0) (I) with bifunctional electrophiles gave 5-, 6-, or 7-membered benzo-fused heterocycles by a multistep cycloaddn. reaction. E.g., treatment of I with 2 equiv PhNCO in THF at -78° for 2 h, at -20° for 2 h, and finally at room temperature for 16 h gave the quinazolinedione complex II in
90% yield.
IT 89267-53-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 89267-53-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1,3-diphenyl- (CA INDEX NAME)

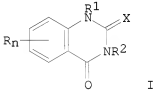


OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L4 ANSWER 87 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:68318 CAPLUS
DOCUMENT NUMBER: 100:68318
ORIGINAL REFERENCE NO.: 100:10409a,10412a
TITLE: 2,4-Dioxo-1,3-dihydroquinazoline derivatives and their use in fungicidal compositions
INVENTOR(S): Bracha, Peretz; Massil, Solomon
PATENT ASSIGNEE(S): Makhteshim Chemical Works Ltd., Israel
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3311925	A1	19831013	DE 1983-3311925	19830331
IL 65464	A	19860131	IL 1982-65464	19820411
US 4551458	A	19851105	US 1983-483938	19830411
PRIORITY APPLN. INFO.:			IL 1982-65464 A	19820411

OTHER SOURCE(S): MARPAT 100:68318
GI

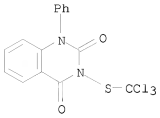


AB Title compds. I [R = alkyl, halo, NO2; n = 0-4; R1,R2 = alkyl, (un)substituted Ph, haloalkylthio; X = O, S] were prepared as fungicides. Thus, I (R-R2 = H, X = O) was treated with Cl3CSCl to give 65% I (R = H, R1 = R2 = Cl3CS, X = O) (II). Against Aspergillus niger II had an ED50 of 8.0 ppm.

IT 88634-99-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

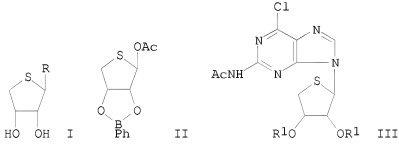
RN 88634-99-5 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl-3-[(trichloromethyl)thio]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 88 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:22947 CAPLUS
DOCUMENT NUMBER: 100:22947
ORIGINAL REFERENCE NO.: 100:3625a,3628a
TITLE: Thio sugars - Part 9. Antiviral nucleosides from 4-thio-DL-erythrofuranose and purines and other fused pyrimidines
AUTHOR(S): McCormick, Joan E.; McElhinney, R. S.
CORPORATE SOURCE: Lab. Med. Res. Counc., Trinity Coll., Dublin, Ire.
SOURCE: Proceedings of the Royal Irish Academy, Section B: Biological, Geological and Chemical Science (1983), 83 B(1-16), 125-38
CODEN: PRIBAN; ISSN: 0035-8983
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



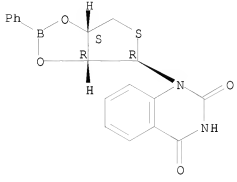
AB Nucleosides I [R = substituted purin-9-yl, 2-(o-propoxyphenyl)-8-azahypoxanthin-9-yl, (un)substituted 2,4-dioxo-1,2,3,4-tetrahydroquinazolin-1(or 3)-yl] and 2',3'-seco-analogs of some of them were prepared Thus, 2-acetamido-6-chloropurine was glycosylated with II (by fusion in the presence of p-MeC6H4SO3H) to give 45% nucleoside III (R12 = PhB), which was deboronated to give 90% III (R1 = H). Application of various exptl. conditions for purine glycosylation with 4-thioerythrofuranose derivs. was also studied.

IT 88145-92-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

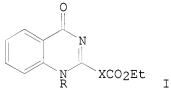
RN 88145-92-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-[(3aR,4R,6aS)-tetrahydro-2-phenylthieno[3,4-d]-1,3,2-dioxaborol-4-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

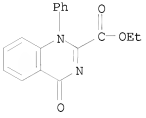


L4 ANSWER 89 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:22632 CAPLUS
DOCUMENT NUMBER: 100:22632
ORIGINAL REFERENCE NO.: 100:3561a,3564a
TITLE: Studies on 4(1H)-quinazolinones. III. Some derivatizations of 2-ethoxycarbonylalkyl-1-substituted-4(1H)-quinazolinones
AUTHOR(S): Ozaki, Ken Ichi; Yamada, Yoshihisa; Oine, Toyonari
CORPORATE SOURCE: Res. Lab. Appl. Biochem., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1983), 31(7), 2234-43
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:22632
GI



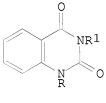
AB Reactions of 2-RNHC6H4CONH2 (R = Ph, Me, alkoxycarbonylalkyl, chloroalkyl) with EtO2CXCOCl (X = bond, CH2, CHMe) gave quinazolinones I. I (R = Me, X = bond) was converted to the carboxylic acid, the hydroxamic acid, the amide, and the nitrile. The nitrile was allowed to react with various nucleophiles to give amino or alkylthio derivs. The reaction of the nitrile with NaN3 gave 1,2-dihydro-4-hydroxy-1-methyl-2-(5H-tetrazol-5-ylidene)quinazoline which is the 1,3-dipolar addition product to the cyano group. The intramol. ring closures of I (R = alkoxycarbonylalkyl, chloroalkyl) proceeded by using an appropriate base or heating to give the corresponding pyrrolo- or pyrido[1,2-a]quinazolinones.
IT 66491-84-7P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
RN 66491-84-7 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-1-phenyl-, ethyl ester (CA INDEX NAME)



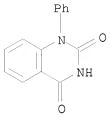
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 90 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:612486 CAPLUS
DOCUMENT NUMBER: 99:212486
ORIGINAL REFERENCE NO.: 99:32703a,32706a
TITLE: Synthesis and biological activity of certain derivatives of 2,4-dioxo-1,2,3,4-tetrahydroquinazoline. I
AUTHOR(S): Osman, A. N.; Khalifa, M.; Ismail, M. A.; Ossman, A. E.; Ibrahim, M. G.
CORPORATE SOURCE: Fac. Pharm., Univ. Cairo, Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1983), Volume Date 1982, 25(2), 159-64
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:212486
GI



I

AB The title compds. I (R = Et, Ph, 4-MeC6H4, R1 = Bz, CH2Ph) were prepared from I (R1 = H). They have analgesic activity comparable to that of phenylbutazone and moderate antiinflammatory activity. Benzoylation of I (R R1 = H) gave I (R = R1 = Bz).
IT 3282-28-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(benzylation and benzoylation of)
RN 3282-28-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)



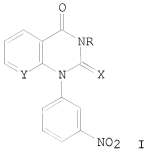
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 91 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:546113 CAPLUS
DOCUMENT NUMBER: 99:146113
ORIGINAL REFERENCE NO.: 99:22351a,22354a
TITLE: 1-(3-Nitrophenyl)pyrido[2,3-d]pyrimidine-2,4(1H,3H)diones and 1-(3-nitrophenyl)quinazoline-2,4(1H,3H)diones useful in cutaneous treatment
INVENTOR(S): Pelster, Bernhard; Horstmann, Harald
PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3150271	A1	19830630	DE 1981-3150271	19811218
NO 8204044	A	19830620	NO 1982-4044	19821202
EP 82385	A1	19830629	EP 1982-111233	19821204
EP 82385	B1	19860730		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 21032	T	19860815	AT 1982-111233	19821204
AU 8291446	A	19830623	AU 1982-91446	19821213
JP 58110518	A	19830701	JP 1982-217921	19821214
FI 8204330	A	19830619	FI 1982-4330	19821216
CA 1201065	A1	19860225	CA 1982-417905	19821216
ZA 8209268	A	19831026	ZA 1982-9268	19821217

PRIORITY APPLN. INFO.: DE 1981-3150271 A 19811218
EP 1982-111233 A 19821204

OTHER SOURCE(S): MARPAT 99:146113
GI



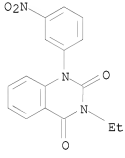
I

AB The title compds. (I, where R = H, lower alkyl or aralkyl, X = O or S and Y = N or CH) are used in topical formulations for treatment of inflammation and pain. Side effects associated with the oral or i.m. administration of I are decreased by using topical formulations. Thus, administration of 0.3 mg/kg 1-(3-nitrophenyl)-3-ethylquinazoline-2,4(1H,3H)-dione (I, R = Et, X = O, Y = CH) [56739-21-0] in DMSO decreased kaolin-induced edema in rats by 48%.

IT 56739-21-0
RL: BIOL (Biological study)
(topical antiinflammatory formulations containing)

RN 56739-21-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 92 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1983:498802 CAPLUS

DOCUMENT NUMBER: 99:98802

ORIGINAL REFERENCE NO.: 99:15073a,15076a

TITLE: Antiinflammatory, analgesic and antipyretic activities of certain 1,3- and 1,6-disubstituted and 1,3,6-trisubstituted quinazoline 2,6-dione derivatives

AUTHOR(S): Ahmed, H. M. S.

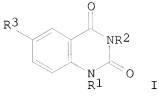
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt

SOURCE: Bulletin of the Faculty of Pharmacy (Cairo University) (1982), Volume Date 1980, 19(1), 1-9
CODEN: BFPHA8; ISSN: 0575-1373

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

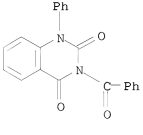


AB Nine quinazolinedione derivs. (I; R1 = Et, Ph, or p-tolyl; R2 = H, benzyl, or benzoyl; R3 = H or Br) were tested for antiinflammatory, analgesic, and antipyretic activity in rats and mice. Most of the I had anti-inflammatory activity at 50-, 75-, and 100-mg/kg, although I containing a 1-Et or 1-Ph substitution accompanied by a 3-benzyl substitution lacked anti-inflammatory activity. The greatest anti-inflammatory effects were obtained with 1-Et-6-Br substitutions. The substituents and their anti-inflammatory potencies may be ranked as follows: 6-Br-1-Et > 6 Br-1-Et-3-Bz > 1-p-tolyl-3-Bz > 1-p-tolyl-3-benzyl > 1-Et-3Bz > 1-Ph-3-Bz > 6-Br-1-Et-3-benzyl. These effects were more pronounced in mice than in rats, though much less marked than those of indomethacin. All I exhibited weak antipyretic activity as compared with aspirin. They did, however, exhibit moderate analgesic activity when tested on mice in the phenylquinone-induced writhing test.

IT 84587-30-4
RL: BIOL (Biological study)
(analgesic and anti-inflammatory and antipyretic activity of, structure in relation to.)

RN 84587-30-4 CAPLUS

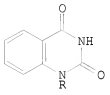
CN 2,4(1H,3H)-Quinazolinedione, 3-benzoyl-1-phenyl- (CA INDEX NAME)

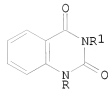


L4 ANSWER 93 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

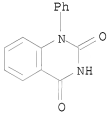
ACCESSION NUMBER:	1983:72047 CAPLUS
DOCUMENT NUMBER:	98:72047
ORIGINAL REFERENCE NO.:	98:11035a,11038a
TITLE:	Synthesis and biological activity of certain derivatives of 2,4-dioxo-1,2,3,4-tetrahydroquinazoline. I
AUTHOR(S):	Osman, A. N.; Khalifa, M.; Ismail, M. A.; Ossman, A. E.; Ibrahim, M. G.
CORPORATE SOURCE:	Fac. Pharm., Univ. Cairo, Cairo, Egypt
SOURCE:	Revue Roumaine de Chimie (1982), 27(7), 859-64 CODEN: RRCHAX; ISSN: 0035-3930
DOCUMENT TYPE:	Journal
LANGUAGE:	English

OTHER SOURCE(S): CASREACT 98:72047
GI

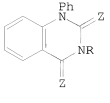

I

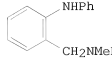

II

AB Tetrahydroquinazolinediones I (R = Et, Ph, p-tolyl) were converted to disubstituted compds. II (R1 = COPh, PhCH2), useful as analgesic, antiinflammatory, and hypothermic agents (no data). A mixture of I (R = Et), PhCOCl, pyridine, and DMF was heated to give II (R = Et, R1 = COPh).
IT 3282-28-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(benzoylation and benzylation reactions of)
RN 3282-28-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)

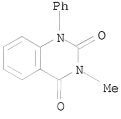


L4 ANSWER 94 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:16647 CAPLUS
DOCUMENT NUMBER: 98:16647
ORIGINAL REFERENCE NO.: 98:2699a,2702a
TITLE: Reductive cleavage of quinazoline-2,4-diones
AUTHOR(S): Lehmann, Jochen; Kraft, Georgia
CORPORATE SOURCE: Pharm. Inst., Univ. Bonn, Bonn, 5300/1, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1982), 315(11), 967-9
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 98:16647
GI


I


II

AB LiAlH4 reduction of quinazolinediones I (R = H, Me, Z = O) gave the anilines II by a hydrozinolysis type reaction, instead of the desired hydrogenated quinazolines I (Z = H2).
IT 76681-81-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 76681-81-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-methyl-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

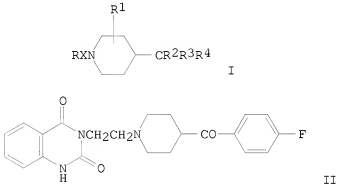
L4 ANSWER 95 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:616203 CAPLUS
DOCUMENT NUMBER: 97:216203
ORIGINAL REFERENCE NO.: 97:36293a,36296a
TITLE: Piperidinylalkylquinazoline compounds, composition and method of use
INVENTOR(S): Vandenberk, Jan; Kennis, Ludo; Van der Aa, Marcel; Van Heertum, Albert
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: U.S., 21 pp. Cont.-in-part of U.S. Ser. No. 1,493, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4335127	A	19820615	US 1979-84272	19791012
DK 8000072	A	19800709	DK 1980-72	19800107
DK 170669	B1	19951127		
FI 8000047	A	19800709	FI 1980-47	19800107
FI 66609	B	19840731		
FI 66609	C	19841112		
NO 8000034	A	19800709	NO 1980-34	19800107
NO 155243	B	19861124		
NO 155243	C	19870304		
AU 8054381	A	19800717	AU 1980-54381	19800107
AU 536175	B2	19840419		
EP 13612	A2	19800723	EP 1980-300059	19800107
EP 13612	A3	19801015		
EP 13612	B1	19831109		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
JP 55105679	A	19800813	JP 1980-186	19800107

JP 63046753	B	19880919			
ZA 8000082	A	19810826	ZA 1980-82		19800107
CA 1132557	A1	19820928	CA 1980-343181		19800107
PL 125789	B1	19830630	PL 1980-221249		19800107
SU 1041034	A3	19830907	SU 1980-2863403		19800107
HU 26902	A2	19830928	HU 1980-25		19800107
HU 184222	B	19840730			
AT 5258	T	19831115	AT 1980-300059		19800107
CS 223977	B2	19831125	CS 1980-157		19800107
IL 59084	A	19840229	IL 1980-59084		19800107
RO 79148	A1	19820817	RO 1980-100248		19800220
US 4522945	A	19850611	US 1982-362214		19820326
ES 527172	A3	19850416	ES 1983-527172		19831111
PRIORITY APPLN. INFO.:			US 1979-1493	A2	19790108
			US 1979-84272	A	19791012
			EP 1980-300059	A	19800107

OTHER SOURCE(S): CASREACT 97:216203; MARPAT 97:216203

GI

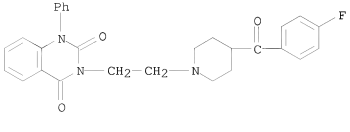


AB Piperidinylalkylquinazolines I [R = substituted quinazolinyl; R1 = H, OH, alkyl; R2 = H, R3 = H, OH; R2R3 = O, OCH2CH2O, O(CH2)3O; R4 = aryl, thienyl, pyridyl] were prepared Thus II was obtained by treating chloroethylquinazolinedione with fluorobenzoylpiperidine. II had a serotonin antagonist ED50 in the gastric lesion test of 0.1 mg/kg orally in rats.

IT 76315-91-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 76315-91-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1-phenyl- (CA INDEX NAME)

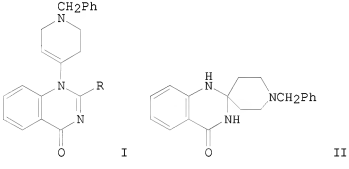


OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

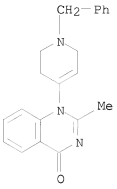
L4 ANSWER 96 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:217875 CAPLUS
DOCUMENT NUMBER: 96:217875
ORIGINAL REFERENCE NO.: 96:36009a,36012a
TITLE: 2-Substituted 1-(tetrahydro-4-pyridyl)quinazolin-4-one derivatives
PATENT ASSIGNEE(S): Kanto Ishi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57014588	A	19820125	JP 1980-89179	19800702
PRIORITY APPLN. INFO.:			JP 1980-89179 A	19800702

OTHER SOURCE(S): CASREACT 96:217875
GI

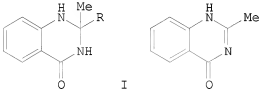


AB Title derivs. I (R = Me, Ph) were prepared by reaction of II with (RCO)2O. I had analgesic, antiinflammatory, andtihistaminic activities (no data). Thus, heating 3 g II with 30 mL Ac2O containing 3 mL pyridine 1 h at 140° gave 62% I (R = Me).
IT 76857-07-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 76857-07-3 CAPLUS
CN 4(1H)-Quinazolinone, 2-methyl-1-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 97 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:122730 CAPLUS
DOCUMENT NUMBER: 96:122730
ORIGINAL REFERENCE NO.: 96:20153a,20156a
TITLE: Reaction of 1,2,3,4-tetrahydroquinazolin-4-ones with acid anhydride. III
AUTHOR(S): Yamato, Masatoshi; Horiuchi, Jiroh; Takeuchi, Yasuo
CORPORATE SOURCE: Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1981), 29(11), 3124-9
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 96:122730
GI

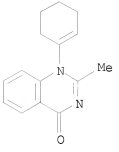


AB The reaction of C2-substituted 1,2,3,4-tetrahydroquinazolin-4-ones with Ac2O and pyridine was carried out in order to elucidate the effect of the C2-substituent. It was found that the various types of reactions occurred depending on the kind and number of C2-substituents of 1,2,3,4-tetrahydroquinazolin-4-ones. Thus, the quinazolinone I (R = PhCH2CH2) was treated with Ac2O at 100° for 3 h to give the quinazolinone II (21%). I (R = Ph) reacted with Ac2O to give 68% o-(PhCMe:N)C6H4CONHAc.

IT 80477-92-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 80477-92-5 CAPLUS

CN 4(1H)-Quinazolinone, 1-(1-cyclohexen-1-yl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

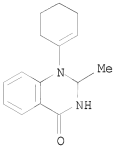
L4 ANSWER 98 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:52263 CAPLUS
DOCUMENT NUMBER: 96:52263
ORIGINAL REFERENCE NO.: 96:8613a,8616a
TITLE: Reaction of 1,2,3,4-tetrahydroquinazolin-4-ones with acid anhydride. II
AUTHOR(S): Yamato, Masatoshi; Horiuchi, Jiroh; Takeuchi, Yasuo
CORPORATE SOURCE: Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1981), 29(10), 3055-9
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 96:52263

AB The reaction of 1,2,3,4-tetrahydroquinazoline[2,1]spirocyclohexan-4-one with Ac2O and pyridine gave 1-(1-cyclohexyl)-2-methyl-1,4-dihydroquinazolin-4-one which gave 3-acetyl-1-(1-cyclohexenyl)-2-methyl-1,2,3,4-tetrahydroquinazolin-4-one (I) upon reduction with NaBH4 followed by acetylation with Ac2O hydride. The position of the acetyl group of I was determined by comparison of its NMR spectrum with those of related compds.

IT 80477-96-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

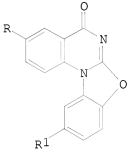
RN 80477-96-9 CAPLUS

CN 4(1H)-Quinazolinone, 1-(1-cyclohexen-1-yl)-2,3-dihydro-2-methyl- (CA INDEX NAME)

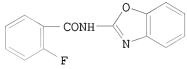


L4 ANSWER 99 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

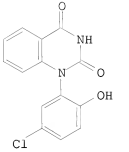
ACCESSION NUMBER: 1981:480890 CAPLUS
DOCUMENT NUMBER: 95:80890
ORIGINAL REFERENCE NO.: 95:13687a,13690a
TITLE: Synthesis of 5H-benzoxazolo[3,2-a]quinazolin-5-ones
AUTHOR(S): Kim, Dong Han
CORPORATE SOURCE: Res. Div., Wyeth Lab., Inc., Philadelphia, PA, 19101, USA
SOURCE: Journal of Heterocyclic Chemistry (1981), 18(2), 287-91
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:80890
GI



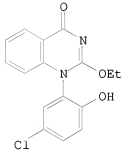
I



II

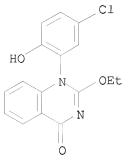


III



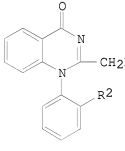
IV

AB Treatment of anthranilic acids 2,5-(HO)R1C6H3NHC6H3RCO2H-4,2 (R, R1 = H, H; H, Cl; H, Me; NO2, Me) with BrCN in THF containing NaH at 0° for 1 h gave the corresponding title compds. I in quant. yields. Also, heating II at 260° gave I (R = R1 = H). Alkaline hydrolysis of I (R = H, R1 = Cl) gave the quinazolinedione III whereas its reaction with EtOH in the presence of KOH gave the quinazolinone IV.
IT 78460-74-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 78460-74-9 CAPLUS
CN 4(1H)-Quinazolinone, 1-(5-chloro-2-hydroxyphenyl)-2-ethoxy- (CA INDEX NAME)

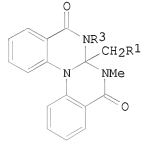


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

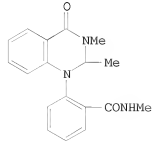
L4 ANSWER 100 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:192256 CAPLUS
DOCUMENT NUMBER: 94:192256
ORIGINAL REFERENCE NO.: 94:31453a,31456a
TITLE: Studies on 4(1H)-quinazolinones. 2. Synthesis of 6a,7-dihydro-5H-quinazolino[1,2-a]quinazoline-5,8(6H)-diones
AUTHOR(S): Ozaki, Kenichi; Yamada, Yoshihisa; Oine, Toyonari
CORPORATE SOURCE: Res. Lab. Appl. Biochem., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan
SOURCE: Journal of Organic Chemistry (1981), 46(8), 1571-5
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:192256
GI



III



IV



V

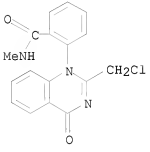
AB Carbamoylphenylamine 2-RNHCOC6H4NHC6H4CONHMe-2 (I; R = H) was condensed

with R1CH2COCl (II; R1 = H, Cl) to give III (R2 = CONHMe), resp. III (R1 = Cl) was then hydrogenated to give IV (R3 = H). Treating I (R = Me) with II (R1 = H, Cl) gave intermediates which were treated with NaHCO3 to give IV (R3 = Me). When the intermediate from the reaction of I (R = Me) and II (R1 = H) was reduced by NaBH4, V was obtained.

IT 76403-63-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring closure of)

RN 76403-63-9 CAPLUS

CN Benzamide, 2-[2-(chloromethyl)-4-oxo-1(4H)-quinazolinyl]-N-methyl- (CA INDEX NAME)

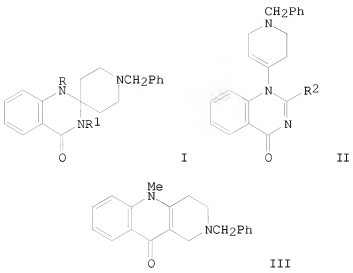


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 101 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1981:121445 CAPLUS
DOCUMENT NUMBER:	94:121445
ORIGINAL REFERENCE NO.:	94:19859a,19862a
TITLE:	Reaction of spiro[piperidine-4,2'-(1',2',3',4'-tetrahydroquinazolin)]-4'-ones with acid anhydrides
AUTHOR(S):	Yamato, Masatoshi; Horiuchi, Jiro; Takeuchi, Yasuo
CORPORATE SOURCE:	Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan
SOURCE:	Chemical & Pharmaceutical Bulletin (1980), 28(9), 2623-8 CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 94:121445

GI



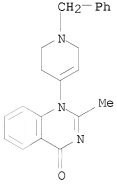
I II III

AB Acylation of spiro[piperidene-quinazolin]one I (R = R1 = H) with Ac2O or Bz2O in pyridine at 120-40° gave quinazolines II (R2 = Me, Ph). Acylation of I (R = Me, R1 = H) by Ac2O gave benzonaphthyridinone III, whereas I (R = H, R1 = Me; R = R1 = Me) did not react with Ac2O.

IT 76857-07-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 76857-07-3 CAPLUS

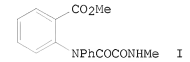
CN 4(1H)-Quinazolinone, 2-methyl-1-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]- (CA INDEX NAME)



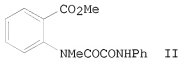
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 102 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:102537 CAPLUS
DOCUMENT NUMBER: 94:102537
ORIGINAL REFERENCE NO.: 94:16711a,16714a
TITLE: A novel oxamide rearrangement
AUTHOR(S): Peet, Norton P.; Sunder, Shyam; Barbuch, Robert J.
CORPORATE SOURCE: Dow Chem. Co., Indianapolis, IN, 46268, USA

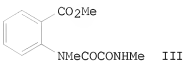
SOURCE: Journal of Heterocyclic Chemistry (1980), 17(7), 1513-18
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:102537
GI



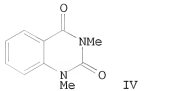
I



II



III



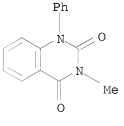
IV

AB An efficient base-induced rearrangement of [(dioxo(methylamino)ethyl)phenylamino]benzoate I to the isomeric compound II proceeds through a spiro intermediate wherein benzoate is acting as a Michael receptor. When III, an oxamide which would produce a degenerate spiro intermediate, was subjected to rearrangement conditions, the product was the quinazolinedione IV. This latter transformation may have proceeded via a benzodiazepinetrione intermediate.

IT 76681-81-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 76681-81-7 CAPLUS

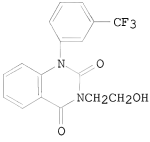
CN 2,4(1H,3H)-Quinazolinedione, 3-methyl-1-phenyl- (CA INDEX NAME)



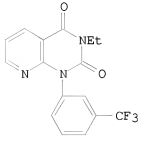
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 103 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:76684 CAPLUS
DOCUMENT NUMBER: 94:76684
ORIGINAL REFERENCE NO.: 94:12347a,12350a
TITLE: Effects of quinazoline-2,4(1H,3H)-dione compound, H-88 and pyridopyrimidine-2,4(1H,3H)-dione compound, HN-37 on pituitary-adrenal axis in rats
AUTHOR(S): Tsuji, Masayoshi; Saita, Masaru; Soejima, Yoshiomi; Takamori, Midori; Noda, Kanji; Ueki, Showa; Fujiwara,

Michihiro
CORPORATE SOURCE: Res. Lab., Hisamitsu Pharm. Co., Inc., Tosu, 841, Japan
SOURCE: Nippon Yakurigaku Zasshi (1980), 76(8), 675-84
CODEN: NYKZAU; ISSN: 0015-5691
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI

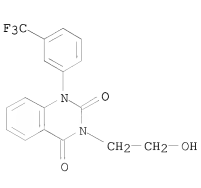


I



II

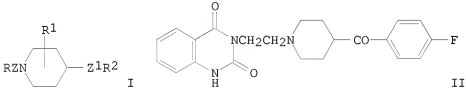
AB Serum corticosterone and glucose and hepatic glycogen levels increased at 1 h (360%), 6-12 h (25-39%) and 12-24 h (97-153%), resp., and adrenal ascorbic acid level decreased at 3 h (52-59%) after a single oral treatment with H-88 (I) [34929-08-3] (100 mg/kg) or HN-37 (II) [51700-96-0] (10 mg/kg). Moreover, pituitary and adrenals wts. increased after 2-12 h, and spleen and thymus wts. were decreased after 3-24 h. Serum corticosterone was dose-relatedly increased, but carrageenin-induced paw edema dose-relatedly inhibited by H-88 (10-100 mg/kg) and HN-37 (1-20 mg/kg). The effects of both compds. on serum corticosterone level and carrageenin-induced paw edema were dissipated by adrenalectomy, and those of serum corticosterone and adrenal ascorbic acid levels by hypophysectomy. These observations suggest that hypophysis-adrenal axis may play an important role in antiedematous effect of H-88 and HN-37.
IT 34929-08-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inflammation inhibition by, adrenal-pituitary system in)
RN 34929-08-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-hydroxyethyl)-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



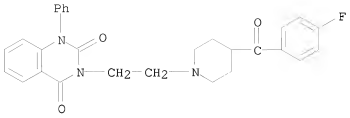
L4 ANSWER 104 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:65718 CAPLUS
DOCUMENT NUMBER: 94:65718
ORIGINAL REFERENCE NO.: 94:10720h,10721a
TITLE: (Piperidinylalkyl)quinazoline derivatives and intermediates and pharmaceutical compositions containing them
INVENTOR(S): Vandenberk, Jan; Kennis, Ludo Edmond Josephine; Van Der Aa, Marcel Josef Maria Catharina; Van Heertum, Albert Henricus Maria Theresia
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 13612	A2	19800723	EP 1980-300059	19800107
EP 13612	A3	19801015		
EP 13612	B1	19831109		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4335127	A	19820615	US 1979-84272	19791012
AT 5258	T	19831115	AT 1980-300059	19800107

PRIORITY APPLN. INFO.: US 1979-1493 A 19790108
US 1979-84272 A 19791012
EP 1980-300059 A 19800107
OTHER SOURCE(S): MARPAT 94:65718
GI

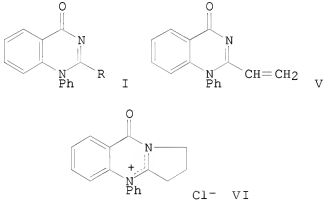


AB The title compds. I [R = a 1-, 2-, 3-, or 4-quinazolinyl group (the pyrimidine ring is partly or fully saturated, the quinazoline ring system contains an oxo or thioxo group in the 2- and/or 4-positions, the fused benzo is optionally substituted by halo, alkyl, alkoxy, CF3, NO2, or cyano); Z = C1-4 alkylene; R1 = H, OH, alkyl; Z1 = CO, CH(OH), CH(O2CR3) (R3 = H, alkyl), CH2, C(OR4)2 (R4 = alkyl), 1,3-dioxolane-2,2-diyl, 1,3-dioxane-2,2-diyl, C(:NOH), C(:NNH2); R2 = Ph, halo-, alkyl-, alkoxy-, (trifluoromethyl)-, or aminophenyl, thienyl, pyridyl], which showed serotonin antagonist activity, were prepared by different methods. Thus, 3-(2-chloroethyl)-2,4(1H, 3H)-quinazolinedione was heated with 4-(4-fluorobenzoyl)piperidine-HCl and Na2CO3 in Me2CHCH2COMe to give II.
IT 76315-91-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 76315-91-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1-phenyl- (CA INDEX NAME)



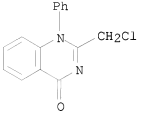
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L4 ANSWER 105 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:568214 CAPLUS
DOCUMENT NUMBER: 93:168214
ORIGINAL REFERENCE NO.: 93:26791a
TITLE: Studies on 4(1H)-quinazolinones. I. A convenient synthesis and some reactions of 1-phenyl-2-substituted-4(1H)-quinazolinones
AUTHOR(S): Ozaki, Kenichi; Yamada, Yoshihisa; Oine, Toyonari
CORPORATE SOURCE: Res. Lab. Appl. Biochem., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1980), 28(3), 702-7
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:168214
GI



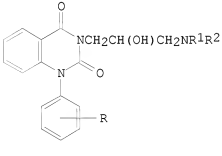
AB Quinazolinones I (R = Me, CH2Cl, CH2CH2Cl, CH2CH2CH2Cl, cyclopropyl, CO2Et, CMe3, cyclohexyl) were prepared in 61-92% yield by reaction of o-PhNHC6H4CONH2 with excess RCOCl under mild reaction conditions. I [R = CH2Cl (II), CH2CH2Cl (III); CH2CH2CH2Cl (IV)] reacted in a characteristic manner depending on the length of the alkyl chain. Treatment of II with nucleophiles gave I (R = CH2R1; R1 = MeO, OAc, NEt2, piperidino, morpholino). Reaction of III with morpholine or alcs. gave the resp. 2-(β-substituted Et derivs., through the intermediate V, which was isolated. Allowing a HCCl3 solution of IV to stand afforded VI quant.
IT 66478-79-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with nucleophiles)
RN 66478-79-3 CAPLUS
CN 4(1H)-Quinazolinone, 2-(chloromethyl)-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

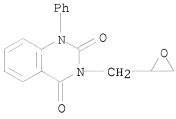
L4 ANSWER 106 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:426381 CAPLUS
DOCUMENT NUMBER: 93:26381
ORIGINAL REFERENCE NO.: 93:4429a,4432a
TITLE: Synthesis of some quinazoline compounds related to β-adrenergic blocking agents
AUTHOR(S): Botros, S.
CORPORATE SOURCE: Fac. Pharm., Univ. Cairo, Cairo, Egypt
SOURCE: Pharmazie (1979), 34(11), 746-7
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



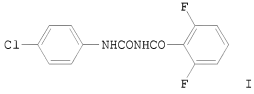
I

AB Quinazolinylpropanolamines I (R = H, 4-Me, 2-Cl, 2-Me; NR1R2 = NEt2, piperidino, NHBu, morpholino, cyclohexylamino) were obtained in 78-90% yield by treating 1-arylquinazolinediones with epichlorohydrin followed by R1R2NH.
IT 74073-85-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and aminolysis of)
RN 74073-85-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-oxiranylmethyl)-1-phenyl- (CA INDEX

NAME)

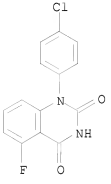


L4 ANSWER 107 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:141726 CAPLUS
DOCUMENT NUMBER: 92:141726
ORIGINAL REFERENCE NO.: 92:22977a,22980a
TITLE: Fate of diflubenzuron in water
AUTHOR(S): Ivie, G. Wayne; Bull, Don L.; Veech, Joseph A.
CORPORATE SOURCE: Vet. Toxicol. Entomol. Res. Lab., USDA, College Station, TX, 77840, USA
SOURCE: Journal of Agricultural and Food Chemistry (1980), 28(2), 330-7
CODEN: JAFCAU; ISSN: 0021-8561
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The fate of the insect growth regulator, diflubenzuron (I) [35367-38-5], was studied in distilled water and in acidic (pH 4.0) and alkaline (pH 10.0) buffers. Heat (121°)-catalyzed degradation of I in these aqueous media at levels greatly above its solubility in water resulted in rapid degradation to ≤7 identified products: (4-chlorophenyl)urea [140-38-5], 2,6-difluorobenzoic acid [385-00-2], 2,6-difluorobenzamide [18063-03-1], 4-chloroaniline [106-47-8], N,N'-bis(4-chlorophenyl)urea [1219-99-4], a 2,4-quinazolinedione derivative [72586-41-5] that resulted from expulsion of HF from diflubenzuron with cyclization at the anilino-N and the ortho-C of the benzoyl ring, and a further reaction product of the quinazolinedione compound Under less vigorous conditions (0.1 ppm I-14C in water or buffer, 36°), the rate of degradation was highly dependent upon pH. At pH 10.0, the half-life of I was <3 days; but at pH 4.0, degradation was not detected even after 56 days. In distilled water (pH 6.0), the half-life of I was .apprx.7 days. The major degradation products were (4-chlorophenyl)urea and 2,6-difluorobenzoic acid, but small amts. of 2,6-difluorobenzamide and the quinazolinedione product were also formed. When tested as an ovicide against the boll weevil or as a mosquito larvicide against Culex quinquefasciatus, the quinazolinedione derivative did

not exhibit appreciable diflubenzuron-like biol. activity.
IT 72586-41-5
RL: BIOL (Biological study)
(diflubenzuron degradation product)
RN 72586-41-5 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(4-chlorophenyl)-5-fluoro- (CA INDEX NAME)

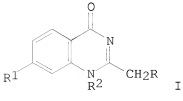


OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L4 ANSWER 108 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:58813 CAPLUS
DOCUMENT NUMBER: 92:58813
ORIGINAL REFERENCE NO.: 92:9750h,9751a
TITLE: Quinazoline derivatives
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Noguchi, Kazuki; Hachitani, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54112883	A	19790904	JP 1978-2204	19780111
JP 61033024	B	19860731		
PRIORITY APPLN. INFO.:			JP 1978-2204 A	19780111

GI



I

AB Nineteen quinazoline derivs. I (R = cyclic amino; R1 = H, Cl; R2 = alkyl, alkyl, aralkyl, halophenyl) were prepared by amination of I (R = halo). I

had antiinflammatory, central nerve-depressing, and antihistaminic activities (no data). Thus, a mixture of 3.2 g II (R = Cl, R1 = H, R2 = 4-ClC6h4CH2) and 2.6 g 1-β-hydroxyethylpiperazine in C6H6 was refluxed 6 h to give 3.6 g I [R = 4-(2-hydroxyethyl)-1-piperazinyl, R1 = H, R2 = 4-ClC6H4CH2].

IT 72481-94-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 72481-94-8 CAPLUS

CN 4(1H)-Quinazolinone, 7-chloro-1-(3-chlorophenyl)-2-[(4-methyl-1-piperazinyl)methyl]- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 109 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1979:456948 CAPLUS

DOCUMENT NUMBER: 91:56948

ORIGINAL REFERENCE NO.: 91:9227a,9230a

TITLE: N-Substituted-1-aryl-2,4-dioxo[1H,3H]-3-quinazoline acetamides

AUTHOR(S): Botros, S.

CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt

SOURCE: Pharmazie (1979), 34(2), 113-14
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: English

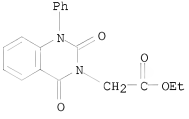
OTHER SOURCE(S): CASREACT 91:56948

GI

I

AB The amides I (R = CH2CONHR2; R1 = H, 2-Me, 4-Me; R2 = Ph, 2-MeC6H4, 4-MeC6H4, 4-ClC6H4, 2-ClC6H4, Bu) were obtained by treating I (R = H) with

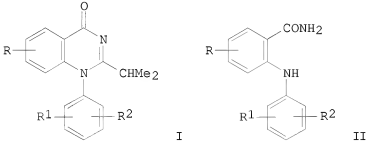
ClCH2CO2Et and aminating I (R = CH2CO2Et).
IT 34928-91-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of)
RN 34928-91-1 CAPLUS
CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-1-phenyl-, ethyl ester (CA INDEX NAME)



L4 ANSWER 110 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:439517 CAPLUS
DOCUMENT NUMBER: 91:39517
ORIGINAL REFERENCE NO.: 91:6449a,6452a
TITLE: 1-Methyl-2-isopropyl-4(1H)-quinazolinone derivatives
INVENTOR(S): Oine, Toyonari; Ozaki, Kenichi; Yamada, Yoshihisa
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54009290	A	19790124	JP 1977-72704	19770617
PRIORITY APPLN. INFO.:			JP 1977-72704 A	19770617

GI



I II

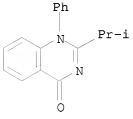
AB Eighteen title derivs. I (R = H, Cl, NO2, Me, MeO; R1, R2 = H, halo, OH, NO2, CO2H, CONH2, CF3, Me, MeO) were prepared by cyclization of II with Me2CHCOX (X = halo) optionally followed by diazo decomposition (CONH2 to CO2H) or hydrolysis (MeO to OH). I had antiinflammatory and central nervous system depressing activities (no data). Thus, stirring 2.25 g Me2CHCOCl

with 1.5 g 2-PhNHC6H4CONH2 in CHCl3 30 min at room temperature, and refluxing 1.5 h gave 75% I (R = R1 = R2 = H).

IT 70344-46-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 70344-46-6 CAPLUS

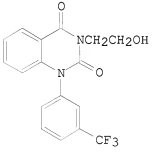
CN 4(1H)-Quinazolinone, 2-(1-methylethyl)-1-phenyl- (CA INDEX NAME)



L4 ANSWER 111 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1978:500208 CAPLUS
DOCUMENT NUMBER:	89:100208
ORIGINAL REFERENCE NO.:	89:15219a,15222a
TITLE:	Anti-edematous, analgetic and anti-pyretic activities, and influence on the gastrointestinal tracts of 1-(m-trifluoromethylphenyl)-3-(2-hydroxyethyl)quinazoline-2,4(1H,3H)-dione [H-88]
AUTHOR(S):	Tsuji, Masayoshi; Saita, Masaru; Aoki, Tetsuo; Yamachika, Keiko; Mito, Mikie; Egashira, Chisako; Takamori, Midori; Noda, Kanji; Ide, Hiroyuki
CORPORATE SOURCE:	Dep. Pharmacol., Hisamitsu Pharm. Co., Inc., Japan
SOURCE:	Oyo Yakuri (1978), 15(3), 501-21 CODEN: OYYAA2; ISSN: 0369-8033
DOCUMENT TYPE:	Journal
LANGUAGE:	Japanese

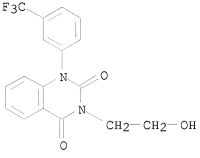
GI



I

AB H 88 (I) [34929-08-3] showed therapeutic effects on edema and adjuvant arthritis and also showed analgesic activity in rats but had no effect on ulcers. The antiinflammatory activity seemed to be due to the stimulation of adrenal-pituitary endocrine system. I induced a slight lesion in the digestive tract, and its acute toxicity was species dependent when tested in mice, rats, hamsters, guinea pigs, and rabbits. I had a hypothermic activity in normal rabbits, but it was not

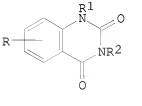
antipyretic.
IT 34929-08-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(analgesic and antiinflammatory activity of)
RN 34929-08-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-hydroxyethyl)-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 112 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:443496 CAPLUS
DOCUMENT NUMBER: 89:43496
ORIGINAL REFERENCE NO.: 89:6769a,6772a
TITLE: Quinazolinediones
INVENTOR(S): Giral, Louis
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2652144	A1	19780518	DE 1976-2652144	19761116
PRIORITY APPLN. INFO.:			DE 1976-2652144	19761116

GI



I

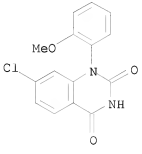
AB The quinazolinediones I [R = H, halogen; R1 = H, aliphatic radical (optionally substituted by OH, CO2H, heterocycle, Me2N, etc.), PhCH2 (optionally substituted by Me, Br, Cl), Bz, C10H7, optionally substituted Ph; R2 = H, PhCH2, pyridyl- or morpholinoalkyl, Ph optionally substituted

by Me, MeO, EtOJ and their salts were prepared for use as analgesic, sedative and antiinflammatory agents (no data). Thus, 2,5-(HO2C)C1C6H3NHC6H4OMe-2 was condensed with urea to give I (R = 7-Cl, R1 = 2-MeOC6H4, R2 = H).

IT 57397-90-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 57397-90-7 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-1-(2-methoxyphenyl)- (CA INDEX NAME)

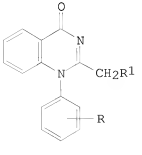


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 113 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:190884 CAPLUS
DOCUMENT NUMBER: 88:190884
ORIGINAL REFERENCE NO.: 88:30028h,30029a
TITLE: Quinazolinone derivatives
INVENTOR(S): Ohine, Toyonari; Ozaki, Kenichi; Wakamoto, Susumu
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 53005179	A	19780118	JP 1976-69193		19760611
PRIORITY APPLN. INFO.:			JP 1976-69193	A	19760611

GI



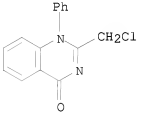
I, R1=R2
II, R1=X

AB Sixteen title derivs. I [R = H, CO2H, CONH2, CF3 R2 = OH, alkoxy, acyloxy, NR3R4 (R3, R4 = H, thiazolyl, alkyl; NR3R4 may form a ring)] were prepared by reaction of II (X = halo) with NR3R4R5 (R5 = H, alkali metals), R6H (R6 = acyloxy), or R7R8 (R7 = alkali metals, R8 = alkoxy). Thus, a mixture of 2.5 g II (R = H, X = Cl) and 2.5 g piperidine in THF was stirred 15 h at room temperature to give 91% I (R1 = piperidino, R = H). I are analgesic, antiinflammatory, antiulcer, and central depressant agents (no data).

IT 66478-79-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of, by piperidine)

RN 66478-79-3 CAPLUS

CN 4(1H)-Quinazolinone, 2-(chloromethyl)-1-phenyl- (CA INDEX NAME)



L4 ANSWER 114 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:190883 CAPLUS

DOCUMENT NUMBER: 88:190883

ORIGINAL REFERENCE NO.: 88:30025a,30028a

TITLE: 1-(Carboxyphenyl)-4(1H)-quinazolinones

INVENTOR(S): Oine, Toyonari; Yamada, Yoshihisa; Ozaki, Kenichi; Wakamoto, Susumu

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

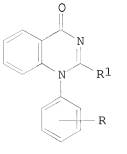
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 52153984	A	19771221	JP 1976-69192		19760611
PRIORITY APPLN. INFO.:			JP 1976-69192	A	19760611

GI



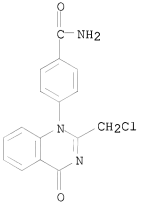
I

AB Four 1-(carboxyphenyl)-4(1H)-quinazolinones I (R = 2-CO2H, 4-CO2H, 3,4-(OH)CO2H; R1 = Me, CH2Cl), having antiinflammatory, antiulcer, central depressant, and analgesic activities (no data), were prepared by treating their 1-(carbamoylphenyl) analogs with NaNO2-H2SO4 or 47% HBr. Thus, I (R = 4-CONH2, R1 = CH2Cl) was dissolved in concentrated H2SO4 and treated with 10% aqueous NaNO2 at 10-15° to give 68% I (R = 4-CO2H, R1 = CH2Cl).

IT 66491-88-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)

RN 66491-88-1 CAPLUS

CN Benzamide, 4-[2-(chloromethyl)-4-oxo-1(4H)-quinazolinyl]- (CA INDEX NAME)

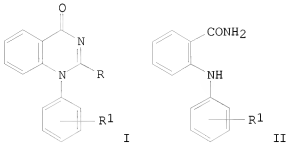


L4 ANSWER 115 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:190882 CAPLUS
DOCUMENT NUMBER: 88:190882
ORIGINAL REFERENCE NO.: 88:30025a,30028a
TITLE: 2-Substituted 1-phenyl-4(1H)-quinazolinones
INVENTOR(S): Oine, Toyonari; Yamada, Yoshihisa; Ozaki, Kenichi; Wakamoto, Susumu
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52153983	A	19771221	JP 1976-69191	19760611
PRIORITY APPLN. INFO.:			JP 1976-69191 A	19760611

GI



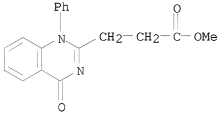
I II

AB Thirteen quinazolinones I (R = CH2Cl, CH2CH2CO2Me, CH2CH2CO2H, cyclopropyl, CO2Et, etc.; R1 = H, 3-CF3, 2-CO2H, 4-CONH2), having antiinflammatory, antiulcer, central depressant, and analgesic activities (no data), were prepared by cyclizing II with RCOCl, optionally followed by hydrolysis of the 2-side chain. Thus, 3.82 g II (R1 = H) stirred with 8.15 g ClCOCH2CH2CO2Me in CHCl3 at room temperature for 48 h gave 70% I (R = CH2CH2CO2Me, R1 = H), which was hydrolyzed with NaOH-MeOH to give 59% I (R = CH2CH2CO2H, R1 = H).

IT 66492-21-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 66492-21-5 CAPLUS

CN 2-Quinazolinepropanoic acid, 1,4-dihydro-4-oxo-1-phenyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 116 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:190881 CAPLUS

DOCUMENT NUMBER: 88:190881

ORIGINAL REFERENCE NO.: 88:30025a,30028a

TITLE: 1-(Substituted phenyl)-2-methyl-4(1H)-quinazolinones

INVENTOR(S): Oine, Toyonari; Yamada, Yoshihisa; Ozaki, Kenichi; Wakamoto, Susumu

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 52153982	A	19771221	JP 1976-69190	19760611
PRIORITY APPLN. INFO.:			JP 1976-69190 A	19760611

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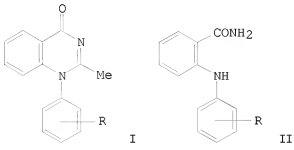


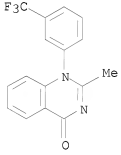
I II

AB Four quinazolinones I [R = 3-CF3, 2-CONH2, 4-CONH2, 3,4-(OMe)CO2H], having antiinflammatory, antiulcer, central depressant, and analgesic activities (no data), were prepared by cyclizing II with AcCl. Thus, 3.08 g II (R = 3-CF3) in AcOH was treated dropwise with 3.6 g AcCl at room temperature and stirred for 2 h to give 93% I (R = 3-CF3).

IT 64445-31-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 64445-31-4 CAPLUS

CN 4(1H)-Quinazolinone, 2-methyl-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

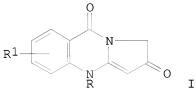
L4 ANSWER 117 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1978:190879 CAPLUS
DOCUMENT NUMBER:	88:190879
ORIGINAL REFERENCE NO.:	88:30025a,30028a
TITLE:	Pyrrolo[2.1-b]quinazoline derivatives
INVENTOR(S):	Noda, Kanji; Nakagawa, Akira; Yamazaki, Shunzo; Noguchi, Kazuki; Hachitani, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S):	Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 3 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese

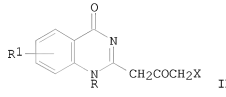
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52144697	A	19771202	JP 1976-62728	19760528
JP 60014037	B	19850411		

PRIORITY APPLN. INFO.: JP 1976-62728 A 19760528
GI

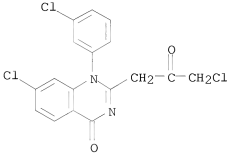


I



II

AB Twelve title derivs. I [R = Me, Et, H2C:CHCH2, (un)substituted Ph; R1 = H, Cl] were prepared by cyclization of II (X = halo) in the presence of acid-removing agents. I had analgesic, antipyretic, antiinflammatory, central nerve depressing, antiallergic, antitussive, and diuretic activities (no data). Thus, stirring a mixture of 2.8 g II (R = 3-ClC6H4, R1 = 7-Cl, X = Cl) and 2.2 g iso-PrNH2 in C6H6 12 h at room temperature gave 1.8
g I (R = 3-ClC6H4, R1 = 6-Cl).
IT 66045-49-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, pyrroloquinazoline from)
RN 66045-49-6 CAPLUS
CN 4(1H)-Quinazolinone, 7-chloro-2-(3-chloro-2-oxopropyl)-1-(3-chlorophenyl)- (CA INDEX NAME)



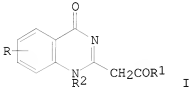
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 118 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:136662 CAPLUS
DOCUMENT NUMBER: 88:136662
ORIGINAL REFERENCE NO.: 88:21491a,21494a
TITLE: Quinazoline derivatives
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Yamazaki, Shunzo; Noguchi, Kazuki; Hachitani, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52144683	A	19771202	JP 1976-61354	19760526
JP 60030312	B	19850716		

PRIORITY APPLN. INFO.: JP 1976-61354 A 19760526
GI



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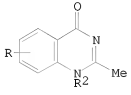
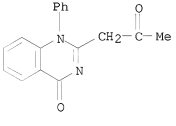


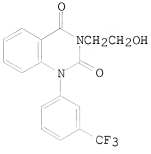
II

AB Twenty-four title derivs. I (R = H, halo, NO2; R1 = alkyl, haloalkyl, trihalomethyl; R2 = alkyl, aralkyl, aryl) were prepared by reaction of II with reactive derivs. of R1CO2H. I had analgesic, antiinflammatory, and central nerve depressing activities (no data). Thus, a mixture of 2 g II (R = H, R2 = Ph) and 17.2 g Ac2O was refluxed 12 h to give 1.6 g I (R = H, R1 = Me, R2 = Ph).
IT 66045-42-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 66045-42-9 CAPLUS
CN 4(1H)-Quinazolinone, 2-(2-oxopropyl)-1-phenyl- (CA INDEX NAME)



L4 ANSWER 119 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:69060 CAPLUS
DOCUMENT NUMBER: 88:69060
ORIGINAL REFERENCE NO.: 88:10839a,10842a
TITLE: Development of tolerance to 1-(m-trifluoromethylphenyl)-3-(2-hydroxyethyl)-quinazoline-2,4(1H, 3H)-dione [H-88]
AUTHOR(S): Tsuji, Masayoshi; Saita, Masaru; Aoki, Tetsuo; Yamachika, Keiko; Amano, Hidetoshi; Shibata, Ryoichi; Soejima, Yoshiomi; Taniguchi, Yasuaki; Fujisaki, Kayoko; et al.
CORPORATE SOURCE: Dev. Pharmacol. Res. Lab., Hisamitsu Pharm. Co., Inc.,

Saga, Japan
SOURCE: Journal of Toxicological Sciences (1977), 2(2), 115-27
CODEN: JTSCDR; ISSN: 0388-1350
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



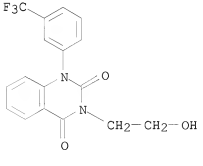
I

AB Tolerance developed to all the pharmacol. activities of H-88 (I) [34929-08-3] examined, such as antiinflammatory (carrageenin-induced rat paw edema), analgetic (tail pressure method in mice), hypothermic (rectal temperature in mice), hypomotor activity (wheel cage method in mice), prolongation of the sleeping time induced by pentobarbital Na (rats and mice), depression of gastric emptying and intestinal transport (rats), and stimulation to hypothalmo-hypophyseal-adrenal axis (rats). The effect of I on the pentobarbital Na-induced sleeping time in rats was not dissipated by adrenalectomy and did not depend on the depression of intestinal absorption. The development of tolerance to I was antagonized by ethionine pretreatment. Apparently, tolerance to I is mainly due to hepatic enzyme induction.

IT 34929-08-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biol. activity of, tolerance to)

RN 34929-08-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(2-hydroxyethyl)-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

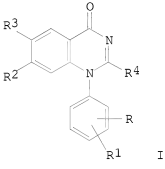


L4 ANSWER 120 OF 194 CAPLUS
ACCESSION NUMBER: 1978:6932 CAPLUS
DOCUMENT NUMBER: 88:6932

ORIGINAL REFERENCE NO.: 88:1181a,1184a
TITLE: 1-Aryl-4(1H)quinazolone derivatives
INVENTOR(S): Osselaere, Jean Pierre Ghislain Francois; Lapiere, Charles Leon Albert
PATENT ASSIGNEE(S): Laboratoires S.M.B., Anciens Etablissements J. Muelberger et R. Baudier, Belg.
SOURCE: Ger. Offen., 27 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2705454	A1	19770825	DE 1977-2705454	19770210
NL 7701655	A	19770822	NL 1977-1655	19770216
FR 2348921	A1	19771118	FR 1977-4791	19770218
PRIORITY APPLN. INFO.:			LU 1976-74369 A	19760218

GI



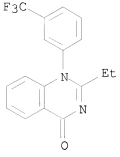
I

AB The title quinazolones I (R = Cl, NO2, CF3, F; R1 = H, Me; R2 = H, Cl; R3 = H, Cl, MeO; R4 = H, Et) (16 compds.) were prepared by the cyclization of 2,4,5-(H2NCO)R3R4C6H2NHC6H3RR1 with HC(OEt)3 or EtCOCl. Thus, 2-(H2NCO)C6H4NHC6H4CF3-3 was heated with HC(OEt)3 to give 75% I (R = 3-CF3, R1 = R2 = R3 = R4 = H) or with EtCOCl in PhMe-pyridine to give I (R4 = Et). I were tested as sedatives, analgesics, and antiinflammatory agents in rats and mice.

IT 64843-42-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 64843-42-1 CAPLUS

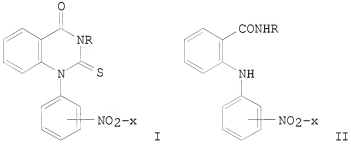
CN 4(1H)-Quinazolinone, 2-ethyl-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 121 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:601577 CAPLUS
DOCUMENT NUMBER: 87:201577
ORIGINAL REFERENCE NO.: 87:31923a,31926a
TITLE: Quinazolines
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52071484	A	19770614	JP 1975-148303	19751211
PRIORITY APPLN. INFO.:			JP 1975-148303 A	19751211

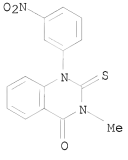
GI



AB Seven quinazolinones I (R = Me, Et, iso-Pr, cyclopropylmethyl, CH2CF3; x = m, p), having analgesic, antiinflammatory, and central depressant activity (no data), were prepared by reaction of II with CSX2 (X = Cl, imidazolyl). Thus, 2.7 g II (R = Me, x = m) in THF and 1.0 g ca. 50% NaH were stirred 30 min at room temperature, 3.5 g CSCl2 was added, and the mixture stirred 1 h at room temperature to give 2.2 g I (R = Me, x = m).

IT 56739-41-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

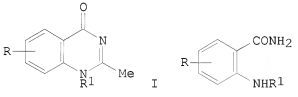
RN 56739-41-4 CAPLUS
CN 4(1H)-Quinazolinone, 2,3-dihydro-3-methyl-1-(3-nitrophenyl)-2-thioxo- (CA INDEX NAME)



L4 ANSWER 122 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:568088 CAPLUS
DOCUMENT NUMBER: 87:168088
ORIGINAL REFERENCE NO.: 87:26570h,26571a
TITLE: Quinazoline derivatives
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Yamazaki, Shunzo; Noguchi, Kazuki; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52078888	A	19770702	JP 1975-156071	19751226
JP 60020387	B	19850521		
PRIORITY APPLN. INFO.:			JP 1975-156071 A	19751226

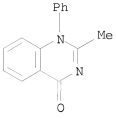
GI



AB Twelve title compds. I (R = H, 6-Cl, 7-Cl; R1 = Me, Et, iso-Pr, H2C:CHCH2, Ph, 3-ClC6H4, 3-F3CC6H4, 4-ClC6H4CH2) were prepared by reaction of II with MeC(OR3)3 (R3 = alkyl). I had analgesic, antiinflammatory, and central nervous system depressant activities (no data). Thus, autoclaving a mixture of 2.5 g II (R = 4-Cl, R1 = Ph) and 11.4 g MeC(OEt)3 in DMF 20 h at 170° gave 1.9 g I (R = 7-Cl, R1 = Ph).

IT 1086-20-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 1086-20-0 CAPLUS
CN 4(1H)-Quinazolinone, 2-methyl-1-phenyl- (CA INDEX NAME)

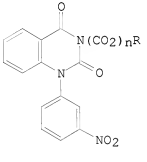


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 123 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:523960 CAPLUS
DOCUMENT NUMBER: 85:123960
ORIGINAL REFERENCE NO.: 85:19905a,19908a
TITLE: 3-Alkyl-1-(m-nitrophenyl)quinazoline-2,4-diones
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50148368	A	19751127	JP 1974-48031	19740425
JP 57061743	B	19821225		
PRIORITY APPLN. INFO.:			JP 1974-48031 A	19740425

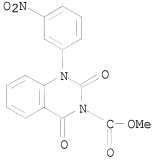
GI



I, n=0
II, n=1

AB 3-Alkylquinazolinediones I [R = (substituted) alkyl, alkenyl] were prepared by decarboxylation of 3-alkoxycarbonyl analogs II. I have higher analgesic and antiinflammatory effects than the m-CF3 analogs. Thus, 3.4 g II (R = Me) was heated at 180-200° for 2 hr under N to give 2.7 g I (R = Me). The reaction was also effected by refluxing in DMF. Among 16 more I prepared were those where R = Et, allyl, CH2CO2Et, and CH2CH2F.

IT 60414-92-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(decarboxylation of)
RN 60414-92-8 CAPLUS
CN 3(2H)-Quinazolinecarboxylic acid, 1,4-dihydro-1-(3-nitrophenyl)-2,4-dioxo-, methyl ester (CA INDEX NAME)

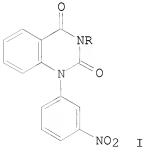


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 124 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:494395 CAPLUS
DOCUMENT NUMBER: 85:94395
ORIGINAL REFERENCE NO.: 85:15129a,15132a
TITLE: 3-Alkyl-1-(m-nitrophenyl)quinazoline-2,4-diones
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50148369	A	19751127	JP 1974-48583	19740429
JP 57061745	B	19821225		
PRIORITY APPLN. INFO.:			JP 1974-48583 A	19740429

GI



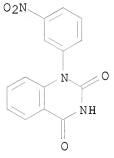
I

AB 3-Alkylquinazolinediones I [R = alkyl, alkenyl] were prepared by alkylation of 1-(m-nitrophenyl)quinazoline-2,4(1H,3H)-dione (II) with N,N-dialkylformamide acetals or orthoesters R1R2C(OR)2 (R1 = dialkylamino, alkoxy; R2 = H, alkyl). I have higher analgesic and antiinflammatory effects than the m-CF3 analogs. Thus, 2.8 g II was refluxed with 4.4 g Me2NCH(OEt)2 in THF for 6 hr to give 2.8 g I (R = Et), also prepared by heating II with HC(OEt)3-Ac2O at 170-80° in a sealed tube. Among 12 more I prepared were those where R = Me, Pr, CH2CF3, and allyl.

IT 56739-19-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation of)

RN 56739-19-6 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(3-nitrophenyl)- (CA INDEX NAME)



L4 ANSWER 125 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:494394 CAPLUS

DOCUMENT NUMBER: 85:94394

ORIGINAL REFERENCE NO.: 85:15129a,15132a

TITLE: 3-Alkyl-1-(m-nitrophenyl)quinazoline-2,4-diones

INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki

PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

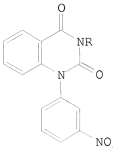
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50148370	A	19751127	JP 1974-50018	19740503
JP 57061746	B	19821225		
PRIORITY APPLN. INFO.:			JP 1974-50018 A	19740503

GI



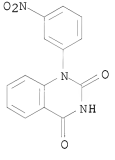
I

AB 3-Alkylquinazolinediones I (R = alkyl) were prepared by alkylation of 1-(m-nitrophenyl)quinazoline-2,4(1H,3H)-dione (II) with dialkoxycarbonium salts (RO)2R1C+X- (R1 = H, alkyl, alkoxy, aryl; X = B, Sb, Fe, or Al halide). I have higher analgesic and antiinflammatory effects than the m-CF3 analogs. Thus, 2.8 g II was treated with 0.53 g 50% NaH in CH2Cl2 and stirred with 4.9 g dimethoxycarbonium tetrafluoroborate at room temperature for 12 hr to give 2.1 g I (R = Me). Among 7 more I prepared were those where R = Et, Pr, CH2CF3, allyl.

IT 56739-19-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of, by dimethoxy carbonium tetrafluoroborate)

RN 56739-19-6 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(3-nitrophenyl)- (CA INDEX NAME)



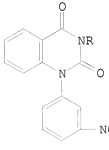
L4 ANSWER 126 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1976:494393 CAPLUS
DOCUMENT NUMBER:	85:94393
ORIGINAL REFERENCE NO.:	85:15129a,15132a
TITLE:	3-Alkyl-1-(m-nitrophenyl)quinazoline-2,4-diones
INVENTOR(S):	Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S):	Hisamitsu Pharmaceutical Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 3 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 50148371	A	19751127	JP 1974-50131	19740502
JP 57042628	B	19820909		
PRIORITY APPLN. INFO.:			JP 1974-50131 A	19740502

GI



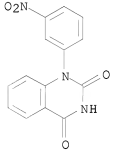
I

AB 3-Alkylquinazolinediones I (R = alkyl) were prepared by alkylation of 1-(m-nitrophenyl)quinazoline-2,4(1H,3H)-dione (II) with trialkyloxonium salts R3O+ X- (X = B, Sb, Fe, or Al halide). I have higher analgesic and antiinflammatory effects than the m-CF3 analogs. Thus, 2.8 g II was treated with 0.53 g 50% NaH in CH2C12 for 0.5 hr and stirred with 5.7 g Et3O+ BF4- for 12 hr to give 2.7 g I (R = Et). Also prepared was I (R = Me).

IT 56739-19-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)

RN 56739-19-6 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(3-nitrophenyl)- (CA INDEX NAME)



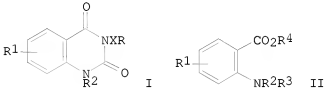
L4 ANSWER 127 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1976:421433 CAPLUS
DOCUMENT NUMBER:	85:21433
ORIGINAL REFERENCE NO.:	85:3509a,3512a
TITLE:	Quinazoline compounds
INVENTOR(S):	Noda, Kanji; Nakagawa, Akira; Ide, Hiroyuki; Yamada, Yoshitsugu; Kuroda, Setsuo
PATENT ASSIGNEE(S):	Hisamitsu Pharmaceutical Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 5 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese

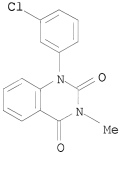
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50157383	A	19751219	JP 1974-57985	19740524
JP 57044672	B	19820922		

PRIORITY APPLN. INFO.: JP 1974-57985 A 19740524
OTHER SOURCE(S): CASREACT 85:21433
GI



AB Quinazoline compds. I (R1 = H, halo; R2 = aryl, aralkyl; X = alkylene, R = H, OH, alkoxy, acyloxy) were prepared by reaction of II (R3 = H, R4 = alkyl) with ClCOR5(R5 = alkoxy, H2N, trihalomethyl) followed by reaction of the resulting II (R3 = R5CO) with H2NXR. I had antiinflammatory and analgesic activities (no data). Thus, a mixture of 5.9 g II (R1 = H, R2 = m-CF3C6H4, R3 = H, R4 = Me) and 8.8 g ClCO2Et in PhMe was reacted 10 hr at 96-100° to give 6.7 g II (R1 = H, R2 = m-CF3C6H4, R3 = CO2Et R4 = Me) (III). Reflux of a mixture of 4.4 g III and 5 g HOCH2CH2NH2 in PhMe 10 hr gave 86% I (R1 = H, R2 = m-CF3C6H4, X = CH2CH2, R = OH). Among 13 addnl. I prepared were (R1, R2, X, R given): H, m-CF3C6H4, CH2CH2, EtO; H, m-CF3C6H4, CH2CH2, H; H, m-ClC6H4, CH2CH2, H; H, m-ClC6H4, CH2, H.
IT 34924-56-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34924-56-6 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3-chlorophenyl)-3-methyl- (CA INDEX NAME)

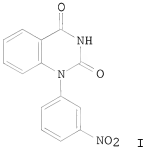


L4 ANSWER 128 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:421432 CAPLUS
DOCUMENT NUMBER: 85:21432
ORIGINAL REFERENCE NO.: 85:3509a,3512a
TITLE: 1-(m-Nitrophenyl)quinazoline-2,4(1H,3H)-dione
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki

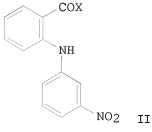
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50157384	A	19751219	JP 1974-60385	19740527
JP 57044673	B	19820922		

PRIORITY APPLN. INFO.: JP 1974-60385 A 19740527
OTHER SOURCE(S): CASREACT 85:21432
GI

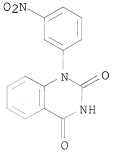


I



II

AB 1-(M-nitrophenyl)quinazoline-2,4(1H,3H)-dione (I) was prepared by reaction of II (X = HO, alkoxy, NH2) with H2NCOY (Y = NH2, alkoxy). I had analgesic and antiinflammatory activities (no data). Thus, reaction of 13 g II (X = NH2) with 30 g urea 4 hr at 180-220° gave 10.2 g I.
IT 56739-19-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 56739-19-6 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3-nitrophenyl)- (CA INDEX NAME)

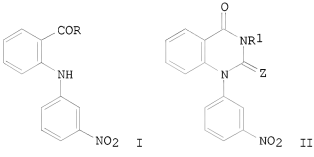


L4 ANSWER 129 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:421427 CAPLUS
DOCUMENT NUMBER: 85:21427
ORIGINAL REFERENCE NO.: 85:3509a,3512a

TITLE: Quinazolinedione derivatives
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50140470	A	19751111	JP 1974-37551	19740401
JP 57042070	B	19820907		

PRIORITY APPLN. INFO.: JP 1974-37551 A 19740401
GI

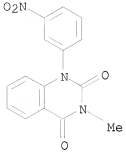


AB Amines I (R = alkoxy, NH2) were treated with R1NCZ (R1 = alkyl, alkenyl; Z = O, S) to give quinazolines II. Thus, 2.9 g I (R = OMe) in THF was treated with NaNH2 30 min at room temperature and then with 2.1 g EtNCO 5 hr at 70° to give 2.4 g II (R1 = Et, Z = O), which at 50 mg/kg (oral) reduced carrageenin-induced edema in rats by 70.9%. The analgesic ED50 = 6 mg/kg in mice. Among 17 II prepared were (R1, Z given): Et, S; Pr, O; allyl, O; Me, O.

IT 56739-20-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and analgesic and antiinflammatory activities of)

RN 56739-20-9 CAPLUS

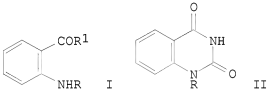
CN 2,4(1H,3H)-Quinazolinedione, 3-methyl-1-(3-nitrophenyl)- (CA INDEX NAME)



L4 ANSWER 130 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:421426 CAPLUS
DOCUMENT NUMBER: 85:21426
ORIGINAL REFERENCE NO.: 85:3509a,3512a
TITLE: Quinazolinediones
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Ide, Hiroyuki; Yamada, Yoshitsugu; Ishikawa, Katsutoshi
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50140471	A	19751111	JP 1974-45463	19740424
PRIORITY APPLN. INFO.:			JP 1974-45463 A	19740424

GI

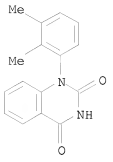


AB Anthranilic acids I (R = H, aryl, aralkyl; R1 = OH, NH2) were cyclized with urea in an alc. to give II. Thus, I (R = m-F3CC6H4, R1 = OH) was heated with urea 10 hr at 180-220° to give 76.1% II (R = m-F3CC6H4). Among 8 II similarly prepared were II (R = H, 2,3-Me2C6H3, PhCH2, p-FD6H4).

IT 1804-49-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 1804-49-5 CAPLUS

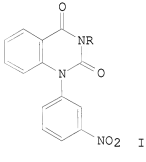
CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3-dimethylphenyl)- (CA INDEX NAME)



L4 ANSWER 131 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:405679 CAPLUS
DOCUMENT NUMBER: 85:5679
ORIGINAL REFERENCE NO.: 85:915a,918a
TITLE: 1-(m-Nitrophenyl)quinazoline-2,4(1H,3H)-diones
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51004187	A	19760114	JP 1974-67379	19740611
JP 58007630	B	19830210		

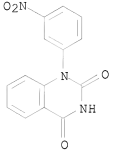
PRIORITY APPLN. INFO.: JP 1974-67379 A 19740611
GI



AB Quinazolinediones I (R = alkyl) were prepared by treating I (R = H) (II) with ROH (R = alkyl). I (R = alkyl) had analgesic and antiinflammatory activity in mice and rats, resp. Thus, a mixture of 2.8 g II was heated 10 hr with 30 ml MeOH in N,N'-dicyclohexylcarbodiimide at 120-30° to give 2.1 g I (R = Me). Among 15 more I similarly prepared were: (R given) Et, Pr, CHMe2, CH2Ph.

IT 56739-19-6
RL: RCT (Reactant); RACT (Reactant or reagent)

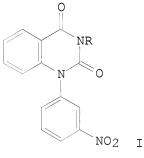
(alkylation of)
RN 56739-19-6 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3-nitrophenyl)- (CA INDEX NAME)



L4 ANSWER 132 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:164839 CAPLUS
DOCUMENT NUMBER: 84:164839
ORIGINAL REFERENCE NO.: 84:26771a,26774a
TITLE: Quinazolinedione derivatives
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51006981	A	19760120	JP 1974-76572	19740702
JP 57061747	B	19821225		
PRIORITY APPLN. INFO.:			JP 1974-76572 A	19740702

GI



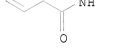
AB Quinazolinedione derivs. I (R = lower alkyl, substituted lower alkyl, unsatd. alkyl) were prepared by reaction of 1-(m-nitrophenyl)quinazoline-2,4(1H,3H)-dione (II) with X(OR)2 (X = CO, oxalyl, malonyl, succinyl, maleoyl, fumaroyl). I had analgesic,

antiinflammatory, and central nerve depressing activities. Thus, autoclaving 2.8 g II with 14.6 g (CO2Et)2 24 hr at 210-20° gave 1.4 g I (R = Et) (III). Also prepared were I (R given): Me (IV), Pr, CHMe2, CH2CH:CH2, CH2CF3, CH2CH2OEt, cyclopropylmethyl, and CH2Ph. Antiinflammatory and analgesic data in rats and mice, resp., were given for III and IV.

IT 56739-19-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)

RN 56739-19-6 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(3-nitrophenyl)- (CA INDEX NAME)



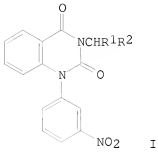
L4 ANSWER 133 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1976:164831 CAPLUS
DOCUMENT NUMBER:	84:164831
ORIGINAL REFERENCE NO.:	84:26767a,26770a
TITLE:	Quinazolinediones
INVENTOR(S):	Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S):	Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 4 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50142581	A	19751117	JP 1974-48032	19740425
JP 57061744	B	19821225		
PRIORITY APPLN. INFO.:			JP 1974-48032 A	19740425

GI



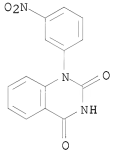
I

AB Quinazolinedone I (R1 = H, lower alkyl; R2 = H, lower cycloalkyl, lower alkyl, substituted lower alkyl, alkoxycarbonyl, CH.tplbond.C, CH2:CH, aryl) were prepared by reaction of 1-(m-nitrophenyl)quinazoline-2,4-(1H,3H)-dione (II) with R1R2CN2. I had analgesic, antiinflammatory, and central nerve depressing activities. Thus, 50 ml 2% CH2N2-Et2O was added to 2.8 g II in THF with ice cooling, the mixture kept 1 hr at room temperature, and refluxed 2 hr to give 2.6 g I (R1 = R2 = H). Among 11 addnl. I prepared were (R1, R2 given): H, Me; H, Et, Me, Me; H, CH2:CH.

IT 56739-19-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)

RN 56739-19-6 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(3-nitrophenyl)- (CA INDEX NAME)



L4 ANSWER 134 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:164824 CAPLUS

DOCUMENT NUMBER: 84:164824

ORIGINAL REFERENCE NO.: 84:26767a,26770a

TITLE: Quinazolinedione derivatives

INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Ide, Hiroyuki; Yamada, Yoshitsugu

PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

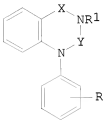
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 50095286	A	19750729	JP 1973-143948	19731226
JP 56029667	B	19810709		
PRIORITY APPLN. INFO.:			JP 1973-143948	19731226
OTHER SOURCE(S):	CASREACT 84:164824			

GI



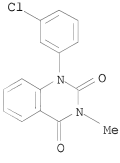
I

AB Oxidation of quinazoline derivs. (I, R = H, halo, CF3; R1 = alkyl, substituted alkyl, X, Y = CO, CS, CH2, X = Y ≠ CO) gave quinazolinediones I (X = Y = CO) (II). II had antiinflammatory and analgesic activity (no data). Thus, 3.5 g I (R = m-Br, R1 = Et, X = CH2, Y = CS) in AcOH was refluxed with 7.0 g Hg(OAc)2 2 hr to give 2.5 g II (R = m-Br, R1 = Et). Among 22 compds. similarly prepared were I (R, R1 given) m-CF3, Et; m-Cl, Me; H, CH2CH2OAc; H, Et.

IT 34924-56-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 34924-56-6 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(3-chlorophenyl)-3-methyl- (CA INDEX NAME)



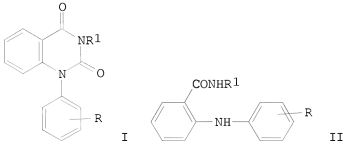
L4 ANSWER 135 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1976:164823 CAPLUS
DOCUMENT NUMBER:	84:164823
ORIGINAL REFERENCE NO.:	84:26767a,26770a
TITLE:	Quinazolinedione derivatives
INVENTOR(S):	Noda, Kanji; Nakagawa, Akira; Motomura, Toshiharu; Ide, Hiroyuki; Yamada, Yoshitsugu
PATENT ASSIGNEE(S):	Hisamitsu Pharmaceutical Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 6 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese

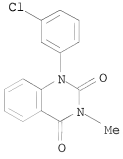
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50095285	A	19750729	JP 1973-143947	19731226

PRIORITY APPLN. INFO.: JP 1973-143947 19731226
GI



AB Quinazolinediones (I, R = H, halo, F3C; R1 = alkyl, substituted alkyl, unsatd. alkyl) were prepared by reacting aminobenzoic acid derivs. (II, R, R1 = same as above) with R2COY (R2 = trihalomethyl, alkoxycarbonyloxy, NH2; Y = halo, alkoxy, NH2) except Cl3CCOCl. I had antiinflammatory and analgesic activity (no data). Thus, to 2.7 g II (R = m-Cl, R1 = Et) in THF was added 55% NaH (1 g), the mixture stirred 30 min at room temperature, 4.2 g
1-ethoxycarbonylimidazole added, and the mixture refluxed 3 hr to give 2.5 g I (R = m-Cl, R1 = Et). Among 44 compds. similarly prepared were I (R, R1 given): H, CH2CH2OH; m-F3C, CH2CH2OH; m-Br, Et; m-F3C, Et.
IT 34924-56-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34924-56-6 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3-chlorophenyl)-3-methyl- (CA INDEX NAME)



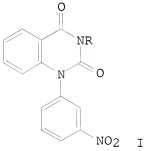
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 136 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:135714 CAPLUS
DOCUMENT NUMBER: 84:135714
ORIGINAL REFERENCE NO.: 84:22063a,22066a

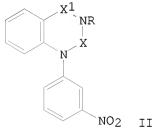
TITLE: Quinazolinediones
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50142580	A	19751117	JP 1974-42016	19740409
JP 57042071	B	19820907		
PRIORITY APPLN. INFO.:			JP 1974-42016 A	19740409

GI



I



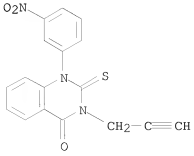
II

AB Quinazolinediones I (R = H, lower alkyl, substituted lower alkyl, unsatd. alkyl) were prepared by oxidation of II (X, X1 = CO, CS, CH2). I had analgesic, antiinflammatory, and central nerve depressing activities. Thus, 3.3 g II (R = CH.tplbond.CCH2, X = CS, X1 = CO) in THF was stirred with 15 ml 30% H2O2 1 hr at room temperature to give 2.7 g I (R = CH.tplbond.CCH2). Among 17 addnl. I prepared were (R given): EtOCH2CH2, Pr, AcOCH2CH2, and H.

IT 58835-11-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)

RN 58835-11-3 CAPLUS

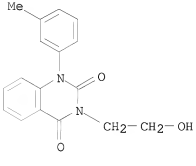
CN 4(1H)-Quinazolinone, 2,3-dihydro-1-(3-nitrophenyl)-3-(2-propyn-1-yl)-2-thioxo- (CA INDEX NAME)



L4 ANSWER 137 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:59545 CAPLUS
DOCUMENT NUMBER: 84:59545
ORIGINAL REFERENCE NO.: 84:9807a,9810a
TITLE: Quinazolinedione derivatives
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Ide, Hiroyuki; Yamada, Yoshitsugu
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50095288	A	19750729	JP 1973-144504	19731227
JP 58011866	B	19830304		
PRIORITY APPLN. INFO.:			JP 1973-144504	19731227

GI For diagram(s), see printed CA Issue.
AB Quinazolinedione derivs. (I, R = H, halo; R1 = aryl, aralkyl; R2 = substituted lower alkyl) were prepared by treating benzoic acid derivs. (II, R3 = H, lower alkyl) with R2NHCONHR4 (R2 = same as above; R4 = H, substituted alkyl). I had antiinflammatory and analgesic activity (no data). Thus, a mixture of 10 g II (R = H, R1 = m-F3CC6H4, R3 = Me) and 12 g HOCH2CH2NHCONH2 was heated at 150° 3 hr to give 6.5 g I (R = H, R1 = m-F3CC6H4, R2 = CH2CH2OH). Among 20 compds. similarly prepared were I (R, R1, R2 given) H, m-ClC6H4, CH2CH2OH; H, Ph, CH2CH2OH; 7-Cl, m-ClC6H4, CH2CH2OH; 7-Cl, m-F3CC6H4, CH2CH2OEt.
IT 34924-71-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34924-71-5 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-hydroxyethyl)-1-(3-methylphenyl)- (CA INDEX NAME)

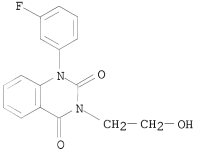


L4 ANSWER 138 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:44132 CAPLUS
DOCUMENT NUMBER: 84:44132
ORIGINAL REFERENCE NO.: 84:7253a,7256a
TITLE: Quinazolinedione derivatives
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Ide, Hiroyuki; Yamada,

Yoshitsugu; Ichikawa, Katsutoshi
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50095287	A	19750729	JP 1973-144503	19731227
JP 58009099	B	19830218		

PRIORITY APPLN. INFO.: JP 1973-144503 19731227
GI For diagram(s), see printed CA Issue.
AB Quinazolinedione derivs. (I, R = H, halo; R1 = aryl, aralkyl; R2 = OH, alkoxy, acyloxy) were prepared by treating aminobenzoic acid derivs. with R3O2CNH(CH2)2R2 (R2 = same as above; R3 = lower alkyl). I had antiinflammatory and analgesic activities (no data). Thus, to 5.9 g 2-(m-F3CC6H4NH)C6H4CO2H in diethylene glycol dimethyl ether was added 0.5 g Na in EtOH, 2.7 g EtO2CHN(CH2)2OH in ethylene glycol added at 30°, and the mixture kept 2 hr at 40°, and 1 hr at 60° to give 3.4 g I (R = H, R1 = m-F3CC6H4, R2 = OH). Among 17 compds. similarly prepared were I (R, R1, R2 given) H, m-ClC6H4, OEt; H, Ph, OAc; H, m-FC6H4, OH; 7-Cl, m-ClC6H4, OH.
IT 34924-62-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34924-62-4 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3-fluorophenyl)-3-(2-hydroxyethyl)- (CA INDEX NAME)

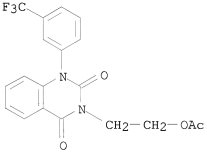


L4 ANSWER 139 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:44120 CAPLUS
DOCUMENT NUMBER: 84:44120
ORIGINAL REFERENCE NO.: 84:7252h,7253a
TITLE: 3-(Hydroxyalkyl)-1-(m-substituted phenyl)quinazoline-2,4(1H,3H)-diones
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Ide, Hiroyuki; Yamada, Yoshitsugu
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Ltd., Japan; Mitsui Pharmaceuticals, Inc.
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50093986	A	19750726	JP 1973-141188	19731219
PRIORITY APPLN. INFO.:			JP 1973-141188 A	19731219

GI For diagram(s), see printed CA Issue.
AB 3-(Hydroxyalkyl)quinazolinediones I (R = H, halo, CF3; Y = alkylene) are prepared by hydrolysis of their O-protected derivs. II (Q = acyl, aroyl, alkoxycarbonyl, alkyl, organic sulfonyl, vinyl, tetrahydropyranyl). Thus, 1 g II (R = CF3, Y = CH2CH2, Q = Ac), prepared by cyclizing 2-(m-trifluoromethylanilino)-N-(2-acetoxyethyl)benzamide with COCl2 in the presence of NaH, was refluxed with 20 ml concentrated HCl in MeOH 2 hr to give 0.8 g I (R = CF3, Y = CH2CH2). Also prepared were I [R = CF3, Y = (CH2)3] and I (Y = CH2CH2; R = Cl, Br, F, H.
IT 34929-10-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 34929-10-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(acetyloxy)ethyl]-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



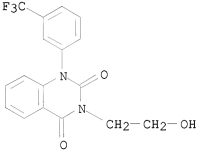
L4 ANSWER 140 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:38523 CAPLUS
DOCUMENT NUMBER: 84:38523
ORIGINAL REFERENCE NO.: 84:6259a,6262a
TITLE: Metabolism of 1-(3-trifluoromethylphenyl)-3-(2-hydroxyethyl)quinazoline-2,4(1H,3H)-dione (H-88). II. Absorption, distribution, and excretion in rat, mouse, rabbit, monkey, and man
AUTHOR(S): Kodama, Ryuhei; Sonoda, Toshikazu; Yano, Tadanori; Furukawa, Kazuhide; Amano, Hidetoshi; Noda, Kanji; Ide, Hiroyuki
CORPORATE SOURCE: Res. Lab., Hisamitsu Pharm. Co. Inc., Saga, Japan
SOURCE: Xenobiotica (1975), 5(10), 601-9
CODEN: XENOBH; ISSN: 0049-8254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The maximum concentration of radioactivity in blood occurred 2-4 hr after oral administration of 14C-labeled H-88 (I) [34929-08-3] in man, mouse, and rabbit and 4 or 24 hr after administration of 6 or 60 mg I/kg,

resp., in rats. Serum levels of unchanged I during the first few hours were relatively high (.apprx.50%) in rat and mouse but low (<12 or <3%, resp.) in man and rabbit. The tissue distributions of radioactivity suggested that I uptake by liver and its subsequent biotransformation were rapid in man and rabbit, but that uptake was rapid and biotransformation was slow in mice and that both uptake and biotransformation were slow in rats. In bile-duct-cannulated rats and rabbits 25-30% of the dose was recovered from bile within 48 hr. Excretion of radioactivity in respiratory CO2 was negligible in rats.

IT 34929-08-3
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism of, species in relation to)

RN 34929-08-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(2-hydroxyethyl)-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 141 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:17262 CAPLUS

DOCUMENT NUMBER: 84:17262

ORIGINAL REFERENCE NO.: 84:2859a,2862a

TITLE: Heterocyclic sulfur compounds. LXXVII. Reaction of phosphorus pentasulfide or sulfur with 1,3-diaryl-2,3-dihydro-1 H-quinazolin-4-ones

AUTHOR(S): Legrand, Louis; Lozac'h, Noel

CORPORATE SOURCE: Dep. Chim., Univ. Caen, Caen, Fr.

SOURCE: Bulletin de la Societe Chimique de France (1975), (5-6, Pt. 2), 1415-18
CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE: Journal

LANGUAGE: French

OTHER SOURCE(S): CASREACT 84:17262

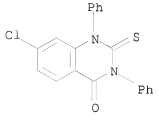
GI For diagram(s), see printed CA Issue.

AB Quinazolinones I (X = O, X1 = H2, R and R2 = H, 2-Me, 4-Me, 4-OMe, 4-Cl, R2 = H, Cl) were prepared by treating 2,4-RC6H4NH(R2)C6H3COCl with R1C6H4NH2 and cyclizing the amides with CH2O. Treatment of I (X = O) with P2S5 gave the thiones I (X = S) together with small amts. of corresponding iminobenzothiazines, anilinothiobenzamides and N-formylanilinothiobenzamides. Treatment of I (X = O) with S gave I (X = O, X1 = S), which with P2S5 gave I (X = X1 = S).

IT 57624-24-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with phosphorus pentasulfide)

RN 57624-24-5 CAPLUS

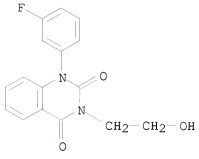
CN 4(1H)-Quinazolinone, 7-chloro-2,3-dihydro-1,3-diphenyl-2-thioxo- (CA INDEX NAME)



L4 ANSWER 142 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:4997 CAPLUS
DOCUMENT NUMBER: 84:4997
ORIGINAL REFERENCE NO.: 84:849a,852a
TITLE: Quinazolinedione derivatives
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Motomura, Toshiharu; Ide, Hiroyuki; Yamada, Yoshitsugu
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50100070	A	19750808	JP 1974-5620	19740110
JP 57024790	B	19820526		
PRIORITY APPLN. INFO.:			JP 1974-5620	19740110

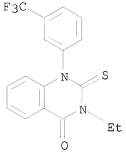
OTHER SOURCE(S): CASREACT 84:4997
GI For diagram(s), see printed CA Issue.
AB Quinazolinedione derivs. (I; Z = C2-C3 straight chain or branched alkylene; R = H, halo, CF3) were prepared by reaction of II (X = O, Q = CH2:CH, tetrahydropyranyl) with COY2 (Y = halo). I had analgesic and antiinflammatory activities (no data). Thus, 1.0 g 50% NaH was added to 3.5 g II (Z = CH2CH2, X = O, Q = CH2:CH, R = CF3) in THF, the mixture stirred 30 min, 10 g 40% COCl2-CCl4 added with ice cooling, and the whole stirred 2 hr to give 2.0 g I (Z = CH2CH2, R = CF3). I prepared also were (Z, R given): CH2CH2, Cl; CH2CH2CH2, CF3; CH2CH2, F; CH2CH2, Br; CH2CH2, H.
IT 34924-62-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34924-62-4 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3-fluorophenyl)-3-(2-hydroxyethyl)- (CA INDEX NAME)



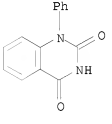
L4 ANSWER 143 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:606313 CAPLUS
DOCUMENT NUMBER: 83:206313
ORIGINAL REFERENCE NO.: 83:32479a,32482a
TITLE: Quinazolinedione derivatives
INVENTOR(S): Noda, Kanji; Kakagawa, Akira; Motomura, Toshiharu; Ide, Hiroyuki; Fujimura, Hajime
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50030892	A	19750327	JP 1973-82335	19730719
JP 56035184	B	19810815		
PRIORITY APPLN. INFO.:			JP 1973-82335	19730719

OTHER SOURCE(S): CASREACT 83:206313
GI For diagram(s), see printed CA Issue.
AB Quinazolinediones I (R1 = halo, CF3; R2 = alkyl, substituted alkyl) were prepared by oxidation of quinazolinethiones II (Z, Z1 = S, O). Thus, 15 ml 30% H2O2 was added to 3.2 g II (R1 = Cl, R2 = Et, Z = Z1 = S) in Me2CO and the mixture refluxed 1.5 hr to give 2.7 g I (R1 = Cl, R2 = Et). I also prepared were (R1, R2 given): CF3, HOCH2CH2; CF3, Et; CF3, ClCH2CH2; CF3, EtOCH2CH2; CF3, AcOCH2CH2; Cl, HOCH2CH2; Cl, ClCH2CH2; Cl, EtOCH2CH2; and Cl, AcOCH2CH2.
IT 56345-65-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)
RN 56345-65-4 CAPLUS
CN 4(1H)-Quinazolinone, 3-ethyl-2,3-dihydro-2-thioxo-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 144 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:606199 CAPLUS
DOCUMENT NUMBER: 83:206199
ORIGINAL REFERENCE NO.: 83:32455a,32458a
TITLE: Synthesis of new 1H,3H-quinazoline-2,4-diones
AUTHOR(S): Pastor, G.; Blanchard, C.; Montginoul, C.; Torreilles, E.; Giral, L.; Texier, A.
CORPORATE SOURCE: Univ. Sci. Tech. Languedoc, Montpellier, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1975), (5-6, Pt. 2), 1331-8
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 83:206199
GI For diagram(s), see printed CA Issue.
AB Quinazolinediones I (R = H, Cl, R1 = alkyl, alkenyl, Ph, substituted phenyl, R2 = H) were prepared by treating 4,2-R(R1NH)C6H3CO2H (II) with urea. II were prepared by treating II (R1 = H) with R1Cl. I(R2 = H) were alkylated to I (R2 = Me, Et).
IT 3282-28-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 3282-28-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L4 ANSWER 145 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:559352 CAPLUS
DOCUMENT NUMBER: 83:159352
ORIGINAL REFERENCE NO.: 83:24995a,24998a
TITLE: NMR studies on the conformation of nucleosides and 3',5'-cyclic nucleotides

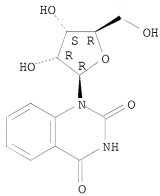
AUTHOR(S): Schweizer, M. P.; Robins, R. K.
CORPORATE SOURCE: ICN Nucl. Acid Res. Inst., Irvine, CA, USA
SOURCE: Jerusalem Symposia on Quantum Chemistry and Biochemistry (1973), 5(Conform. Biol. Mol. Polym., Proc. Int. Symp., 1972), 329-43
CODEN: JSQCA7; ISSN: 0075-3696
DOCUMENT TYPE: Journal
LANGUAGE: English

AB NMR studies of nucleosides and cyclic nucleotides, using functional group magnetic anisotropic effects upon furanose proton chemical shifts, enabled a prediction to be made of the syn-anti preference of these compds. in solution Generally, the anti conformation was preferred for pyrimidine nucleosides, but the syn form was observed when bulky groups were attached at position 6 of the pyrimidine ring. For purine nucleosides in the 2'-endo conformation, the torsional angle shifted from the anti range in the unsubstituted compds. to the syn range in the 8-substituted derivs. In the 3',5'-cyclic ribotides and arabinotides, where furanose is fixed at 3'-endo, substitution at position 8 of the purine caused anti to syn conversion. Cyclic GMP was predominantly syn, whereas cyclic UMP and cyclic IMP were probably anti. Also, the cyclic phosphate ring in these compds. was in the twist conformation.

IT 15135-21-4
RL: PRP (Properties)
(conformation of)

RN 15135-21-4 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 146 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:547499 CAPLUS
DOCUMENT NUMBER: 83:147499
ORIGINAL REFERENCE NO.: 83:23175a,23178a
TITLE: Quinazolinediones
INVENTOR(S): Yabuuchi, Takahiro; Kimura, Ryuichi; Fujimura, Hajime; Hisaki, Masakatsu; Matsuda, Masahiro
PATENT ASSIGNEE(S): Research Institute for Production Development, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50032190	A	19750328	JP 1973-82018	19730720
PRIORITY APPLN. INFO.:			JP 1973-82018	19730720

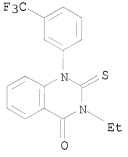
GI For diagram(s), see printed CA Issue.

AB Quinazolinediones I (R = cyclohexyl, aryl, substituted aryl; R1 = alkyl, substituted alkyl, cyclohexyl, aryl) are prepared by oxidation of 2-thio analogs II. Thus, 35 g II (R = 3-CF3C6H4, R1 = Et) in 500 ml dioxane was stirred 3 hr with 250 ml N KOH and 200 ml 10% H2O2 at room temperature to give 30 g corresponding I. Among 12 more I prepared were (R and R1 given): 3-CF3C6H4, Ph; 3-tolyl, CH2CH2OEt; 3-CF3C6H4, CH2CH2OH; cyclohexyl, Et.

IT 56345-65-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)

RN 56345-65-4 CAPLUS

CN 4(1H)-Quinazolinone, 3-ethyl-2,3-dihydro-2-thioxo-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 147 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1975:541849 CAPLUS
DOCUMENT NUMBER:	83:141849
ORIGINAL REFERENCE NO.:	83:22229a,22232a
TITLE:	Pharmacological studies on H 27 and H 88, the new quinazoline-2,4-dione derivatives. I. Antiinflammatory, analgestic, and antipyretic activities
AUTHOR(S):	Fujimura, Hajime; Tsurumi, Kaito; Nozaki, Masakatsu; Hiramatsu, Yasuzo; Tamura, Yohei; Shimazawa, Tsukasa
CORPORATE SOURCE:	Sch. Med., Gifu Univ., Gifu, Japan
SOURCE:	Nippon Yakurigaku Zasshi (1974), 70(5), 673-95 CODEN: NYKZAU; ISSN: 0015-5691
DOCUMENT TYPE:	Journal
LANGUAGE:	Japanese

GI For diagram(s), see printed CA Issue.

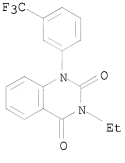
AB H-27 (I) [34929-03-8] and H-88 (II) [34929-08-3] markedly inhibited the increased vascular permeability and the acute edema induced by various stimulants. The activities were less than those of indomethacin (III) [53-86-1], but more potent than those of phenylbutazone (IV) [50-33-9], flufenamic acid (V) [530-78-9], benzydamine (VI) [642-72-8] and mepirizole (VII) [18694-40-1]. I exerted slight inhibitory effects on uv erythema, granuloma formation and adjuvant arthritis. II did not inhibit the subacute inflammatory reaction nor did it produce gastric ulceration. However, II had analgesic and antipyretic actions; the activities were about twice as potent as those of aminopyrine

[58-15-1] and 4 times those of mephenamic acid [61-68-7], but I showed none of those actions. LD50 values of I and II were larger than that of V. The antiinflammatory actions of I and II may be exerted through a stimulating action on the adrenal gland, a central inhibiting action, and(or) a direct inhibitory action. Thus, I and II may be useful in acute inflammatory disease as good antiinflammatory agents with antipyretic and analgesic effects.

IT 34929-03-8
RL: BIOL (Biological study)
(analgesic and antipyretic and inflammation inhibitory activity of)

RN 34929-03-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 148 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:531628 CAPLUS
DOCUMENT NUMBER: 83:131628
ORIGINAL REFERENCE NO.: 83:20713a,20716a
TITLE: Quinazolinediones
INVENTOR(S): Yabuuchi, Takahiro; Kimura, Ryuichi; Fujimura, Hajime; Hisaki, Masakatsu; Matsuda, Masahiro
PATENT ASSIGNEE(S): Research Institute for Production Development, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

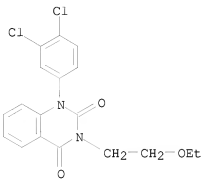
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50032189	A	19750328	JP 1973-82017	19730720
PRIORITY APPLN. INFO.:			JP 1973-82017	19730720

GI For diagram(s), see printed CA Issue.

AB Quinazolinediones I (R = cyclohexyl, aryl, substituted aryl; R1 = alkyl, substituted alkyl, cyclohexyl, aryl) are prepared by cyclizing anthranilamides II with Cl3CCOCl in the presence of Na, K, NaH, $NaNH_2$, or Na or K alcoholates. Thus, 31 g II (R = 3-CF3C6H4, R1 = Et) was stirred with 5 g NaH in DMF at room temperature 2 hr and refluxed with 20 g Cl3CCOCl 3 hr to give 28 g I (same substituents). Among 10 more I prepared were (R and R1 given): 3-CF3C6H4, Ph; 3,4-Cl2C6H3, CH2CH2OEt; 3-CF3C6H4, CH2CH2NEt2; cyclohexyl, Et.

IT 34928-86-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 34928-86-4 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3,4-dichlorophenyl)-3-(2-ethoxyethyl)-
(CA INDEX NAME)



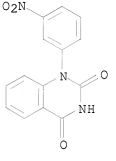
L4 ANSWER 149 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:514468 CAPLUS
DOCUMENT NUMBER: 83:114468
ORIGINAL REFERENCE NO.: 83:17987a,17990a
TITLE: 1-Nitrophenylquinazoline-2,4(1H,3H)-diones
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Hachiya, Terumi; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2459090	A1	19750626	DE 1974-2459090	19741213
JP 50093985	A	19750726	JP 1973-140556	19731214
JP 56029666	B	19810709		
JP 50123687	A	19750929	JP 1974-24999	19740301
JP 58009100	B	19830218		
JP 50131976	A	19751018	JP 1974-35325	19740328
JP 57061742	B	19821225		
AU 7476125	A	19760610	AU 1974-76125	19741205
US 4016166	A	19770405	US 1974-531097	19741209
GB 1491510	A	19771109	GB 1974-53052	19741209
NL 7416022	A	19750617	NL 1974-16022	19741210
CA 1030145	A1	19780425	CA 1974-216030	19741212
CH 622252	A5	19810331	CH 1974-16550	19741212
SE 7415658	A	19750616	SE 1974-15658	19741213
SE 413666	B	19800616		
SE 413666	C	19801002		
FR 2254344	A1	19750711	FR 1974-41101	19741213
SE 7501429	A	19750902	SE 1975-1429	19750210
CH 605832	A5	19781013	CH 1975-2371	19750225

PRIORITY APPLN. INFO.: JP 1973-140556 A 19731214
JP 1974-24999 A 19740301
JP 1974-35325 A 19740328

OTHER SOURCE(S): CASREACT 83:114468

GI For diagram(s), see printed CA Issue.
AB Analgesic, antiinflammatory, and central depressant quinazolinediones I (R = H, C1-3 alkyl, substituted alkyl, allyl, propargyl, CH2CH:CMe2; X = O,S) and some 4-nitrophenyl analogs (26 compds.) were prepared Thus, treatment of I (R = H, X = O) with EtI gave I (R = Et, X = O), which gave >61% inhibition of carrageenin edema in rats at 10 mg/kg orally, had an analgesic ED50 of 6 mg/kg orally in mice and was central depressant at 30-100 mg/kg i.p. in mice.
IT 56739-19-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)
RN 56739-19-6 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 150 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:514465 CAPLUS
DOCUMENT NUMBER: 83:114465
ORIGINAL REFERENCE NO.: 83:17987a,17990a
TITLE: Quinazolinediones
INVENTOR(S): Yabuuchi, Takahiro; Kimura, Ryuichi; Fujimura, Hajime; Hisaki, Masakatsu; Matsuda, Masahiro
PATENT ASSIGNEE(S): Research Institute for Production Development, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50029581	A	19750325	JP 1973-79610	19730713
PRIORITY APPLN. INFO.:			JP 1973-79610	19730713

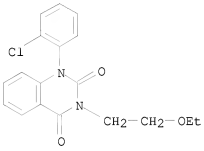
GI For diagram(s), see printed CA Issue.
AB Quinazolinediones I (R = cyclohexyl, aryl; R1 = alkyl; X = O, S) are prepared by cyclizing anthranilamides II with iso(thio)cyanates R2NCX (R2 = alkyl, cyclohexyl, aryl) or cyclizing III (R3 = aryl) with R1NCX in the presence of Na, K, NaH, NaNH2, or Na or K alcoholates. The cyclization is effected in high yields with elimination of amines R2NH2 or R3NH2, resp. Thus, 31 g II (R = 3-CF3C6H4, R1 = Et) was stirred with 5 g NaH in THF at room temperature 2 hr and refluxed with 7 g EtNCO 3 hr to give 29 g I (X = O, R = 3-CF3C6H4, R1 = Et), also prepared from III (R = 3-CF3C6H4, R3 = Ph) and EtNCO. Similarly prepared was I (X = O, R = 3-CF3C6H4, R1 = Et). Among 19

more I (X = O) prepared were (R, R1 given): cyclohexyl, CH2CH2OEt; Ph, CH2CH2NMe2; Ph, Et; 4-EtOC6H4, Et.

IT 34928-68-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 34928-68-2 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(2-chlorophenyl)-3-(2-ethoxyethyl)- (CA INDEX NAME)



L4 ANSWER 151 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1975:497348 CAPLUS

DOCUMENT NUMBER: 83:97348

ORIGINAL REFERENCE NO.: 83:15305a,15308a

TITLE: Quinazolinedione derivatives

INVENTOR(S): Yabuuchi, Takahiro; Kimura, Ryuichi; Fujimura, Hajime; Hisaki, Masakatsu; Matsuda, Masahiro

PATENT ASSIGNEE(S): Research Institute for Production Development, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 50014689	A	19750215	JP 1973-66095		19730612
PRIORITY APPLN. INFO.:			JP 1973-66095	A	19730612

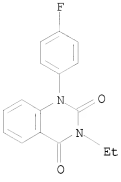
GI For diagram(s), see printed CA Issue.

AB Quinazolinediones I (R1 = cyclohexyl, aryl; R2 = alkyl, cyclohexyl, aryl; Z = O, S) were prepared by reaction of II (R = alkyl, cyclohexyl, aryl) with R2NCZ in the presence of alkali metals or their compds. such as Na, K, NaH, NaNH2, Na alkoxides, and K alkoxides. I are analgesics and antiinflammatory agents. Thus, a mixture of 2.3 g Na and 27 g II (R = Me, R1 = 3-ClC6H4) in EtOH-C6H6 was stirred 1 hr at room temperature, 7 g EtNCS added, and the whole refluxed 3 hr to give 25 g I (R1 = 3-ClC6H4, R2 = Et, Z = O). Among 18 more I prepared were (R1, R2, Z given): 3-F3CC6H4, Et, O; 3-F3CC6H4, Ph, O; 3-F3CC6H4, cyclohexyl, O; and Ph, Et, O.

IT 34924-63-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and analgesic and antiinflammatory activities of)

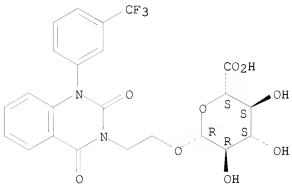
RN 34924-63-5 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-(4-fluorophenyl)- (CA INDEX NAME)



L4 ANSWER 152 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:422182 CAPLUS
DOCUMENT NUMBER: 83:22182
ORIGINAL REFERENCE NO.: 83:3513a,3516a
TITLE: Metabolism of 1-(3-trifluoromethylphenyl)-3-(2-hydroxyethyl)quinazoline-2,4(1H,3H)-dione (H-88)
AUTHOR(S): Kodama, Ryuhei; Yano, Tadanori; Furukawa, Kazuhide; Noda, Kanji; Ide, Hiroyuki
CORPORATE SOURCE: Res. Lab., Hisamitsu Pharm. Co. Inc., Saga, Japan
SOURCE: Xenobiotica (1975), 5(1), 39-48
CODEN: XENOBH; ISSN: 0049-8254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Species differences were observed in the excretion of metabolites of H-88 (I) [34929-08-3] in guinea pig, hamster, man, monkey, mouse, rabbit, and rat. H-88 glucuronide [55446-29-2] was the major metabolite in urine of man, monkey and rabbit, 1-(3-trifluoromethylphenyl)-3-(2-hydroxyethyl)-6-hydroxyquinazoline-2,4(1H,3H)-dione [55446-30-5] was a major metabolite only in guinea pig, and 1-(3-trifluoromethylphenyl)quinazoline-2,4(1H,3H)dione-3-acetic acid [38957-37-8] was the major metabolite in rat, mouse, guinea pig and hamster urine and feces.
IT 55446-29-2
RL: BIOL (Biological study)
(as H-88 metabolite, in urine, species in relation to)
RN 55446-29-2 CAPLUS
CN β-D-Glucopyranosiduronic acid, 2-[1,4-dihydro-2,4-dioxo-1-[3-(trifluoromethyl)phenyl]-3(2H)-quinazolinyl]ethyl (CA INDEX NAME)

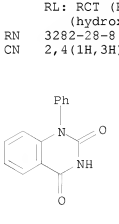
Absolute stereochemistry.



L4 ANSWER 153 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:171021 CAPLUS
DOCUMENT NUMBER: 82:171021
ORIGINAL REFERENCE NO.: 82:27341a,27344a
TITLE: 3-(2-Hydroxyethyl)-2,4(1H,3H)-quinazolinediones
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Motomura, Toshiharu; Ide, Hiroyuki; Fujimura, Hajime
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc.
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49110683	A	19741022	JP 1973-28341	19730308
JP 55027911	B	19800724		

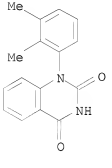
PRIORITY APPLN. INFO.: JP 1973-28341 A 19730308
GI For diagram(s), see printed CA Issue.
AB 3-(2-Hydroxyethyl) derivs. I (R = aryl, cyclohexyl; R1 = H, halo are prepared by treating quinazolinediones II with sulfite ester III. Thus, heating 2 g II (R = m-CF3C6H4, R1 = H) and 1.8 g III in DMF at 145-50° 2 hr gave 2 g I (same substituents). Among 12 more I prepared were (R, R1 given): m-BrC6H4, H; cyclohexyl, H; m-ClC6H4, 7-Cl; p-EtOC6H4, H.
IT 3282-28-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydroxyethylation of, with ethylene glycol cyclic sulfite)
RN 3282-28-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)



L4 ANSWER 154 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:171020 CAPLUS
DOCUMENT NUMBER: 82:171020
ORIGINAL REFERENCE NO.: 82:27341a,27344a
TITLE: 1,3-Disubstituted 2,4(1H,3H)-quinazolinediones
INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Motomura, Toshiharu; Ide, Hiroyuki; Fujimura, Hajime
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc.
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49110682	A	19741022	JP 1973-28189	19730310
JP 55027058	B	19800717		
PRIORITY APPLN. INFO.:			JP 1973-28189 A	19730310

GI For diagram(s), see printed CA Issue.
AB Quinazolinediones I (R = aryl, cyclohexyl; R1 = alkyl, substituted alkyl, unsatd. alkyl) are prepared from the 3-unsubstituted analogs (I; R1 = H) (II) with sulfonate esters R1OX (X = organic sulfonyl groups). I have antiinflammatory and analgesic effects (no data). Thus, 3.1 g II (R = m-F3CC6H4) and 0.6 g 50% NaH in DMF was stirred 30 min and 4.3 g HOCH2CH2OSO2C6H4Me-p added. The mixture was stirred 1 hr at room temperature and
0.5 hr at 60° to give 2.8 g I (R = m-F3CC6H4, R1 = CH2CH2OH).
Among 66 more I prepared were (R and R1 given): m-ClC6H4, Et; m-F3CC6H4, Et; Ph, CH2CH2OEt; cyclohexyl, CH2CH2OAc.
IT 1804-49-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)
RN 1804-49-5 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3-dimethylphenyl)- (CA INDEX NAME)

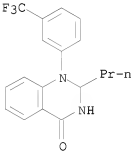


L4 ANSWER 155 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:140176 CAPLUS
DOCUMENT NUMBER: 82:140176
ORIGINAL REFERENCE NO.: 82:22403a,22406a
TITLE: Quinazolinone derivative
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Motomura,

Toshiji; Kimura, Ryuichi
PATENT ASSIGNEE(S): Research Institute for Production Development
SOURCE: Jpn. Tokkyo Koho, 5 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49027591	B	19740718	JP 1970-36496	19700427
PRIORITY APPLN. INFO.:			JP 1970-36496	19700427

GI For diagram(s), see printed CA Issue.
AB Twenty 4(3H)-quinazolinones (I, R = Pr, Ph, C6H4OH-0, C6H4OEt-p, C6H4Cl-0, etc.), useful as sedatives, muscle relaxants, and antiinflammatory agents, were prepared by condensing anthranilamide II with the appropriate aldehyde. E.g., 11.2 g II in 2% NaOH-EtOH was heated to 60-70° with PrCHO for 9 hr to give 11.2 g I (R = Pr).
IT 55173-62-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiinflammatory activity of)
RN 55173-62-1 CAPLUS
CN 4(1H)-Quinazolinone, 2,3-dihydro-2-propyl-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 156 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:43454 CAPLUS
DOCUMENT NUMBER: 82:43454
ORIGINAL REFERENCE NO.: 82:6921a,6924a
TITLE: Analgesic and antiinflammatory quinazolinediones
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Kimura, Ryuichi
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc.
SOURCE: Ger. Offen., 11 pp. Division of Ger. Offen. 2,120,663 (CA 76: 72548b).
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 2166614	A1	19741031	DE 1971-2166614	19710427
DE 2166614	B2	19760916		
DE 2166614	C3	19770428		
FR 2100623	A5	19720324	FR 1971-16287	19710427
FR 2100623	B1	19760416		
CH 546243	A	19740228	CH 1971-6154	19710427

PRIORITY APPLN. INFO.: JP 1970-36494 A 19700427

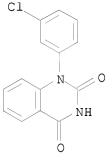
GI For diagram(s), see printed CA Issue.

AB Four quinazolinediones I (R = Et, CH2CH2OEt, CH2CH2OAc, or CH2CH2OH) were prepared by alkylation of I (R = H) with RX (X = iodo or Br). I had analgesic activity when tested orally in the mouse and rat and antiinflammatory activity when tested orally in the rat. LD50 values were obtained in the mouse.

IT 20865-85-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)

RN 20865-85-4 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(3-chlorophenyl)- (CA INDEX NAME)



L4 ANSWER 157 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1975:30512 CAPLUS

DOCUMENT NUMBER: 82:30512

ORIGINAL REFERENCE NO.: 82:4857a,4860a

TITLE: 2,4(1H,3H)-Quinazolinediones. Their NMR spectra

AUTHOR(S): Khalife El Saleh, M.; Pastor, G.; Montginoul, C.; Torreilles, E.; Giral, L.; Texier, A.

CORPORATE SOURCE: Univ. Sci. Tech. Languedoc, Montpellier, Fr.

SOURCE: Bulletin de la Societe Chimique de France (1974), 7-8, Pt. 2, 1667-70
CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE: Journal

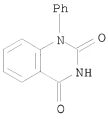
LANGUAGE: French

AB Chemical shifts and coupling consts. for 14 2,4(1H,3H)-quinazolinediones were obtained and discussed.

IT 3282-28-8
RL: PRP (Properties)
(NMR of)

RN 3282-28-8 CAPLUS

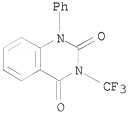
CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)



L4 ANSWER 158 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1974:27280 CAPLUS
DOCUMENT NUMBER: 80:27280
ORIGINAL REFERENCE NO.: 80:4501a,4504a
TITLE: Fungicidal 3-(trifluoromethyl)benzopyrimidine-2,4-diones and similar compounds
INVENTOR(S): Vuettner, Gerhard; Klauke, Erich; Oehlmann, Linthard; Kaspers, Helmut
PATENT ASSIGNEE(S): Bayer A.-G.
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2218362	A1	19731108	DE 1972-2218362	19720415

PRIORITY APPLN. INFO.: DE 1972-2218362 19720415
GI For diagram(s), see printed CA Issue.
AB Seventeen trifluoromethyl compds. [I-III, Rn = H, 7-O2N, 6,8-Cl2, 7,6-Me(OCH), 6-Me3C, 6-Cl, 6-O2N, 6-MeCO, or 8-MeO; R1 = H, Ph, CH2CO2Et, SO2Me, SO2Bu, SO2NMe2, or SO2C6H4NO2-3], used as plant protecting fungicides, were prepared in ≤61% yield by reaction of 2,y-HXRn-C6H4-nCO2H with F2C:NCF3 in the presence of NaF.
IT 50784-34-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 50784-34-4 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl-3-(trifluoromethyl)- (CA INDEX NAME)



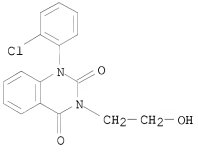
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 159 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:466401 CAPLUS
DOCUMENT NUMBER: 79:66401
ORIGINAL REFERENCE NO.: 79:10735a,10738a
TITLE: Quinazolinediones
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Kimura, Ryuichi
PATENT ASSIGNEE(S): Research Institute for Production Development
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48040790	A	19730615	JP 1971-78928	19711007
JP 50033078	B	19751027		
PRIORITY APPLN. INFO.:			JP 1971-78928 A	19711007

GI For diagram(s), see printed CA Issue.
AB The title compds. (I, R = CH2CH2OH)(Ia), antiinflammatory and analgesic drugs, were prepared by treating the corresponding I (R = H) with ethylene oxide (II) or with ethylene carbonate. E.g., 2 g 1-(m-bromophenyl)-2,4(1H,3H)-quinazolinedione in DMF-pyridine was treated with a solution of II in DMF to give 1.8 g Ia (R1 = m-BrC6H4, R2 = H). Among 12 more Ia similarly prepared were the following (R1 and R2 given): o-ClC6H4, H; m-CF3C6H4, H; cyclohexyl, H; 2,3-Cl2C6H3, H; m-ClC6H4, Cl.
IT 34928-69-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34928-69-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(2-chlorophenyl)-3-(2-hydroxyethyl)- (CA INDEX NAME)

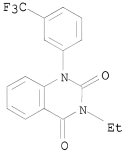


L4 ANSWER 160 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:466400 CAPLUS
DOCUMENT NUMBER: 79:66400
ORIGINAL REFERENCE NO.: 79:10735a,10738a
TITLE: Quinazolinediones
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Kikura, Ryuichi
PATENT ASSIGNEE(S): Research Institute for Production Development
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48040788	A	19730615	JP 1971-78926	19711007
JP 50038113	B	19751206		

PRIORITY APPLN. INFO.: JP 1971-78926 A 19711007
GI For diagram(s), see printed CA Issue.
AB The title compds. (I), antiinflammatory and analgesic drugs, were prepared by treating anthranilic acids with ureas, carbamates, or urethanes. E.g., 5.6 g 4-chloro-2-(m-chlorophenyl)anthranilic acid and 9 g ethylurea were heated 6 hr at 180-210° to give 4.2 g I (R1 = m-ClC6H4, R2 = H, R3 = 7-Cl). Among 67 more I similarly prepared were the following (R1, R2, and R3 given): PhCH2, Me, H; m-CF3C6H4, Et, H; cyclohexyl H, H; m-CF3C6H4, Et, 4-OMe; PhCH:CHCH2, Et, H.
IT 34929-03-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34929-03-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-ethyl-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



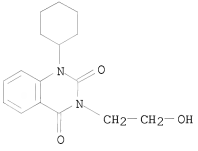
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 161 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:466399 CAPLUS
DOCUMENT NUMBER: 79:66399
ORIGINAL REFERENCE NO.: 79:10735a,10738a
TITLE: Quinazolinediones
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Kimura, Ryuichi
PATENT ASSIGNEE(S): Research Institute for Production Development
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48040789	A	19730615	JP 1971-78927	19711007
JP 51005394	B	19760219		

PRIORITY APPLN. INFO.: JP 1971-78927 A 19711007

GI For diagram(s), see printed CA Issue.
AB The title compds. (I), antiinflammatory and analgesic drugs, were prepared by treating aminobenzamides with a compound of formula ACOB where A and B = halogen, alkoxy, NH2, or imidazolyl. E.g., 3.1 g 2-ethylamino-N-m-trifluoromethylphenylbenzamide and 4.4 g Et chlorocarbonate were stirred in NaH and THF to give 2.1 g I (R1 = Et, R2 = m-CF3C6H4, R3 = H). Among 127 more I similarly prepared were the following (R1, R2, and R3 given): PhCH2, Me, H; Ph, H, 7-Cl; m-CF3C6H4, Et, 6-OMe; cyclohexyl, (CH2)2OH, H; Et, cyclohexyl, 7-Cl.
IT 42026-45-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 42026-45-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-cyclohexyl-3-(2-hydroxyethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 162 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:466395 CAPLUS
DOCUMENT NUMBER: 79:66395
ORIGINAL REFERENCE NO.: 79:10735a,10738a
TITLE: Quinazolinediones
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Motomura, Toshiharu; Kimura, Ryuichi
PATENT ASSIGNEE(S): Research Institute for Production Development
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48040791	A	19730615	JP 1971-78929	19711007
JP 51007674	B	19760310		

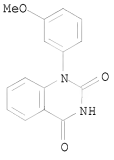
PRIORITY APPLN. INFO.: JP 1971-78929 A 19711007
GI For diagram(s), see printed CA Issue.
AB The title compds. (I), antiinflammatory and analgesic drugs, were prepared by treating the corresponding I (R2 = H) with alkyl, aralkyl, or acyl halides or with alkyl sulfates. E.g., 1-(m-methoxyphenyl-2,4(1H,3H)-quinazolinedione was treated with 2-bromoethyl acetate in DMF in the presence of NaH to give I (R1 = m-MeOC6H4, R2 = 2-acetoxyethyl, R3 = Cl). Among 119 more I similarly prepared were the following (R1, R2, and R3 given): p-ClC6H4CO, Et, H;

cinnamoyl, Et, H; m-ClC6H4, 2-hydroxyethyl, Cl; Ph, propionyl, H; cyclohexyl, Et, H.

IT 42026-69-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromoethyl acetate)

RN 42026-69-7 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(3-methoxyphenyl)- (CA INDEX NAME)



L4 ANSWER 163 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:432230 CAPLUS

DOCUMENT NUMBER: 79:32230

ORIGINAL REFERENCE NO.: 79:5237a,5240a

TITLE: Determination of pyrimidine nucleoside syn-anti conformational preference in solution by proton and carbon-13 nuclear magnetic resonance

AUTHOR(S): Schweizer, Martin P.; Banta, E. B.; Witkowski, J. T.; Robins, R. K.

CORPORATE SOURCE: ICN Nucleic Acid Res. Inst., Irvine, CA, USA

SOURCE: Journal of the American Chemical Society (1973), 95(11), 3770-8
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

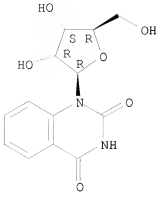
AB The glycosidic conformation of 11 pyrimidine nucleosides and one quinazoline nucleoside in solution was investigated by 1H and 13C NMR spectroscopy. Proton chemical shift data as well as vicinal furanose coupling consts. indicate that most of these nucleosides are preferentially anti. Bulky groups such as Me at position 6 or a 5,6-fused benzene ring shift the torsional angle into the syn range. Measurements of the vicinal 3JC2-H1' about the glycosidic bond in cytidine and 6-methylcytidine confirm the conclusions based upon chemical shift data. Although the torsional angle may be altered somewhat, the relative proportion of syn and anti conformers was approx. the same in Me2SO and in water. Significant changes in the furanose conformation are less a determinant of glycosidic conformation than steric interaction between substituents on the base and ribose moieties.

IT 15135-21-4
RL: PRP (Properties)
(conformation of, NMR spectra in relation to)

RN 15135-21-4 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

L4 ANSWER 164 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:432083 CAPLUS
DOCUMENT NUMBER: 79:32083
ORIGINAL REFERENCE NO.: 79:5209a,5212a
TITLE: Synthesis of quinazolinedione derivatives
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Kimura, Ryuichi
PATENT ASSIGNEE(S): Research Institute for Production Development
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48008116	B4	19730312	JP 1970-57145	19700630

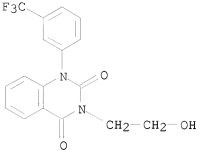
GI For diagram(s), see printed CA Issue.

AB Novel quinazolinedione derivs. (I, A = alkylene, B = alkyl, aryl, NH2, or dialkylamino group) were prepared by the reaction of I (AOCOB = AOH) and XCOB (X = halo). 1-Acetylation of 1-(α,α,α-trifluoro-m-tolyl)-3-(2-hydroxyethyl)-1-H,3H-quinazoline-2,4-dione with AcCl and pyridine at 60° gave the acetate, which showed mean inhibitory rate of 30-39% against carrageenin edema, with low toxicity of LD50 >400 mg/kg (95% fiducial limit) by intraperitoneal admin. in mice.

IT 34929-08-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of)

RN 34929-08-3 CAPLUS

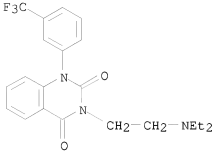
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-hydroxyethyl)-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 165 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:432080 CAPLUS
DOCUMENT NUMBER: 79:32080
ORIGINAL REFERENCE NO.: 79:5209a,5212a
TITLE: Synthesis of quinazolinedione derivatives
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Kimura, Ryuichi
PATENT ASSIGNEE(S): Research Institute for Production Development
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48008115	B4	19730312	JP 1970-57089	19700629

GI For diagram(s), see printed CA Issue.
AB Salts of quinazolinedione derivs. (I, A = alkylene and R = NH2, alkylamino, dialkylamino, cycloalkylamino, or heterocyclic amino; R1 = aryl) were prepared from (I, AR = AX, X = halo) by heating in C6H6 or alc., and using pyridine or trialkylamine as deacidification agent. Hydrochlorides of six I were prepared and had antiinflammatory activity with low toxicity. 1-(α,α,α-trifluoro-m-tolyl)-3-[2-(diethylamino)ethyl]-1H,3H-quinazoline-2,4-dione.HCl, m.p. 229-30°, showed over 40% mean inhibitory rate against carrageenin edema, with LD50 of 158 mg/kg (95 fiducial limit) by intraperitoneal administration in mice.
IT 34929-07-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34929-07-2 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(diethylamino)ethyl]-1-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L4 ANSWER 166 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:432078 CAPLUS
DOCUMENT NUMBER: 79:32078
ORIGINAL REFERENCE NO.: 79:5209a,5212a
TITLE: Synthesis of quinazolinedione derivatives
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Kimura, Ryuichi
PATENT ASSIGNEE(S): Research Institute for production Development
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48008114	B	19730312	JP 1970-54622	19700623

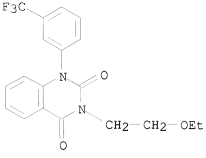
GI For diagrams(s), see printed CA Issue.

AB Synthesis of novel quinazolinedione derivs. (I; A = alkylene; Z = O, S; R = alkyl, carbamoylmethyl, alkoxycarbonylmethyl, aryl) by reaction of (a) I, (AZR = AZH) and RX (X = halo) or (b) I, (AZR = AX) and MBR (M = alkali or alkali earth metal). Among 6 compds. prepared, 1-(α,α,α-trifluoro-m-tolyl)-3-(2-ethoxyethyl)-1H,3H-quinazoline-2,4-dione (II), m.p. 117-8°, prepared from 1-(α,α,α-trifluoro-m-tolyl)-3-(2-hydroxyethyl)-1H,3H-quinazoline-2,4-dione, anhydrous HCONMe2, 50% NaH, ClCH2CONH2, and EtI, had a marked antiinflammatory activity against carrageenin edema, with mean inhibitory rate of over 40% compared to that of 30-39% for mefenamic and flufenamic acids. II also had lower toxicity, its LD50 being 460 mg/kg (95% fiducial limit) by intraperitoneal admin. in mice, against 200 mg/kg of flufenamic acid.

IT 34936-11-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 34936-11-3 CAPLUS

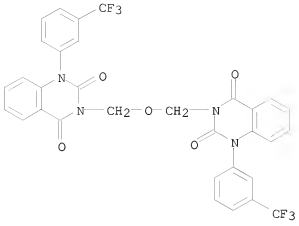
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-ethoxyethyl)-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 167 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:111359 CAPLUS
DOCUMENT NUMBER: 78:111359
ORIGINAL REFERENCE NO.: 78:17883a,17886a
TITLE: Quinazolinedione derivatives
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Kimura, Ryuichi
PATENT ASSIGNEE(S): Research Institute for Production Development
SOURCE: Jpn. Tokkyo Koho, 2 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 47047040	B4	19721127	JP 1970-57144	19700630

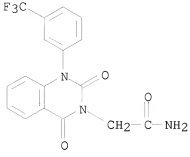
GI For diagram(s), see printed CA Issue.
AB Quinazolinedione derivs. (I, R = alkylene or oxydialkylene), with antiinflammatory and analgesic activities, were prepared by treating 1-[3-(trifluoromethyl)phenyl]-2,4(1H,3H)-quinazolinedione (II) with an alkyl dihalide or oxydialkyl dihalide in the presence of NaH. Thus, II in DMF containing NaH was treated with ClCH2CH2Br and the mixture heated 6 hr at 150° to give I (R = CH2CH2). Similarly prepared were I (R = CH2OCH2; CH2; CH2CH2OCH2CH2).
IT 34929-11-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(analgesic)
RN 34929-11-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3,3'-[oxybis(methylene)]bis[1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 168 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:564751 CAPLUS
DOCUMENT NUMBER: 77:164751
ORIGINAL REFERENCE NO.: 77:27063a,27066a
TITLE: Quinazolinedione derivatives
INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Kimura, Ryuichi
PATENT ASSIGNEE(S): Research Institute for Production Development
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 47024032	B4	19720703	JP 1970-36495	19700427
US 3794643		19740226	US	19710420

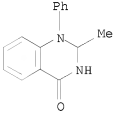
GI For diagram(s), see printed CA Issue.
AB Quinazolinediones (I), with antiinflammatory activity, were prepared from 1-(m-trifluoromethylphenyl)quinazoline-dione (II) by reaction with RR1CHX (R = H, alkyl; R1 = CO2H, CONH2, CO2R, CN; X = halo) in the presence of alkali metal compds. e.g., NaH, NaNH2, NaOAc. Thus, 50 NaH was added to II in DMF and the mixture stirred 1 hr and then reacted with Cl2CHCO2Et 3 hr at room temperature to give I (R = H, R1 = CO2Et), which on hydrolysis gave I (R = H, R1 = CO2H). Similarly prepared were the following I (R and R1 given): H, CO-NH2; H, CO2H; Me, CONH2; Me, CO2H; Me, CH2CH2CO2H.
IT 38957-36-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 38957-36-7 CAPLUS
CN 3(2H)-Quinazolineacetamide, 1,4-dihydro-2,4-dioxo-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 169 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:159863 CAPLUS
DOCUMENT NUMBER: 76:159863
ORIGINAL REFERENCE NO.: 76:26033a,26036a
TITLE: Mass spectrometric investigation of isomeric 1,2,3,4-tetrahydro-4-oxoquinazolines
AUTHOR(S): Bogentoft, Conny; Danielsson, Bengt
CORPORATE SOURCE: Dep. Org. Chem., Fac. Pharm., Stockholm, Swed.
SOURCE: Journal of Heterocyclic Chemistry (1972), 9(2), 193-7
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 76:159863

AB Six isomeric methylphenyl-1,2,3,4-tetrahydro-4-oxoquinazolines were prepared, and their fragmentation patterns upon electron impact studied. D labeling and high-resolution measurements were performed to facilitate the interpretation of the spectra. The dissociation of the mol. ion follows 2 main routes, the fragmentation being governed by the position of the Ph group.

IT 36384-01-7
RL: PRP (Properties)
(mass spectrum of)
RN 36384-01-7 CAPLUS
CN 4(1H)-Quinazolinone, 2,3-dihydro-2-methyl-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 170 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:72548 CAPLUS
DOCUMENT NUMBER: 76:72548
ORIGINAL REFERENCE NO.: 76:11685a,11688a
TITLE: Antiinflammatory and analgesic 1-(substituted phenyl)-2,4(1H,3H)-quinazolinediones

INVENTOR(S): Yabuuchi, Takahiro; Fujimura, Hajime; Nakagawa, Akira; Kimura, Ryuichi
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc.
SOURCE: Ger. Offen., 51 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2120663	A	19711111	DE 1971-2120663	19710427
DE 2120663	B2	19750206		
DE 2120663	C3	19750918		
FR 2100623	A5	19720324	FR 1971-16287	19710427
FR 2100623	B1	19760416		
CH 546243	A	19740228	CH 1971-6154	19710427

PRIORITY APPLN. INFO.: JP 1970-36494 A 19700427

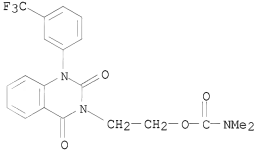
GI For diagram(s), see printed CA Issue.

AB The 130 title compds. I (R = alkyl, substituted benzyl, azinyl-, hydroxy-, alkoxy-, aryloxy-, halo-, carbalkoxy-, and aminoalkyl, and acyl; (R1 and R2 = H, halo, alkoxy) were prepared from the corresponding I (R = H) and RX (X = halo) or an alkyl sulfate. Thus, I R = R2 = H, R1 = F3C) and DMF was stirred with 1 ml 50% NaOH 7 hr, EtI added, and the mixture stirred 3 hr to give I (R = Et, R1 = F3C, R2 = H). Other alkalies used were NaOBu, NaOEt, NaNH2, Et3N. The reaction of I (R = R2 = H, R1 = F3C) and Me2SO4 in Me2CO 2 hr at 50-70° gave I (R = Me, R1 = F3C, R2 = H).

IT 34924-51-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 34924-51-1 CAPLUS

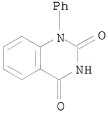
CN Carbamic acid, dimethyl-, 2-[1,4-dihydro-2,4-dioxo-1-[3-(trifluoromethyl)phenyl]-3(2H)-quinazolinyl]ethyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 171 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:72475 CAPLUS
DOCUMENT NUMBER: 76:72475
ORIGINAL REFERENCE NO.: 76:11669a,11672a
TITLE: Reduction of fused benzo[d]- and pyrido[3,2-d]pyrimidinones
AUTHOR(S): Irwin, W. J.

CORPORATE SOURCE: Dep. Pharm., Univ. Aston, Birmingham, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1972), (3), 353-5
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 1-Phenyl-4(1H)-and 3-phenyl-4(3H)-quinazolinone with NaBH4 gave their 2,3- and 1,2-dihydro derivs., resp., but with LiAlH4 gave 80% 2-(methylaminomethyl)-N-phenylaniline and 59% 2-(anilinomethyl)-N-methylaniline, resp. 2-Methyl-3-phenylpyrido[3,2-d]pyrimidin-4(3H)-one with NaBH4 gave 72 3-(ethylamino)-N-phenyl-2-pyridinecarboxamide and with LiAlH4 gave 61 2-(anilinomethyl)-3-(ethylamino)pyridine.
IT 3282-28-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 3282-28-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 172 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:552906 CAPLUS
DOCUMENT NUMBER: 75:152906
ORIGINAL REFERENCE NO.: 75:24113a
TITLE: Basically dyeable, high-molecular-weight polyamides
INVENTOR(S): Wolf, Gerhard Dieter; Nischk, Guenther; Blankenstein, Guenter
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2000927	A	19710715	DE 1970-2000927	19700109
PRIORITY APPLN. INFO.:			DE 1970-2000927 A	19700109

GI For diagram(s), see printed CA Issue.
AB High-mol.-weight polyamides dyeable with basic dyes were composed of 2-20 mole % of disulfimide groups (AAr1SO2N(Z)SO2Ar2A or A2Ar3SO2N(Z)SO2R where Ar1 and Ar2 are the same or different bivalent aromatic groups composed of ≥1 condensed aromatic rings or of aromatic rings connected by -CH2-, -O-, -S-, or -SO2-groups; Ar3 is a trivalent aromatic group; R=C1-4 alkyl; Z is H or an alkali metal; A is -CONHor -NHCO-; and 80-98

mole % diamines and carboxylic dihalides. for example, m-nitrobenzenesulfonamide was treated with m-nitrobenzenesulfonyl chloride in caustic soda followed by catalytic hydrogenation to give sodium bis(m-aminophenyl)disulfimide (I). I 10.8, 3-(p-aminophenyl)-7-amino-2,4-(1H,3H)-quinazolinedione (II) 153, and isophthaloyl chloride 122 parts were polymerized and spun into fibers. The fibers were stretched, dried, and heat treated and were dyed with fibers of II-isophthaloyl chloride copolymer at 120° in a bath. The disulfidemodified fibers had extinction coefficient 1.8, while the unmodified fibers had coefficient 0.15.

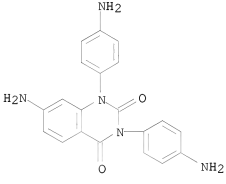
IT 34514-78-8
RL: USES (Uses)
(fiber, basically dyeable)

RN 34514-78-8 CAPLUS

CN Isophthaloyl chloride, polyamide with 7-amino-3-(p-aminophenyl)-2,4(1H,3H)-quinazolinedione and dimetanilamide monosodium salt (8CI) (CA INDEX NAME)

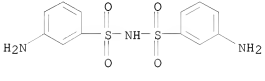
CM 1

CRN 47536-31-2
CMF C20 H17 N5 O2



CM 2

CRN 26133-31-3
CMF C12 H13 N3 O4 S2 . Na

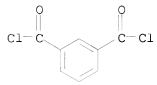


● Na

CM 3

CRN 99-63-8

CMF C8 H4 C12 O2

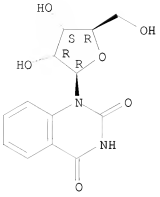


L4 ANSWER 173 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:76631 CAPLUS
DOCUMENT NUMBER: 74:76631
ORIGINAL REFERENCE NO.: 74:12447a,12450a
TITLE: Nucleosides and related compounds. VII. Alkylation and glycosylation of the silyl derivatives of 6-substituted uracils
AUTHOR(S): Wittenburg, E.
CORPORATE SOURCE: Univ. Rostock, Rostock, Fed. Rep. Ger.
SOURCE: Collection of Czechoslovak Chemical Communications (1971), 36(1), 246-61
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Treatment of powdered 6-methyluracil (I) with excess Br gave 5-bromo-6-methyluracil (II). I in 10% aqueous NaOH treated with iodine gave 5-iodo-6-methyluracil (III). Reaction of I-III, 5,6-dimethyluracil, orotic acid, Me orotate, Bu orotate, barbituric acid, and quinazoline-2,4-dione with Me3SiNHSiMe3 (occasionally in the presence of HCONMe2) gave the corresponding O-trimethylsilyl compds. 2,4-Bis(trimethylsilyloxy)-6-methylpyrimidine (IV), 2,4-bis(trimethylsilyloxy)-5,6-dimethylpyrimidine (V), and 2,4-bis(trimethylsilyloxy)quinazoline (VI) refluxed with MeI gave the corresponding 1-Me derivs. (1,6-dimethyluracil, 1,5,6-trimethyluracil, and 1-methyl-2,4-quinazolinedione). Glycosylation of V or VI with α-acetobromoglucose or 2,3,5-tri-O-benzoyl-D-ribofuranosyl chloride gave almost exclusively the N1-glycosides. IV gave a mixture of N1- and N3-glucosides and the N1,N3-diglucoside in the ratio 4:5:1, and a mixture of N1- and N3-ribosides and the N1,N3-diriboside (2:5:4). The trimethylsilyl compds. derived from the remaining above 6-substituted uracils did not react either with MeI or halogenoses.

IT 15135-21-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15135-21-4 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-β-D-ribofuranosyl- (CA INDEX NAME)

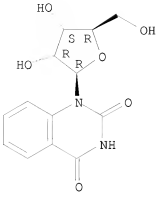
Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

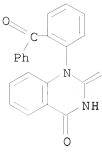
L4 ANSWER 174 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:76616 CAPLUS
DOCUMENT NUMBER: 74:76616
ORIGINAL REFERENCE NO.: 74:12443a,12446a
TITLE: Nuclear magnetic resonance determination of syn and anti conformations in pyrimidine nucleosides
AUTHOR(S): Schweizer, Martin P.; Witkowski, J. T.; Robins, Roland K.
CORPORATE SOURCE: ICN Nucleic Acid Res. Inst., Irvine, CA, USA
SOURCE: Journal of the American Chemical Society (1971), 93(1), 277-9
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 2-Oxo anisotropic effects on chemical shifts of D-ribose protons show that 6-methylcytidine (I) and 6-methyluridine are in the syn conformation in aqueous solns. 1-(β-D-Ribofuranosyl)quinazoline-2,4(1H,3H)-dione (II) is also in the syn conformation in (D3C)2SO. The deshielding at H-2' and H-3' is discussed. The possible van der Waals contact between the 6-Me and CH2OH groups prevents the anti conformation.
IT 15135-21-4
RL: PRP (Properties)
(nuclear magnetic resonance of, conformation of)
RN 15135-21-4 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

L4 ANSWER 175 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1969:413087 CAPLUS
DOCUMENT NUMBER: 71:13087
ORIGINAL REFERENCE NO.: 71:2399a,2402a
TITLE: Reactions of anthranilamide and o-aminoacetophenone with benzil and benzoin
AUTHOR(S): Moore, James A.; Sutherland, Graeme J.; Sowerby, Roger; Kelly, Edward G.; Palermo, Savatore; Webster, William
CORPORATE SOURCE: Univ. of Delaware, Newark, DE, USA
SOURCE: Journal of Organic Chemistry (1969), 34(4), 887-92
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 71:13087
GI For diagram(s), see printed CA Issue.
AB Attempts to obtain 7-membered cyclic products from the title reactions failed. A dihydroquinazolinone (I) was obtained from anthranilamide (II) and benzil; I rearranged to α,α-diphenyl-2-quinazolinone-methanol (III) in acid or base. Cyclodehydration of III gave an indoloquinazolinone. The only product characterized from the reaction of o-aminoacetophenone and benzil in base was an indogenide. The ketone from II and benzoin underwent cleavage with base to o-benzylaminobenzamide and related products.
IT 18963-86-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 18963-86-5 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(2-benzoylphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

L4 ANSWER 176 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1969:403072 CAPLUS
DOCUMENT NUMBER: 71:3072
ORIGINAL REFERENCE NO.: 71:557a,560a
TITLE: Addition of hydroxylated compounds to carbodiimides. Reactions of the resulting isoureas and ureas
AUTHOR(S): Moreno Manas, Marcial J.
CORPORATE SOURCE: Dep. Quim. Orq., C. S. I. C., Barcelona, Spain
SOURCE: Anales de Quimica (1968-1979) (1969), 55(2), 175-84 CODEN: ANQUBU; ISSN: 0365-4990
DOCUMENT TYPE: Journal
LANGUAGE: French

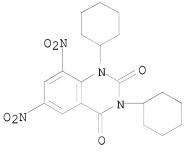
AB Reaction of 3,5-dinitrobenzoic acid (I) with dicyclohexylcarbodiimide (II) in benzene at reflux gave 100% RNHCONRR1 (III) (R = cyclohexyl, R1 = 3,5-dinitrobenzoyl) (IIIa), m. 168-175°. In MeCN at room temperature the product contained 40% IIIa and 49% 3,5-dinitrobenzoic acid anhydride (IV). BzOH and II in MeCN at room temperature gave 100% III (R = cyclohexyl, R1 = benzoyl). I with di-p-tolylcarbodiimide (V) in benzene at room temperature gave RNHC(:NR)OR1 (VI) (R = p-tolyl, R1 = 3,5-dinitrobenzoyl) (VIa), m. 283-6°, and IV. VIa did not react with carbinols to give esters, but at reflux temperature it reacted with BuOH to give Bu p-tolylcarbamate and 3,5-dinitro-p-benzotoluidide (VII). VIa with p-diethylbenzene at reflux gave VII and p-tolyl isocyanate. When mixed in equimolar amts. in benzene at room temperature, II reacted with picric acid, 2-methyl-4,6-dinitrophenol, and 2-carbethoxy-4,6-dinitrophenol (VIII) to give III (R = cyclohexyl, R1 = 2,4,6-trinitrophenyl), m. 208-10°; III (R = cyclohexyl, R1 = 4,6-dinitro-2-methylphenyl), m. 192-3°, and III (R = cyclohexyl, R1 = 2-carbethoxy-4,6-dinitrophenyl) (IIIb), m. 205-7°, resp. V reacted slower than II with VIII to give VI (R = p-tolyl, R1 = 2-carbethoxy-4,6-dinitrophenyl), decomposed on heating. Heating V and 2,4-dinitrophenol without solvent gave only p-tolyl(2,4-dinitrophenyl)amine and an unidentified product with ir bands at 1715 and 3430 cm.-1 m- and p-Dihydroxybenzenes did not react with II. With 4-nitro-1,2-dihydroxybenzene and II the product, m. 175-8°, was probably VI (R = cyclohexyl, R1 = o-hydroxyphenyl or 3-hydroxy-2-naphthyl). From IIIb was prepared 1,3-dicyclohexyl-6,8-dinitro-1,2,3,4-tetrahydro-2,4-quinazolinedione, m. 193-4°, according to a method described earlier (M. Allen, R. Y. Moir, 1963). Reaction of II with a mixture of diastereoisomeric alkoxides obtained by reaction of α-methyldeoxybenzoin (IX) with MeMgI gave, along with unreacted II and IX, the isourea ether of erythro-2,3-diphenyl-2-butanol, m. 100-1°, which on hydrolysis with KOH gave erythro-2,3-diphenyl-2-butanol. 2-Phenyl-2-butanol reacted with

V in the presence of CuCl and in the absence of solvent to give 15% mixture of trans-2-phenyl-2-butene and 2-phenyl-1-butene in the ratio 1.2:1; 20% VI (R = p-tolyl, R1 = 2-phenyl-2-butyl), m. 153-5°; N,N'-di-p-tolylurea, and an unidentified product (X), C15H16N2, m. 105-17°. The same reaction of 1,2-diphenyl-2-propanol and V in hexane at room temperature or at reflux gave a mixture of α-methylstyrene and 2,3-diphenyl-1-propene (in the ratio 1.1:1), N,N'-di-p-tolylurea, unreacted starting materials, X, and a small quantity of oil, which from its ir spectrum seemed to be the isourea ether. With cis-2,3-diphenyl-2-propen-1-ol and V the corresponding isourea ether, m. 151-5°, was obtained in poor yield.

IT 22557-76-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 22557-76-2 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1,3-dicyclohexyl-6,8-dinitro- (CA INDEX NAME)



L4 ANSWER 177 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1969:106815 CAPLUS

DOCUMENT NUMBER: 70:106815

ORIGINAL REFERENCE NO.: 70:19967a,19970a

TITLE: Polyazanaphthylene nucleosides. II. Synthesis of β-D-ribofuranosyl derivatives of 4-quinazolone

AUTHOR(S): Stout, Mason G.; Robins, Roland K.

CORPORATE SOURCE: Univ. of Utah, Salt Lake City, UT, USA

SOURCE: Journal of Heterocyclic Chemistry (1969), 6(1), 89-91
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

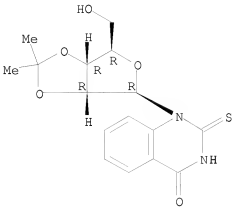
AB The preparation of N1-(2,3-O-isopropylidene-β-D-ribofuranosyl)-4-quinazolinone and N3-β-D-ribofuranosyl-4-quinazolinone are reported. The N3 derivative was prepared by the direct condensation of 4-trimethylsilyloxy-quinazoline and 2,3,5-tri-O-benzoyl-D-ribofuranosyl bromide. The N1 derivative was prepared from the previously reported N1-β-D-ribofuranosyl-2,4-quinazolinedione via the cyclonucleoside.

IT 23701-76-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23701-76-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3-O-isopropylidene-β-D-ribofuranosyl)-2-thio- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



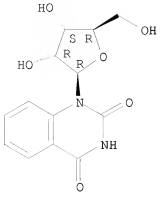
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 178 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1969:55153 CAPLUS
DOCUMENT NUMBER: 70:55153
ORIGINAL REFERENCE NO.: 70:10353a,10356a
TITLE: Antiviral activity of certain substituted purine and pyrimidine nucleosides
AUTHOR(S): Diwan, Arwin R.; Robins, Roland K.; Prusoff, William H.
CORPORATE SOURCE: Sch. of Med., Yale Univ., New Haven, CT, USA
SOURCE: Experientia (1969), 25(1), 98-100
CODEN: EXPEAM; ISSN: 0014-4754
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Certain derivs. of purine and pyrimidine nucleosides, 5'-amino-5'-deoxyadenosine (I), 5'-(methylsulfonylamino)-5'-deoxyadenosine (II), 5'-amino-2',5'-dideoxyadenosine (III), 6-methyluridine (IV), 6-methylcytidine (V), 1-(β-D-ribofuranosyl)-2,4-quinazolinedione (VI), and 4-amino-1-(β-D-ribofuranosyl)-2-quinazolone (VII) were tested for antiviral activity against herpes simplex virus in African green monkey kidney cells in vitro. II was least cytotoxic, requiring a concentration of 5 mM to produce occasional toxicity. With the exception of IV, no toxic effect was observed at 2.5 mM. Substitution of a methylsulfonyl group at the 5'-position of the 5'-deoxyribonucleoside increased antiviral activity. Replacement of the 2'-OH group of I produced III with not only increased antiviral activity but also increased cytotoxicity. Conversion of the pyrimidine moiety of IV and V to the corresponding quinazoline derivs., VI and VII did not significantly alter the antiviral activity.

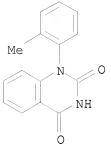
IT 15135-21-4
RL: BIOL (Biological study)
(viral inhibition by)
RN 15135-21-4 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.

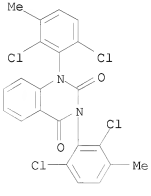


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 179 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1969:4028 CAPLUS
DOCUMENT NUMBER: 70:4028
ORIGINAL REFERENCE NO.: 70:753a,756a
TITLE: 1-Substituted quinazolinediones
AUTHOR(S): Somasekhara, S.; Dighe, V. S.; Mukherjee, S. L.
CORPORATE SOURCE: Sarabhai Res. Centre, Baroda, India
SOURCE: Current Science (1968), 37(18), 529-30
CODEN: CUSCAM; ISSN: 0011-3891
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB I were prepared in 40-60% yields by heating the corresponding N-substituted anthranilic acids with 8-10 equivs. urea for 4-5 hrs. at 200-50°. Thus, 4.5 g. N-o-tolylanthranilic acid and 12 g. urea were ground together to a fine powder, heated at 220° for 5 hrs., washed with 50 ml. hot water, and taken up in 40 ml. 2N NaOH solution, the alkaline extract was clarified with C and acidified with HCl to precipitate 1-o-tolyl-1,2,3,4-tetrahydroquinazoline-2,4-dione, m. 245-6°. Similarly the following I were prepared (R, R1, and m.p. given): Me, H, 263-4°; Et, H, 198-200°; Me, Cl, 296-9°; Et, Cl, 264-6°; PhCH2, H, 206-8°; 4-methoxyphenyl, H, 268-9°; 3-chlorophenyl, H, 220-1°; p-tolyl, H, 258-60°; 2-chlorophenyl, H, 280-1°; 2-methoxyphenyl, H, 247-9°; 3-chloro-o-tolyl, H, 274-6°.
IT 20865-82-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 20865-82-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(2-methylphenyl)- (CA INDEX NAME)



L4 ANSWER 180 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1968:105108 CAPLUS
DOCUMENT NUMBER: 68:105108
ORIGINAL REFERENCE NO.: 68:20291a,20294a
TITLE: Preparation and antiinflammatory properties of some 5-(2-anilinophenyl)tetrazoles
AUTHOR(S): Juby, Peter F.; Hudyma, T. W.; Brown, Morton
CORPORATE SOURCE: Bristol-Myers Co., Syracuse, NY, USA
SOURCE: Journal of Medicinal Chemistry (1968), 11(1), 111-16
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 68:105108
AB Tetrazole analogs of a series of known N-phenylanthranilic acid antiinflammatory agents were prepared Some of these 5-(2-anilinophenyl)tetrazoles showed antiinflammatory activity comparable to the corresponding carboxylic acids when tested orally in rats. 26 references.
IT 13625-29-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 13625-29-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1,3-bis(2,6-dichloro-3-methylphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)

L4 ANSWER 181 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1968:78544 CAPLUS

DOCUMENT NUMBER: 68:78544
ORIGINAL REFERENCE NO.: 68:15175a,15178a
TITLE: Synthesis of some quinazoline nucleosides
AUTHOR(S): Stout, Mason G.; Robins, Roland K.
CORPORATE SOURCE: Univ. of Utah, Salt Lake City, UT, USA
SOURCE: Journal of Organic Chemistry (1968), 33(3), 1219-25
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

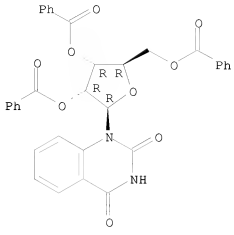
AB The synthesis of 1-(β-D-ribofuranosyl)-2,4-quinazolinedione (I) was accomplished in >80% yield by treatment of 2,4-bis(trimethylsilyloxy)quinazoline with 2,3,5-tri-O-benzoyl-D-ribofuranosyl bromide followed by removal of the Bz groups with methanolic NH3 or NaOMe. Proof of the β-D configuration was obtained by stepwise conversion of I into O2,5'-anhydro-1-(2',3'-O-isopropylidene-β-D-ribofuranosyl)-4-quinazolinone. The site of sugar attachment was established as N by methylation of I followed by acidic hydrolysis of the product to yield 3-methyl-2,4-quinazolinedione. This assignment was confirmed by uv and ir absorption data. Treatment of 1-(2,3,5-tri-O-benzoyl-β-D-ribofuranosyl)-2,4-quinazolinedione with P2S5 provided the 4-thio derivative which upon reaction with methanolic NH3 at 100° resulted in replacement of the 4-thio group with concomitant debenzoylation to yield 4-amino-1-(β-D-ribofuranosyl)-2-quinazolinone (II). I and II may be regarded as 5,6-benzouridine and 5,6-benzocytidine, resp., with a fused planar aromatic system. The possible biochem. significance of greater electron interaction in the stacking of heterocyclic bases is discussed. Using similar procedures, 1-(2-deoxy-β-D-ribofuranosyl)-2-quinazolinone and 4-amino-1-(2-deoxy-β-D-ribofuranosyl)-2-quinazolinone were also prepared I was successfully converted into O2,2-anhydro-1-(β-D-arabinofuranosyl)-4-quinazolinone which yielded 1-(β-D-arabinofuranosyl)-4-quinazolinedione (III) upon ring opening with dilute NaOH. Acetylation of III followed by thiation and treatment with methanolic NH3 gave 4-amino-1-(β-D-arabinofuranosyl)-2-quinazolinone. The reaction procedure for nucleoside formation in such good yields would indicate that this procedure might well be the method of choice for nucleoside synthesis with other unusual heterocyclic systems. 22 references.

IT 15135-20-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 15135-20-3 CAPLUS

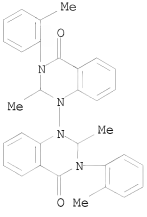
CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3,5-tri-O-benzoyl-β-D-ribofuranosyl)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

L4 ANSWER 182 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1967:407499 CAPLUS
DOCUMENT NUMBER: 67:7499
ORIGINAL REFERENCE NO.: 67:1391a,1394a
TITLE: Polarography of heterocycles. IV. Polarographic reduction of methaqualone (Dormutil)
AUTHOR(S): Pflegel, Peter; Wagner, Guenther
CORPORATE SOURCE: Karl-Marx-Univ., Leipzig, Fed. Rep. Ger.
SOURCE: Pharmazie (1967), 22(1), 60-1
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB cf. CA 65: 13250e. Methaqualone (2-methyl-3-(o-tolyl)-3,4-dihydro-4-(quinazolinone) (I) was reduced at the Hg dropping electrode between pH 1-7 by taking up 2 electrons/mol. Preparative electrolysis at a controlled potential in acetate buffer at pH 3.5 produced a mixture of 2 compds. which were separated by preparative chromatog. on silica gel plates. Compound I was 2-methyl-3-(o-tolyl)-1,2,3,4-tetrahydro-4-quinazolinone, m. 194.5-99° (EtOH). This compound can be synthesized by treating anthranilic acid-2'-methylanilide with paraldehyde and also by catalytic reduction of I with PtO2 as catalyst. Compound II was a dimer of I linked at the 1,1'-positions, m.p. 166-9° (decomposition) (AcOEt). Elucidation of structure was based partly on spectrophotometric data, which are detailed.
IT 16500-56-4P
RL: PREP (Preparation)
(preparation of)
RN 16500-56-4 CAPLUS
CN [1,1'(4H,4'H)-Biquinazoline]-4,4'-dione, 2,2',3,3'-tetrahydro-2,2'-dimethyl-3,3'-bis(2-methylphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 183 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1967:76029 CAPLUS
DOCUMENT NUMBER: 66:76029
ORIGINAL REFERENCE NO.: 66:14270h,14271a
TITLE: N-(2-Halo-lower alkanoyl)anthranilic acid derivatives
INVENTOR(S): Uskokovic, Milan; Wenner, Wilhelm
PATENT ASSIGNEE(S): Hoffmann-La Roche, Inc.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3291824		19661213	US 1963-270788	19620406

AB N-Phenyl-N-(2-halolower alkanoyl)anthranilic acids are described. For example, 11.2 g. ClCH2COCl was added to 20 g. 4-chloro-5-sulfamoylanthranilic acid (I) in 150 g. HCONMe2 (DMF), the reaction mixture stirred 2 hrs. at room temperature, and a large excess of cold H2O added to precipitate 4-chloro-N-chloroacetyl-5-sulfamoylanthranilic acid, m. 263-5° (aqueous Me2CO). A solution of 12 g. this product in 300 g. DMF was refluxed 1.5 hrs. and evaporated to dryness to give 8-chloro-7-sulfamoyl-4,1-benzoxapine-2,5(1H,3H)-dione (II), m. >310° (MeOH). To a solution of 12 g. I in 150 g. DMF, 21.5 g. 2-bromopropionyl bromide was added, the reaction mixture stirred 2 hrs. at room temperature, and a large excess of H2O added to precipitate N-(2-bromopropionyl)-4-chloro-5-sulfamoylanthranilic acid, m. 240-2° (AcOEt-hexane). A solution of 7 g. this compound in 300 cc. DMF was refluxed 1 hr. and evaporated to dryness to give dl-8-chloro-3-methyl-7-sulfamoyl-4,1- benzoxazepine - 2,5(1H,3H) - dione (III), m. >330° (MeOH). A suspension of 10 g. II in 1 l. MeOH was heated at 95° until solution was complete and then saturated with NH3. After standing overnight, the reaction mixture was evaporated to a small volume to give 7-chloro-3,4-dihydro-2-hydroxymethyl-4-oxo-6-quinazolinesulfonamide, m. 260° (decomposition) (MeOH). To a solution of 8.7 g. this compound in 400

cc. dry tetrahydrofuran, 1.1 g. NaBH4 was added in small portions, followed by 4 g. AlCl3 in 120 cc. tetrahydrofuran. After the complete evolution of H, the mixture was refluxed 2 hrs. and kept overnight. After the slow addition of 120 cc. H2O, and enough N HCl to make the solution acidic, the solvent was distilled to yield dl-7-chloro-2-hydroxymethyl-4- oxo - 1,2,3,4 - tetrahydro - 6 - quinazolinesulfonamide, m. 240-5° (decomposition). A suspension of 10 g. II in 1 l. MeOH was heated at 95° until solution was complete and the reaction mixture saturated with NH2Me, kept overnight, and evaporated to a small volume to yield 7-chloro-3,4-dihydro-2-hydroxymethyl-3-methyl-4-oxo-6-quinazolinesulfonamide, m. 218-20° (MeOH). This compound (2.3 g.) was added to 1.09 g. AlCl3 in 350 cc. dry ethylene glycol dimethyl ether followed by the addition of 1.4 g. NaBH4. This reaction mixture was stirred at room temperature 1 hr. and 1 hr. at 85°. After cooling, 40 cc. H2O was added slowly and then dilute HCl until solution resulted. This solution was evaporated to dryness and the residue dissolved in H2O to precipitate dl-7-chloro-2-hydroxymethyl-3-methyl-4-oxo-1,2,3,4-tetrahydro-6-quinazolinesulfonamide, m. 235.0-7.5° (Me2CO-hexane). A suspension of 7.5 g. III in 500 cc. MeOH was heated at 95° until solution was complete and the solution saturated with NH2Me, kept overnight, and concentrated in vacuo to yield 7-chloro-3,4-dihydro-2-(1-hydroxyethyl)-3-methyl-4-oxo-6-quinazolinesulfonamide, m. 230-2° (Me2CO). This compound is useful as a diuretic and as a hypotensive. Also, 2.4 g. this compound was added to a cooled solution of 1.03 g. AlCl3 in 250 cc. dry ethylene glycol dimethyl ether followed by the addition of 1.4 g. NaBH4. The reaction mixture was stirred 1 hr. at room temperature and 1 hr. at 85° and cooled, 40 cc. H2O was added slowly and enough dilute HCl added to make a clear acid solution This solution was evaporated to dryness and the residue chromatographed on Al2O3.

The fraction with MeOH-C6H6 (1:9) gave dl-7-chloro-2-(1-hydroxyethyl)-3-methyl-4-oxo-1,2,3,4-tetrahydro- 6 - quinazolinesulfonamide, m. 250.0-1.5°. Also, a suspension of 5 g. of III in 500 cc. of MeOH was heated at 95° until solution resulted, the solution saturated with NH3, kept overnight, and evaporated to dryness, and the solid residue chromatographed on Al2O3; the fraction eluted with MeOH-C6H6 (1:9) yielded 7-chloro-3,4-dihydro-2-(1-hydroxyethyl)-4-oxo-6-quinazolinesulfonamide, m. 242-4° (MeOH). AcOEt-C6H6 (1:9) fractions yielded Me 4-chloro-N-(2-hydroxypropionyl)-5-sulfamoylanthranilate, m. 263.5-5.0 (Me2CO-petr. ether). Treatment of this compound with NH2Me in MeOH solution gave 7-chloro-3,4-dihydro-2-(1-hydroxyethyl)-3-methyl-4-oxo-6-quinazolinesulfonamide. Also, using NH3 in MeOH, 7-chloro-3,4-dihydro-2-(1-hydroxyethyl)-4-oxo - 6 - quinazolinesulfonamide was formed. To a solution of 14 g. anthranilic acid and 9 cc. pyridine in 2 l. dry Et2O, 12 g. ClCH2COCl dissolved in 200 cc. Et2O was added dropwise at 0°, the reaction mixture stirred 1 hr. at room temperature after the addition was complete, and a saturated solution of HCl in Et2O added to complete the precipitation of pyridine-HCl. This was filtered off, washed with Et2O, and the Et2O evaporated to yield N-chloroacetylanthranilic acid (IV), m. 183-7° (50% aqueous AcOH). Also, 17.2 g. 5-chloroanthranilic acid (V) was similarly treated with 11.5 g. ClCH2COCl to give N-chloroacetyl-5-chloroanthranilic acid (VI), m. 215.0-16.5° (50% aqueous AcOH). A solution of 5 g. IV in 150 cc. DMF was refluxed 7 hrs. in an oil bath and cooled, a large excess of H2O added, a small precipitate filtered off, the filtrate evaporated to dryness, the residue crystallized from Me2CO, the crystals filtered off, and the mother

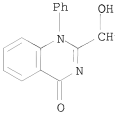
liquor evaporated to dryness to give 4,1-benzoxazepine-2,5(1H,3H)-dione (VII), m. 200-1° (CH2Cl2). A solution of 4 g. VI in 60 cc. HCONMe2 was refluxed 30 min. and cooled and a large excess H2O added to precipitate 7-chloro-4,1-benzoxazepine-2,5(1H,3H)-dione, m. >225° (Me2CO). VII was similarly prepared using 19.3 g. N-bromoacetylanthranilic acid in 500 g. DMF by refluxing 4.5 hrs. and evaporating to dryness. The residue was dissolved in CH2Cl2, the solution shaken with H2O, then a 10% solution of NaHCO3, finally H2O, dried, and evaporated to dryness to yield VII, m. 200-1° (CH2Cl2). A hot solution of 5.5 g. VII in 1000 g. MeOH was saturated with NH3, kept at room temperature several days, and evaporated to a small volume to give 2-hydroxymethyl-4(3H)-quinazoline, decomposed slowly >214° (MeOH). A hot solution of VII in 300 cc. MeOH was saturated with NH2Me and kept overnight, the solvent evaporated, the residue dissolved in CH2Cl2, and the solution filtered and evaporated to give 2-hydroxymethyl-3-methyl-4(3H)-quinazolinone, m. 153-4° (Me2CO). To a solution of 17.1 g. V in 200 g. DMF at 0° was added 25.9 g. α-bromopropionyl bromide and the reaction mixture stirred 2 hrs. and poured into excess cold H2O to precipitate N-(α-bromopropionyl)-5-chloroanthranilic acid, m. 193-4° (CH2Cl2). A solution of 15.3 g. this compound in 500 cc. DMF was refluxed 2 hrs. and DMF distilled to yield dl-7-chloro-3-methyl-4,1-benzoxazepine-2,5(1H,3H)-dione, m. 242-4° (MeOH). A hot suspension of 2 g. 7-chloro-3-methyl-4,1-benzoxazepine-2,5(1H,3H)-dione in 300 g. MeOH was saturated with NH2Me, kept several days at room temperature, and evaporated to dryness to yield dl-6-chloro-2-(1-hydroxyethyl)-3-methyl-4(3H)-quinazoline (VIII), m. 123.0-5.5° (Me2CO). A solution of 4 g. N-bromopropionylanthranilic acid in 300 g. DMF was refluxed 3 hrs. and evaporated, the residue dissolved in CH2Cl2, and the solution shaken with H2O, a 10% solution of NaHCO3, finally with H2O, dried, and evaporated to dryness to yield dl-3-methyl-4,1-benzoxazepine-2,5(1H,3H)-dione, m. 194.0-6.5° (C6H6-Et2O). A solution of 9 g. this compound in 1000 g. MeOH was saturated with NH3, kept 1 week at room temperature, and evaporated to dryness to give dl-2-(1-hydroxyethyl)-4(3H)-quinazolinone, m. 190-1° (Me2CO). This compound is a chloretic. A solution of 8.5 g. VIII in 500 g. MeOH was saturated with NH2Me, kept at room temperature overnight, and evaporated to dryness to yield N-(2-hydroxypropionyl)anthranilic acid N-methylamide, m. 166-8° (Me2CO). Four grams this compound was heated 1 hr. in vacuo at 180° to give 2-(1-hydroxyethyl)-3-methyl-4(3H)-quinazolinone, m. 63.5-5.5° (H2O). A suspension of 8 g. 7-chloro-4,1-benzoxazepine-2,5(1H,3H)-dione in 1000 g. MeOH was saturated with NH3, kept 1 week at room temperature, and evaporated to dryness to give 6-chloro-2-hydroxymethyl-4(3H)-quinazolinone, m. 250° (decomposition) (MeOH). 6-Chloro-2-hydroxymethyl-3-methyl-4(3H)-quinazolinone, m. 163-6° (H2O), was similarly prepared using NH2Me. 7-Chloro-3-methyl-4,1-benzoxazepine-2,5(1H,3H)-dione was similarly converted to dl-6-chloro-2-(1-hydroxyethyl)-4(3H)-quinazolinone, m. 215.0-15.5° (H2O). To a solution of 15.1 g. N-methylanthranilic acid in 100 cc. DMF at 0° was added 13.4 g. ClCH2COCl, the reaction mixture stirred 2 hrs., a large excess of H2O added, the resultant suspension extracted with CH2Cl2, the extract washed with H2O, dried, and evaporated in vacuo, the residue dissolved in 600 cc. DMF, and the solution refluxed 4.5 hrs. and worked up as before and recrystd. from MeOH to give 1-methyl-4,1-benzoxazepine-2,5(1H,3H)-dione. A suspension of this compound in 1000 cc. MeOH was saturated with NH3 at room temperature and the solution kept 1

week and evaporated in vacuo to give 2-hydroxymethyl-1-methyl-4(1H)-quinazolinone, m. 178-80° (MeOH). To a solution of 9.2 g. 5-chloro-N-methylanthranilic acid in 50 cc. DMF at 0°, 6 g. ClCH2COCl was added and the reaction mixture stirred 2 hrs. and worked up as before to give 7-chloro-1-methyl-4,1-benzoxazepine-2,5(1H,3H)-dione, m. 147-9° (MeOH). This compound was a chloretic. This compound, when treated with 500 cc. methanolic NH3 at room temperature 1 week, gave a precipitate which was collected, boiled in MeOH, and filtered to give 6-chloro-2-hydroxymethyl-1-methyl-4(1H)-quinazolinone, gradually m. >205°. A stirred solution of 15.1 g. N-methylanthranilic acid and 9.6 g. pyridine in 1 l. dry Et2O was cooled to 0°, a solution of 25.9 g. α-bromopropionyl bromide added dropwise, the reaction mixture stirred an addnl. 2 hrs., Et2O saturated with HCl added until precipitation no longer occured, the pyridine-HCl filtered off, and the filtrate evaporated to dryness. The non-crystalline residue, N-methyl-N-(α-bromopropionyl)anthranilic acid, in 1 l. DMF was refluxed 4 hrs. and worked up to give dl-1,3-dimethyl-4,1-benzoxazepine-2,5(1H,3H)-dione, m. 143-4° (MeOH). This was converted to dl-2-(hydroxyethyl)-1-methyl-4(1H)-quinazolinone, m. 155-7°, using 500 cc. MeOH saturated with NH3 as described. To a stirred solution of 7.4 g. 5-chloro-N-methylanthranilic acid in 25 cc. DMF at 0°, 10.6 g. bromopropionyl bromide was added and the resultant mixture stirred 3 hrs., poured into a large excess of H2O, and extracted with CH2Cl2 to give non-crystalline N-methyl-N-(α-bromopropionyl)-5-chloroanthranilic acid, which was dissolved in 300 cc. DMF and worked up as before to give dl-7-chloro-1,3-dimethyl-4,1-benzoxazepine-2,5(1H,3H)-dione, m. 126-8° (MeOH). This was converted with 750 cc. MeOH saturated with NH3 to dl-6-chloro-2-(1-hydroxyethyl)-1-methyl-4(1H)-quinazolinone, m. 175.5-7.5°. Similarly prepared were: 4-chloro-N-chloroacetyl-N-methylanthranilic acid, m. 162-5° (CH2Cl2-hexane); 8-chloro-1-methyl-4,1-benzoxazepine-1,5(1H,3H)-dione, m. 216-18° (MeOH); 7-chloro-2-hydroxymethyl-4-(1A)-quinazolinone, m. >225° (CH2Cl2-hexane); N-chloroacetyl-N-phenylanthranilic acid, m. 183-4° (EtOH); 1-phenyl-4,1-benzoxazepine-2,5(1H,3H)-dione, m. 136-8° (MeOH); 2-hydroxymethyl-1-phenyl-4(1H)-quinazolinone, m. 203-8°; 3-methyl-1-phenyl-4,1-benzoxazepine-2,5-(1H,3H)-dione, m. 186.0-7.5° (MeOH); 2-(1-hydroxyethyl)-1-phenyl-4(1H)-quinazolinone, m. 169-70°; 3-amino-7-chloro-3,4-dihydro-2-(1-hydroxyethyl)-4-oxo-6-quinazolinesulfonamide, m. 255.5-6.5° (MeOH); 3-amino-2-(1-hydroxyethyl)-4(3H)-quinazolinone, m. 108-10° (CH2Cl2-hexane).

IT 3605-95-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 3605-95-6 CAPLUS

CN 4(1H)-Quinazolinone, 2-(1-hydroxyethyl)-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

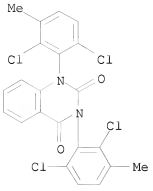
L4 ANSWER 184 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1967:65475 CAPLUS
DOCUMENT NUMBER: 66:65475
ORIGINAL REFERENCE NO.: 66:12311a,12314a
TITLE: 2-(5-Tetrazolyl)-N-arylanilines
INVENTOR(S): Juby, Peter F.
PATENT ASSIGNEE(S): Bristol-Myers Co.
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3294813		19661227	US 1966-546546	19650412

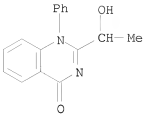
GI For diagram(s), see printed CA Issue.

AB The title compds. (I) are prepared from anthranilic acids by way of amides and nitriles. Thus, a mixture of 47.8 g. K o-bromobenzoate, 32.4 g. 2,6-dichloroaniline, and 4.2 g. CaH2 in 100 ml. diethylene glycol dimethyl ether was heated under N to 85°, treated with 1.5 g. CuBr2, kept 2.25 hrs. at 160°, cooled, treated with 100 ml. H2O followed by 500 ml. 2N NaOH, filtered, charcoaled, and acidified with concentrated HCl to give 8.5 g. N-(2,6-dichlorophenyl)-anthranilic acid (II), m. 218-20° (MeOH). Treatment of II with SOCl2 and NH4OH gave 2-(2,6-dichloroanilino)benzamide (III), m. 140-2° (C6H6). III was dehydrated by POCl3 to give 2-cyano-N-(2,6-dichlorophenyl)aniline (IV), m. 103-4° (hexane). A mixture of 4.5 g. IV, 1.37 g. NaN3, and 1.12 g. NH4Cl in HCO-NMe2 was stirred at 130° 24 hrs. and taken to dryness, the residue treated with 100 ml. H2O followed by sufficient 5% NaOH for complete solution, and the solution worked up and acidified to give 3.3 g. N-(2,6-dichlorophenyl)-2-(5-tetrazolyl)aniline, m. 187.5-9.5° (aqueous MeOH). Other I prepared were (Ar and m.p. given): 3-F3CC6H4, 205-7°; 2,3-Me2C6H3, 203.5-5.5°; 2,6-Cl2-3-MeC6H2, 207-8.5° (decomposition); 3-ClC6H4, 207-8°; 4-ClC6H4, 238-9°; 2,4-Cl2C6H3, 252.5-3.5° (decomposition); 2,6-Cl2C6H3, 192-3°; 3-Cl-4-MeC6H3, 218.5-20°; 2,3-Cl2C6H3, 202-3°; 3,5-Cl2C6H3, 211.5-13°; 2-Cl-5-F3CC6H3, 228-9°. I are antiinflammatory agents and are orally active against carrageenin induced edema in the rat's paw.

IT 13625-29-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 13625-29-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1,3-bis(2,6-dichloro-3-methylphenyl)- (CA INDEX NAME)



L4 ANSWER 185 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:488909 CAPLUS
DOCUMENT NUMBER: 63:88909
ORIGINAL REFERENCE NO.: 63:16346f-h
TITLE: 1-Phenyl-2-(α-hydroxyalkyl)-4(1H)-quinazolinones
AUTHOR(S): Iacobelli, J.; Uskokovic, M.; Wenner, W.
CORPORATE SOURCE: Hoffmann-La Roche Inc., Nutley, NJ
SOURCE: Journal of Heterocyclic Chemistry (1965), 2(3), 323-5
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 63:88909
GI For diagram(s), see printed CA Issue.
AB o-PhNHC6H4CO2H (I) (21.3 g.) in 1.5 l. dry Et2O stirred at 0°with 9.6 g. C5H5N and then treated dropwise with 13.4 g. ClCH2-COCl yielded quant. the N-Ac derivative (II) of I, m. 183-4° (EtOH). II (33 g.) in 1 l. HCONMe2 refluxed 4 hrs. gave 9.3 g. III (R = H) (IV), m. 136-8° (MeOH). IV (12.6 g.) in 500 cc. MeOH treated 3 hrs. at 40-50° with dry NH3 and kept 3 days at room temperature yielded a mixture of mainly o-PhNHC6H4CONH2 with some V (R = H) (VI) which crystallized from EtOH yielded 300 mg. VI, m. 203-8°. I (42.6 g.) in 3 l. dry Et2O and 19.2 g. C5H5N treated dropwise with stirring at 0° with 51.8 g. MeCHBrCOBr and saturated with dry HCl, and the product refluxed 4 hrs. in 1 l. HCON-Me2 gave 39.5 g. III (R = Me) (VII), m. 186-7.5° (MeOH). VII (13.4 g.) in 500 cc. MeOH treated at 40-50° with dry NH3 and kept 4 days at room temperature yielded 5.2 g. V (R = Me), m. 169-70° (H2O). Me ester (48 g.) of I in 2 l. Et2O treated successively with stirring at 0° with 17 cc. C5H5N and 43 g. BrCH2COBr in 100 cc. dry Et2O, and the resulting sirupy product dissolved in 2 l. MeOH, saturated with dry NH3, and kept 48 hrs. at room temperature gave 23 g. VIII, m. 221-4° (CH2Cl2-Et2O).
IT 3605-95-6P, 4(1H)-Quinazolinone, 2-(1-hydroxyethyl)-1-phenyl-
RL: PREP (Preparation)
(preparation of)
RN 3605-95-6 CAPLUS
CN 4(1H)-Quinazolinone, 2-(1-hydroxyethyl)-1-phenyl- (CA INDEX NAME)



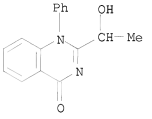
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 186 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:480707 CAPLUS
DOCUMENT NUMBER: 63:80707
ORIGINAL REFERENCE NO.: 63:14881d-f
TITLE: Quinazolone derivatives
INVENTOR(S): Uskokovic, Milan; Wenner, Wilhelm
PATENT ASSIGNEE(S): F. Hoffmann-La Roche & Co., A.-G.
SOURCE: 19 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

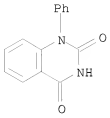
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1395918		19650416	FR	
BE 646084			BE	
BE 646085			BE	
DE 1224317			DE	
FR M3294			FR	
GB 1016526			GB	
GB 1017264			GB	
PRIORITY APPLN. INFO.:			US	19630405
OTHER SOURCE(S):	MARPAT 63:80707			

GI For diagram(s), see printed CA Issue.
AB Compds. of the general formula I (R1 = H or lower alkyl, R2 = H or halogen, R3 = H, halogen, or SO2NH2; R4 = lower alkyl, phenyl, lower alkylphenyl) are used to prepare quinazolone derivs. of the general formula II (R1, R2, R3, R4 have the same significance as above). Thus, to a mixture of 15.19 g. N-methylanthranilic acid and 100 cc. HCONMe2 at 0°, is added 13.4 g. ClCH2COCl, the mixture stirred 2 hrs., large excess of H2O added, the suspension extracted with CH2Cl2, washed (H2O), dried (Na2SO4), evaporated in vacuo, the residue dissolved in 600 cc. HCONMe2, refluxed, evaporated in vacuo, the residue again dissolved in 500 cc. HCONMe2, evaporated and crystallized (MeOH) to yield 1-methyl-4,1-benzoxazepine-2,5(1H,3H)-dione (III). III (16 g.) was suspended in 1000 cc. MeOH, saturated with NH3, kept at ambient temperature for 1 week, evaporated in vacuo, and the residue recrystd. (MeOH) to give II (R4 = Me, R1 = H, R2 = R3 = H), m. 178-80°. Other II are similarly prepared and their melting points are given: II (R3 = Cl, R1 = R4 = R2 = H), 205°; II (R4 = Me, R1 = CH3, R2 = R3 = H), 126-8°; II (R2 = Cl, R1 = R3 = H, R4 = Me), 225°; II (R1 = H, R4 = C6H5, R2 = R3 = H), 203-8°; II (R1 = CH3, R4 = C6H5, R2 = R3 = H), 169-70°.
IT 3605-95-6P, 4(1H)-Quinazolinone, 2-(1-hydroxyethyl)-1-phenyl-
RL: PREP (Preparation)

(preparation of)
RN 3605-95-6 CAPLUS
CN 4(1H)-Quinazolinone, 2-(1-hydroxyethyl)-1-phenyl- (CA INDEX NAME)



L4 ANSWER 187 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:462612 CAPLUS
DOCUMENT NUMBER: 63:62612
ORIGINAL REFERENCE NO.: 63:11402c-d
TITLE: Improved synthesis of N,N-diarylureas
AUTHOR(S): Durant, G. J.
CORPORATE SOURCE: Smith Kline & French Labs. Ltd., Welwyn Garden City, UK
SOURCE: Chemistry & Industry (London, United Kingdom) (1965), (32), 1428-9
CODEN: CHINAG; ISSN: 0009-3068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 63:62612
AB A suspension of 6.5 g. Na cyanate in a warm C6H6 solution of 10.97 g. N-phenyl-1-naphthylamine was stirred during the gradual addition of 7.75 ml. trifluoroacetic acid. A moderate exothermic reaction took place and after stirring for an addnl. 2 hrs. 15 ml. H2O was added to give 10.8 g. RR'NCONH2 (I) (R = Ph, R' = 2-naphthyl). Similarly were prepared the following I (R, R', % yield, and m.p. given): Ph, Ph, 80, 191-2°; Ph, 1-naphthyl, 67, 180-1.5°; 2-naphthyl, 2-naphthyl, 73, 189-90.5deg;; Ph, H, 54, 143-6°; 2,6-Br2C6H3, H, 77, >360°; 2-HO2CC6H4, H, 83, 175-6°; PhCH2, H, 58, 147-9°; PhCH2, PhCH2, 30, 121-5°. Reaction of methyl N-phenylanthranilate with Na cyanate and trifluoroacetic acid yielded 1-phenyl-1,2,3,4-tetrahydroquinazoline-2,4-dione, m. 306-9°. The reactions of various heterocyclic bases with Na cyanate and trifluoroacetic acid were also investigated. Products were characterized by ir spectroscopy and purity confirmed by thin-layer chromatography and elemental analysis.
IT 3282-28-8P, 2,4(1H,3H)-Quinazolinedione, 1-phenyl-
RL: PREP (Preparation)
(preparation of)
RN 3282-28-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)

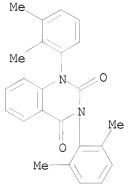


L4 ANSWER 188 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:423901 CAPLUS
DOCUMENT NUMBER: 63:23901
ORIGINAL REFERENCE NO.: 63:4209d-g
TITLE: Preparation of N-(2,3-dimethylphenyl)anthranilic acid and its salts
INVENTOR(S): Scherrer, Robert A.
PATENT ASSIGNEE(S): Parke, Davis & Co.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

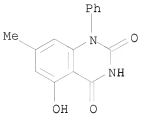
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1190951		19650415	DE	
NL 292083			NL	
PRIORITY APPLN. INFO.:			CA	19620918

GI For diagram(s), see printed CA Issue.
AB The title compound (I) was prepared by hydrolysis of II, III, IV, and V with excess alkaline reagent. The starting materials were prepared by introducing 1 or 2 2,3-dimethylphenoxy groups in a quinazoline or dibenzodiazocine nucleus and carrying out a thermal rearrangement of the 2,3-dimethylphenyl groups to an adjoining N atom. 2,4-Dichloroquinazoline (18 g.) was added to Na 2,3-dimethylphenolate (from 24 g. 2,3-dimethylphenol and 9.6 g. 55% NaH) in 90 ml. diethylene glycol dimethyl ether. After the exothermic reaction had ceased, the mixture was refluxed 5 hrs. to give 2,4-bis(2,3-dimethylphenoxy)quinazoline (VI), m. 177-8° (aqueous ethanol). VI (8.9 g.) was heated to 320-33° in a N atmospheric for 3 hrs. to yield 1,3-bis(2,3-dimethylphenyl)-2,4(1H,3H)-quinazolinedione, which was refluxed with 37 g. 50% NaOH in 100 ml. ethanol for 10 hrs. to give I, m. 229-30°. The following intermediates were similarly prepared (m.p. given): 2-(2,3-dimethylphenyl)-4(3H)-quinazoline, 272-3°; 1-(2,3-dimethylphenyl)-2,4(1H,3H)-quinazolinedione, 270°; 2-chloro-4-pyrrolidinylquinazoline, 172°; 4-pyrrolidinyl-2-(2,3-dimethylphenyl)quinazoline, 125°; 5,12-bis(2,3-dimethylphenyl)dibenzo[b,f][1,5]diazocine, 210-15°; N-(2,3-dimethylphenyl)isatoic anhydride, 197-8°; 2-(2,3-dimethylphenyl)-4-carbostyril, 194-5°; N-(2,3-dimethylphenyl)isatin, 188°; 2-hydroxymethyl-2',3'-dimethyldiphenylamine, 65-7°; N-(2,3-dimethylphenyl)-1,2-dihydro-4H-3,1-benzoxazine, 61-3°; N-(2,3-dimethylphenyl)-1,2-dihydro-4H-3,1-benzoxazine-4-on, 82-3°. The sodium salt of I was prepared by dissolving I in ethanol, adding the equivalent amount of aqueous or ethanolic NaOH and concentrating the mixture in vacuo. I and its salts are effective as analgesics and in the treatment of

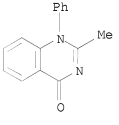
inflammations.
IT 101956-79-0
RL: PREP (Preparation)
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 101956-79-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3-dimethylphenyl)-3-(2,6-dimethylphenyl)-
(CA INDEX NAME)



L4 ANSWER 189 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:416785 CAPLUS
DOCUMENT NUMBER: 63:16785
ORIGINAL REFERENCE NO.: 63:2947a-b
TITLE: Formation of a γ-pyrone ring in the reaction of diketene with urea derivatives
AUTHOR(S): Gunar, V. I.; Zav'yalov, S. I.
CORPORATE SOURCE: Inst. Heteroorg. Compds., Moscow
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1965), (4), 747-8
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB PhNHCONH2 and diketene in pyridine 2 days at 60-5° gave 42% 2,6-dimethylpyronecarboxylic acid 1-phenylureide (I), m. 205-6°; the filtrate after evaporating and heating 6 hrs. with AcOH gave 33% 1-phenyl-5-methyluracil. PhNHCONHCOCH2Ac and diketene in pyridine in 3 hrs. gave 28% I. I in 20% NaOH, then acidified, gave 1-phenyl-6-methyl-5-acetoacetyluracil, m. 157-8° (2,4-dinitrophenylhydrazone m. 217-19°). This refluxed 4 hrs. with aqueous HCl gave 21% II, m. 300-2°, and 32% 1-phenyl-6-methyluracil, m. 272-4°. SC(NH2)2 in pyridine gave with diketene at 60° 31% 2,6-dimethylpyronecarboxylic acid thioureide, decomposed 225-7°.
IT 1520-75-8P, 2,4(1H,3H)-Quinazolinedione, 5-hydroxy-7-methyl-1-phenyl-
RL: PREP (Preparation)
(preparation of)
RN 1520-75-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 5-hydroxy-7-methyl-1-phenyl- (CA INDEX NAME)



L4 ANSWER 190 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:82546 CAPLUS
DOCUMENT NUMBER: 62:82546
ORIGINAL REFERENCE NO.: 62:14669g-h
TITLE: The synthesis of 1,2-disubstituted 4-quinazolinones and related thiones
AUTHOR(S): Blatter, Herbert M.; Lukaszewski, Halina; de Stevens, George
CORPORATE SOURCE: CIBA Pharm. Co., Summit, NJ
SOURCE: Organic Chemistry (1965), 30(4), 1020-7
CODEN: OCSMBP; ISSN: 0078-611X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 62:82546
AB The Chapman rearrangement (imido esters to substituted amides) is applied to the synthesis of 1,2-disubstituted 4-quinazolinones. Addnl., the structure of the unusual acytation product of 2-methyl-1-phenyl-4-quinazoline is elucidated. The spectral characteristics of these compds. are discussed.
IT 1086-20-0P, 4(1H)-Quinazolinone, 2-methyl-1-phenyl-
RL: PREP (Preparation)
(preparation of)
RN 1086-20-0 CAPLUS
CN 4(1H)-Quinazolinone, 2-methyl-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 191 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:435623 CAPLUS
DOCUMENT NUMBER: 59:35623
ORIGINAL REFERENCE NO.: 59:6404h,6405a-e
TITLE: Studies on quinazoline-2,4-diones
AUTHOR(S): Das, B.; Mukherjee, R.
CORPORATE SOURCE: Univ. Coll. Sci. Technol., Calcutta
SOURCE: Journal of the Indian Chemical Society (1963), 40(1), 35-8

CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

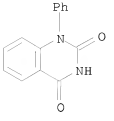
GI For diagram(s), see printed CA Issue.

AB A naturally occurring quinazoline base gave on oxidative degradation a compound, among others, which appeared to be 1-phenyl-7-hydroxyquinazoline-2,4-dione (I). Attempts to synthesize I failed: the syntheses of five quinazoline-2,4-diones with unsubstituted phenyl nuclei are given. Apparently the phenolic OH in position 7 of I hindered the desired cyclization. Quinazoline-2,4-dione (II), m. 354°, λ218 mμ (4.69) (log ε values in parentheses) and 311 mμ (3.70); ν 3148, 3040, 1700, 1665, 1615, 1509, 759 cm.-1, was made by the method of Lange and Sheibley (Organic Syntheses, Collective volume II, 79(1947)). N-Methylquinazoline-2,4-dione (III), m. 265°, λ 220 mμ (4.64) and 313 mμ (3.63), ν3150, 3040, 1710, 1665, 1610, 1507, 760 cm.-1, was made by the method of Wang and Christensen (CA 43, 6633h). N1N3-Dimethylquinazoline-2,4-dione (IV), m. 165°, λ 220 mμ (4.70) and 312 mμ (3.63), ν 1700, 1660, 1615, 1500, 757 cm.-1, was made (85% yield) by refluxing 1 g. III in suspension in 10 ml. 2.8% MeOH in NaOH with 0.5 ml. MeI for 3 hrs. After evaporation of the MeOH, dilution with H2O, and filtering, the solid was washed (H2O), dried, and crystallized (EtOH). An 80% yield of N-phenylquinazoline-2,4-dione (V), m. 301-2°, λ 219 mμ (4.67) and 313 mμ (3.66), ν 3140, 1710, 1690, 1610, 1502, 760 cm.-1, was made by heating 4 g. Et N-phenylanthranilate and 4.5 g. NH2CO2Et in an oil bath at 180-200° for 2 hrs., then at 200-220° for 1 hr. The solid was washed with boiling H2O, dissolved in hot concentrated NaOH, and filtered. The clear filtrate acidified with H2SO4 gave a white precipitate, which crystallized from boiling EtOH in flakes. An 85% yield of N1-phenyl-N3methylquinazoline-2,4-dione (VI), m. 234°, λ 219 mμ (4.70) and 313 mμ (3.66), ν 1707, 1668, 1610, 1500, 760 cm.-1, was obtained from V by the same procedure used in the preparation of IV from III. The synthesis of V and of VI has not been reported before. All of these compds. showed marked insoly. in the usual organic solvents, with solubility increased somewhat by alkyl groups on the N atoms. The infrared data, studied in Nujol mull and KBr pellets, are in better agreement with those of Culbertson, et al. (CA 47, 1493b) than with those of Randall, et al. (Infrared Determination of Organic Structures, Van Nostrand Co., Inc., 1949). The peaks at 1658 to 1668, and 1700 to 1715 cm.-1 for the carbonyl functions were fairly strong for all. A little shift was observed in the position of the carbonyl band at C4 for II, III, and V, which seems to be due to H bonding with H at N3. The absorptions for the double bonds between 1490 and 1508, and between 1595 and 1610 cm.-1 were very weak in each. At longer wave lengths, a sharp band, characteristic of the ortho-substituted phenyl, is seen between 758 and 765 cm.-1, in agreement with Whiffen and Thompson (CA 39, 4548°6) and Culbertson, et al. (loc. cit.). The band at 1575 to 1585 cm.-1 for conjugated phenyl rings was missing in each. The ultraviolet spectra were examined in spectral alc. Two distinct peaks were seen in the regions of 217-219 mμ and 312-315 mμ, with a shoulder near 240-245 mμ. The λ values were the same in acid (0.05N HCl) and alkali (0.05N NaOH). The ultraviolet curves are shown.

IT 3282-28-8P, 2,4(1H,3H)-Quinazolinedione, 1-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 3282-28-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)



OS.CITING REF CONUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 192 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1959:23065 CAPLUS
DOCUMENT NUMBER: 53:23065
ORIGINAL REFERENCE NO.: 53:4196i,4197a-i,4198a-i
TITLE: N-Hydroxydicarboxylic acid imides and their O-sulfonyl derivatives. A new class of fungicides
AUTHOR(S): Kuhle, Engelbert; Wegler, Richard
CORPORATE SOURCE: Farbenfabrik. Bayer Akt.-Ges., Leverkusen, Germany
SOURCE: Justus Liebigs Annalen der Chemie (1958), 616, 183-206
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB The literature dealing with the structure of Cohn's phthaloxime (I) [Ann. 205, 295, (1880)] is reviewed critically. Besides the original formulation, 3 other possible structures have proposed for I. However K. and W. confirm C.'s original structure for I, but the compound should be called N-hydroxyplahalimide (Ia). The unstable compound, C6H4.CH(NHOH).O.CO (II), prepared by Carpino (C.A. 51, 6549c), which has totally different properties from those of Ia, should be termed phthaloxime. II is readily rearranged to Ia on heating. Other detailed data in favor of the Ia structure for Cohn's compound (I) are given and discussed fully. In part they depend on the condensation products of Ia with such compds. as o-O2NC6H4SCl (III) and p-MeC6H4SOCl (IV) and with the degradation of N-O2SOR derivs. (V) of phthalimide (VI) with alc. KOH (cf. Buess and Bauer, C.A. 50, 3461f). To Ia (32.6 g.) and 38 g. III in 200 cc. PhMe stirred and heated at 80° were added dropwise and very slowly 16.2 g. pyridine, the mixture stirred 3-4 hrs., cooled, and the filtered precipitate washed with H2O giving 46 g. N-(o-nitrophenylthio)phthalimide (VII), m. about 300° (decomposition) (HCONMe2), the mother liquor from which yielded about 9 g. phthalimide (VI). VII was identical with the compound formed by condensing the K derivative of VI with III. The Na derivative of Ia (25 g.) emulsified in 80 cc. PhMe at 30° was treated with 25 g. IV with a temperature rise to 40° giving 11 g. N-(p-toluene-sulfinyloxy)phthalimide, m. 157° (decomposition) (AcOEt), which heated a few min. at 160° was rearranged to the N-(p-toluenesulfonyl analog (VIII) (properties not given), also prepared by condensing the K derivative of VI with p-MeC6H4SO2Cl at 140° or from the N-Cl derivative of VI and p-MeC6H4SO2Na at 65°. Ia (2 moles) in 205 g. pyridine and 750 g. C6H6, at 40° was treated gradually with 2 moles MeSO2Cl, stirred 1.5 hrs. at 60°, cooled to 20°, and washed with warm H2O and little EtOH giving 355 g. V (R = Me), m. 169° (EtOH) (compds. analogous to V were prepared according to Ger. 943,050 V (R = Me) (9.5 g.) added gradually to 50 cc. 10% NH4OH reacted exothermically giving 5.8 g. 2,4-dioxotetrahydroquinazoline (IX), m. 350-2° (HCONMe2), identical with that prepared from KCNO and

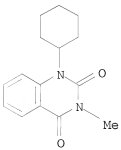
anthranilic acid. V (R = p-ClC6H4) (16.9 g.) in 150 cc. C6H6 and 100 cc. dioxane treated with an excess NH3 at 20-25° gave 6.5 g. 2-(H2NCO)C6H4NHCONH2, m. 180-90°, with loss of NH3, resolidifying and rem. 350°. V (R = Me) (24 g.) in 100 g. dioxane at 70-80° treated dropwise with 27.9 g. PhNH2 gave 27 g. 2-(N'-phenylureido)benzanilide, m. 212-14° (glacial AcOH). Similarly 30 g. piperidine in 150 cc. H2O at 40° with 24 g. V (R = Me) gave almost quantitatively 2 - (N',N' - pentamethyleneureido)benzoylpiperidine (IXa), m. 107-9° (AcOEt); when in this reaction dioxane replace H2O a mixture of IXa and piperidinium methane-sulfonate, m. 124-9°, was formed. Me2NC6H11 (IXb) (70 g.) heated to 150°, treated gradually and stirred with 33.7 g. V (R = p-ClC6H4), kept 10 min. at 163°, and cooled gave 2 phases. The upper phase gave 14 g. masked cyclized isocyanate, o-C6H4.CO.N+RR'.C(O-):N (X) (R = Me, R' = C6H11), m. 135° (EtOH). The lower phase yielded 25 g. N,N-dimethyl-N-cyclohexylammonium p-chlorobenzene-sulfonate (XI), m. 90-90.5° (Kofler block) (AcOEt), which on standing 3 weeks formed XI.H2O, even when crystallized from PhMe, although the m.p. remained 90-90.5°. The infrared (I.R.) spectra of XI and XI.H2O were identical. The following X were prepared analogously at 90-110° [R, R', % yield, and m.p. (or properties) given]: Et, C6H11, 93, 86-88°; Pr, Pr, 97, oil; (RR' = (CH2)5), 30, oil. X (R = Me, R' = C6H11) (19 g.) in 50 cc. 8% NaOH at 20° were stirred with 30 cc. Et2O and the aqueous phase extracted repeatedly with Et2O. The combined exts. gave IXb, b. 160-3°, n24D 1.4498 (picrate, m. 176-8°). The aqueous phase yielded p-ClC6H4SO3Na. In all the following reactions X (R = Me, R' = C6H11) was used unless otherwise stated. X in MeOH heated 1 hr. with a few drops of a tertiary amine gave 2-(MeO2CNH)C6H4CONMeC6H11 (XII), m. 63°. X heated 0.5 hr. in an oil bath at 230-40° gave small amts. of cyclohexene and the 3-Me derivative (XIII) of IX, m. 240-1°. Formed by condensing V (R = Me) with appropriate primary amines were the following 3-R' derivs. of IX (amine, R', % yield, and m.p. given): HONH2, OH, 75, 322-6°; H2NCH2CO2H, CH2CO2H, 77, 296-8°; H2NCH2CH2OH, CH2CH2OH, 80, 257°; picolinic acid hydrazide, 2-pyridylcarbonylamino, 89, 290°; PhSO2NHNH2, NHSO2Ph, 69, 277°. Full analytical data but no other details are given. XIV (R = Me, R' = C6H11) was formed by treating X at 0° to 5° with 18% HCl, m. poorly 165-70°, losing HCl. XIV suspended in H2O at 20° was gradually reconverted into X. XIV emulsified with MeOH and kept 30 hrs. dissolved; the evaporated solution gave XII. XIV heated at 180-200° gave XIII, identified by its I.R. spectrum. X in hot 18% HCl followed by brief heating gave the compound (XV), C15H20N2O3, m. 159-60° (decomposition), putatively the OH- analog of XIV. XV treated with CH2N2 in Et2O lost N giving XII. XV heated very gradually to 210° lost CO2 giving 2-H2NC6H4CONMe(C6H11), m. 140-3°. XV added to a flask that had been preheated to 220° lost H2O forming the 1-cyclohexyl derivative of XIII, m. 290-5° (after digestion with EtOH). X (R = Me; R' = C6H11) heated with excess N2H4.H2O solution gave the compound, C15H22N4O2, m. poorly 148-50°, forming the 3-NH2 derivative of IX, m. 295-6°, also formed in 99% yield from V (R = Me) and N2H4. In the following reactions, V (R = Me) was used. V (9.6 g.) suspended in 40 g. MeOH at 20° was treated dropwise with 6 g. IXb; after abatement of the violent reaction, the mixture was refluxed 1 hr., cooled, treated with dilute HCl, and precipitated with H2O giving di-Me isatoate (XVI), m. 59-61°, in excellent yield. Similarly from V, EtOH, and IXb was formed 85% di-Et analog of XVI, m. 40-3°. V, ClCH2CH2OH, and IXb gave 87% di-ClCH2CH2 analog of XVI, m. 96°. V, p-ClC6H4OH, and Et3N gave 95% bis(p-chlorophenyl) analog of XVI, m. 171°. The following were also prepared similarly: Δ5-tetrahydro derivative of V (R = CH2Cl) (XVII),

MeOH, and pyridine gave 59% CH2.CH:CHCH2.CH(CO2Me).CHNHCO2Me, b0-1 114-18°; hexahydro derivative of V (R = NMe2) with MeOH and IXb gave 87% (CH2)4.CH(CO2Me).CHNHCO2Me, b0.2 117-20°; XVIII (R = SO2CH2CH2Cl), MeOH, and IXb yielded 75% CH:CH.CH.CH2.CH.CH(CO2Me).CHNHCO2Me, b0-3 122-5°. Infrared spectral data but no curves are given for X (R = Me, R' = C6H11), XIV (R = Me, R' = C6H11), and XV. U.V. maximum for X in MeOH were 351 and 274 mμ (ε 3850 and 21,200); for XV 307.7, 253.2, and 224.7 mμ (ε 5500, 20,000, and 38,000). U.V. maximum for XIV in dioxane was 334.8 mμ (ε 4200). The fungicidal activities of O-sulfonyl compds. towards Phytophthora infestans (XIX) and Fusicladium dendriticum (XX) were studied in vitro with 0.0001% and 0.0005% solns. of XVIII (R = Me, NMe2, Ph, and CCl3). In 0.0005% concns. all of these showed marked inhibition in the growth of XIX. XVIII (R = CSOEt), and (CH2)4.CH.CH.CO.N(OCO2Et).CO also inhibited the growth of XIX in vitro, and XVIII (R = CCl3) and XVIII (R = CSOEt) in the higher concns. inhibited the growth of XX in vitro. The other compds. were usually much less effective in inhibiting the growth of XX. These tests were not well correlated with those in which growing tomato plants were sprayed with various concns. of the fungicide and after 24 hrs. infected with XIX and inspected after 5-6 days. Even spraying with 0.1-0.025% solns. failed to give complete protection against the inroads of XIX. These spraying data are compared with the more successful results obtained with Zn.S.CS.NH.CH2.CH2.NH.CS.S. An appreciable measure of protection against XIX was obtained with V (R = Me, NMe2, or CCl3) and with XVIII (R = CSOEt) in concns. of 0.1-0.05%.

IT 100957-88-8P, 2,4(1H,3H)-Quinazolinedione, 1-cyclohexyl-3-methyl-
RL: PREP (Preparation)
(preparation of)

RN 100957-88-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-cyclohexyl-3-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L4 ANSWER 193 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1925:9390 CAPLUS
DOCUMENT NUMBER: 19:9390
ORIGINAL REFERENCE NO.: 19:1283a-e
TITLE: Fluorindenes and fluorindinium salts. VIII
AUTHOR(S): Kehrmann, F.; Schedler, J. A.
SOURCE: Helvetica Chimica Acta (1925), 8, 3-8
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

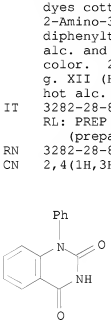
AB cf. C. A. 19, 643. 2,3-Dihydroxynaphthophenazine (I) is prepared from

1.4g.C6H2O2(OH)2 in 150 cc, warm H2O containing the theoretical amount KOH by addition of 2.3 g. 1,2-C10H6(NH2.HCl)2 (II) in 700 cc. H2O, heating 0.5 hr. at 100° and adding 10% HCl, the HCl salt thus obtained giving I on solution in alkali and addition of HOAc; the diacetate, m. 217-8°. 1,2- or 3,4-Benzofluorindene (III), from 1 g. I and 1.2 g. o-C6H4(NH2.HCl)2 (IV) in 20 g. BzOH, by boiling the mixture a few min., solution in hot alc., addition of a slight excess NH4OH, and recrystn. from PhNO2, is only slightly soluble in alc. or C6H6, giving light violet-red solns. with intense fluorescence. 1,2- or 3,4-Benzo-7-phenylfluoridene (V), prepared the same as III from 1.5 g. o-(C1H.H2N)-C6H4NHPh (VI), gives on recrystn. from PhNO2 the base similar to III, but from the mother liquor another isomer can be isolated which shows no fluorescence. 1,2,8,9- or 1,2,10,11-Dibenzofluorindene (VII), prepared as before from 1.5 g. II; NaOAc is used to precipitate the base instead of NH4OH to prevent oxidation. 14-Phenyl-1,2,3,4-dibenzofluorindene (VIII), similarly prepared from 1 g. 1-amino-2-anilinoflavinduline (IX) and 0.7 9. IV in 8 g. BzOH, gives violet-blue solns. showing little fluorescence. 1,2,3,4-Dibenzo 7,14-diphenylfluorindene (X), from 1.8 g. IX, and 2.7 g. VI in 18 g. BzOH, is difficultly soluble in alc. or C6H6, the violet-blue solns. being non-fluorescent. 1,2,3,4-Dibenzo-7,1 4-diphenylfluorindene 12-methochloride (XI), by treating X in PhNO2 with Me2SO4 and precipitating with HCl after extraction with alc. and Et2O; the dilute HOAc solution is blue-green and dyes cotton (with tannin) the same color, quite fast to light and washing. 2-Amino-3-anilino-7-hydroxyaposafranone (XII) is prepared by boiling 4.3 g. diphenyltetraminobenzene di-HCl with 1.7 g. C6H2O2(OH)2 in 80 cc. absolute alc. and treating the HCl salt with NaOAc; it is soluble in alc. with red color. 2-Amino-3-anilino-14-phenylfluorindene is prepared as before from 1 g. XII (HCl salt) and 0.5 g. IV in 20 g. BzOH, its HNO3 salt precipitated from hot alc. by 30% HNO3 as almost black needles, soluble in alc. with red color.

IT 3282-28-8P, 2,4(1,3)-Quinazolinedione, 1-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 3282-28-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)



L4 ANSWER 194 OF 194 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1925:9389 CAPLUS

DOCUMENT NUMBER: 19:9389

ORIGINAL REFERENCE NO.: 19:1282e-i,1283a

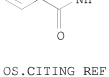
TITLE: Constitution of the so-called α-quinoquinoline. The question of tautomerism of the α-aminopyridines

AUTHOR(S): Seide, Oskar

SOURCE: Justus Liebigs Annalen der Chemie (1924), 440, 311-21
CODEN: JLACBF; ISSN: 0075-4617

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Reissert (Ber. 28, 119) obtained by the action of α-chloronicotinic acid upon o-H2NC6H4CO2H a compound which lost CO2 upon heating and gave, according to R., α-quinoquinoline (I). In an attempt to prepare 1,8-naphthyridine, S. prepare R.'s compound from α-C5H4NNH2 and o-ClC6H4CO2H; it scarcely reacted with PCl3, or POCl3 at 240°, was either unchanged or entirely decomposed when heated with Zn dust in boiling C14H10, was not reduced by Zn or Sn and HCl or by Na-Hg or metallic Na, did not react with PhNHNH2 or NH2OH, was soluble in alkali and was precipitated unchanged by CO2, did not give an Ac or Bz derivative and did not react with HNO2 or p-O2NC6H4N2Cl. All these negative results throw doubt upon R.'s formula (I) and suggest formula II, which is also supported by Chichibabin's work on the tautomerism of α-C5H4NNH2 (C. A. 15, 3108). 2,3-Dihydrobenzoquinazol-4-one (II), light yellow, m. 211°, results in 75% yield by heating 20 g. o-ClC6H4CO2H and 40 g. α-C5H4N.NH2 with 20 g. K2CO3 and 0.1 g. Cu 2 hrs. at 190-5°; HCl salt, light yellow, m. 293°, and gives a blue fluorescent solution in H2O; picrate, yellow, m. 238° (decomposition); chloroplatinate, orange plates with 2H2O, m. 248°; the H2O is lost at 130-40°. Oxidation of II in dilute H2SO4 with KMnO4 gives 2,4-dihydroxyquinazoline, m. 356°. II, heated with PCl5 and POCl3 in a sealed tube 6 hrs. at 180-90° gives a tri-Cl derivative, gray needles, m. 328°. II and Br in AcOH give a Br derivative, glistening yellow needles, m. 162°, soluble in mineral acids with a blue fluorescence and containing the Br in a C6H6 nucleus, since oxidation gives a bromo-4-hydroxyquinazoline, m. 227° (decomposition). II methiodide, orange, results by heating 4.5 g. II, 10 g. MeI and 20 cc. MeOH in a tube 3 hrs. at 130° and 1 hr. at 160° or by heating II with Me2SO4 and pouring the reaction mixture into aqueous KI. Heated to 270-90° in vacuo MeI is split off and II regenerated. Oxidation with KMnO4 in dilute H2SO4 gives 1-methyl-2,4-dioxoquinazoline tetrahydride, m. 264-5°. Upon cooling a solution of II in EtONa-EtOH there seps. the Na salt of C5H4N.NHC6H4CO2H, glistening, flat needles, which with mineral acids gives II; the corresponding Ba salt, heated with excess of Ba(OH)2, gives a quant. yield of C5H4NNHPh, m. 108°. If the Na salt is heated with PhI and metallic Cu, there results the pheniodide of II (III), dark brown, m. 365°, also formed by heating C5H4NNHPh and o-IC6H4CO2H with K2CO3 and metallic Cu 4 hrs. at 210-20°; oxidation with KMnO4 in dilute H2SO4 gives 1-phenyl-2,4-dioxoquinazoline tetrahydride, m. 295-6°.
IT 3282-28-8P, 2,4(1,3)-Quinazolinedione, 1-phenyl-
RL: PREP (Preparation)
(preparation of)
RN 3282-28-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-phenyl- (CA INDEX NAME)



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